Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1600RXA

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 4	FEB 21	STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
NEWS 5	FEB 22	The IPC thesaurus added to additional patent databases on STN
NEWS 6	FEB 22	Updates in EPFULL; IPC 8 enhancements added
NEWS 7	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS 8	MAR 03	Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 9	MAR 22	EMBASE is now updated on a daily basis
NEWS 10	APR 03	New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS 11	APR 03	Bibliographic data updates resume; new IPC 8 fields and IPC thesaurus added in PCTFULL
NEWS 12	APR 04	STN AnaVist $500 visualization usage credit offered
NEWS 13	APR 12	LINSPEC, learning database for INSPEC, reloaded and enhanced
NEWS 14	APR 12	Improved structure highlighting in FQHIT and QHIT display in MARPAT
NEWS 15	APR 12	Derwent World Patents Index to be reloaded and enhanced during second quarter; strategies may be affected
NEWS 16	MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS 17	MAY 11	KOREAPAT updates resume
NEWS 18	MAY 19	Derwent World Patents Index to be reloaded and enhanced

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005. V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT http://download.cas.org/express/v8.0-Discover/

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available after June 2006

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* *

COMPLETE THE STN SURVEY - APRIL 27 THROUGH MAY 31

Dear valued STN customer,

In an effort to enhance your experience with STN, we would like to better understand what you find useful. Please take approximately 5 minutes to complete a web survey.

If you provide us with your name, login ID, and e-mail address, you will be entered in a drawing to win a free iPod(R). Your responses will be kept confidential and will help us make future improvements to STN.

Take survey: http://www.zoomerang.com/survey.zgi?p=WEB2259HNKWTUW

Thank you in advance for your participation.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:44:35 ON 24 MAY 2006

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 08:44:42 ON 24 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 MAY 2006 HIGHEST RN 885357-09-5
DICTIONARY FILE UPDATES: 23 MAY 2006 HIGHEST RN 885357-09-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

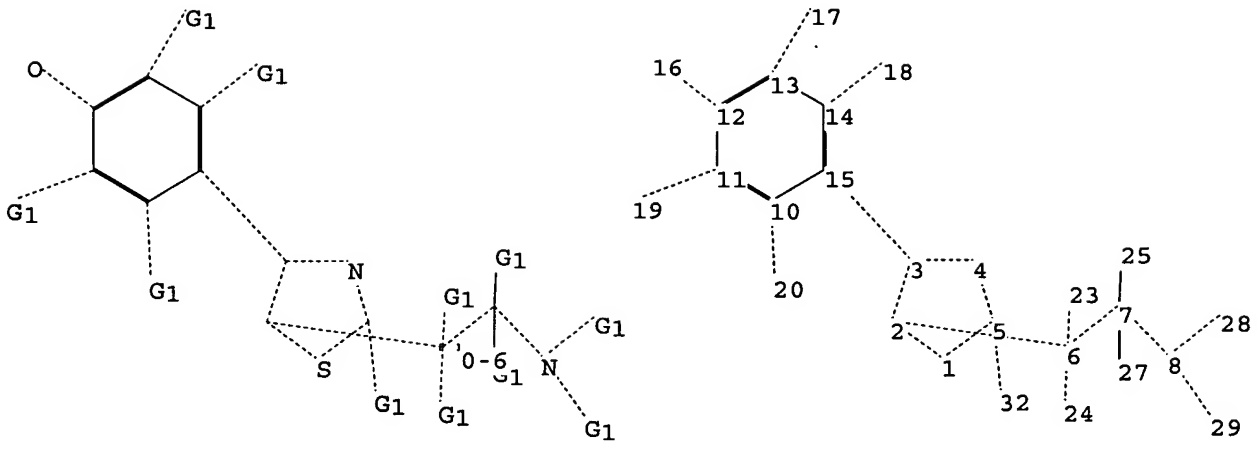
****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\QUERIES\106810021.str



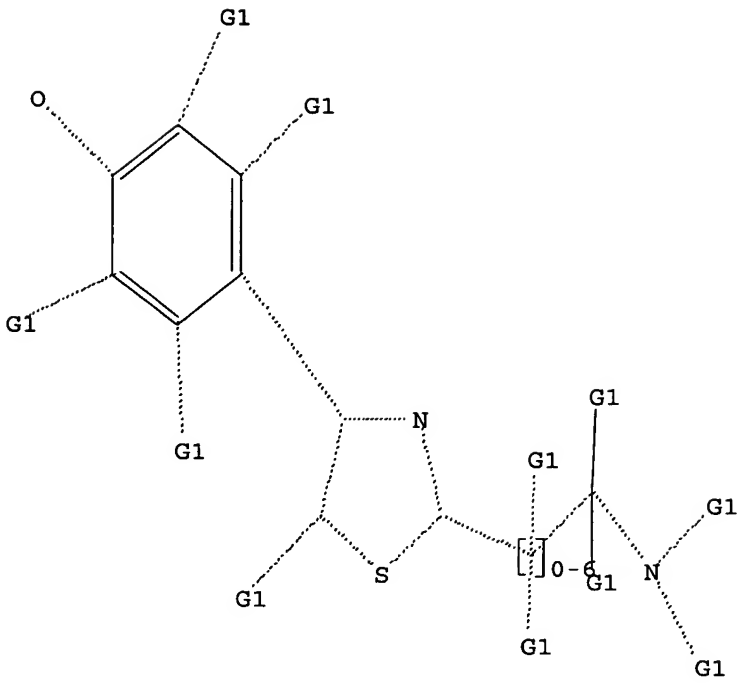
chain nodes :
6 7 8 16 17 18 19 20 23 24 25 27 28 29 32
ring nodes :
1 2 3 4 5 10 11 12 13 14 15
chain bonds :
2-6 3-15 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28 8-29 10-20 11-19 12-16 13-17 14-18
ring bonds :
1-2 1-5 2-3 3-4 4-5 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
1-2 1-5 2-3 2-6 3-4 3-15 4-5 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28 8-29 10-20 11-19 12-16 13-17 14-18
normalized bonds :
10-11 10-15 11-12 12-13 13-14 14-15
isolated ring systems :
containing 1 : 10 :

G1:C,H

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 23:CLASS 24:CLASS 25:CLASS 27:CLASS 28:CLASS 29:CLASS 32:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR

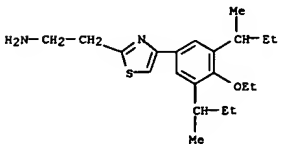


G1 C,H

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 08:45:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      706 TO ITERATE

100.0% PROCESSED      706 ITERATIONS                                 12 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        12526 TO    15714
PROJECTED ANSWERS:              33 TO      447

L2            12 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 08:45:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    14067 TO ITERATE

100.0% PROCESSED    14067 ITERATIONS                               336 ANSWERS
SEARCH TIME: 00.00.02

L3           336 SEA SSS FUL L1

=> s l3 and caplus/lc
      50652292 CAPLUS/LC
L4           115 L3 AND CAPLUS/LC

=> s l3 not l4
L5           221 L3 NOT L4

=> d l5 200
```

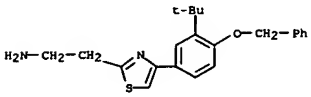
L5 ANSWER 200 OF 221 REGISTRY COPYRIGHT 2006 ACS on STN
RN 642079-05-8 REGISTRY
ED Entered STN: 27 Jan 2004
CN 2-Thiazoleethanamine, 4-[4-ethoxy-3,5-bis(1-methylpropyl)phenyl]- (9CI)
(CA INDEX NAME)
FS 3D CONCORD
MF C21 H32 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

```
=> d 15 210
```

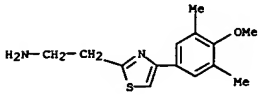
L5 ANSWER 210 OF 221 REGISTRY COPYRIGHT 2006 ACS on STN
RN 642078-80-6 REGISTRY
ED Entered STN: 27 Jan 2004
CN 2-Thiazoleethanamine, 4-[3-(1,1-dimethylethyl)-4-(phenylmethoxy)phenyl]-
(9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H26 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

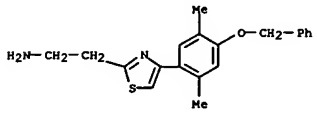
=> d l5 215-221

L5 ANSWER 215 OF 221 REGISTRY COPYRIGHT 2006 ACS on STN
RN 642078-75-9 REGISTRY
ED Entered STN: 27 Jan 2004
CN 2-Thiazoleethanamine, 4-(4-methoxy-3,5-dimethylphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C14 H18 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



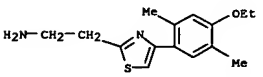
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 216 OF 221 REGISTRY COPYRIGHT 2006 ACS on STN
RN 642078-66-8 REGISTRY
ED Entered STN: 27 Jan 2004
CN 2-Thiazoleethanamine, 4-[2,5-dimethyl-4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C20 H22 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



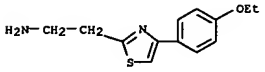
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 217 OF 221 REGISTRY COPYRIGHT 2006 ACS on STN
RN 642078-65-7 REGISTRY
ED Entered STN: 27 Jan 2004
CN 2-Thiazoleethanamine, 4-(4-ethoxy-2,5-dimethylphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C15 H20 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



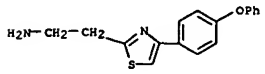
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 218 OF 221 REGISTRY COPYRIGHT 2006 ACS on STN
RN 642078-43-1 REGISTRY
ED Entered STN: 27 Jan 2004
CN 2-Thiazoleethanamine, 4-(4-ethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C13 H16 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



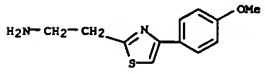
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 219 OF 221 REGISTRY COPYRIGHT 2006 ACS on STN
RN 642078-39-5 REGISTRY
ED Entered STN: 27 Jan 2004
CN 2-Thiazoleethanamine, 4-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C17 H16 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



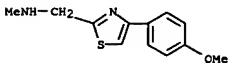
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 220 OF 221 REGISTRY COPYRIGHT 2006 ACS on STN
RN 641993-23-9 REGISTRY
ED Entered STN: 27 Jan 2004
CN 2-Thiazoleethanamine, 4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C12 H14 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 221 OF 221 REGISTRY COPYRIGHT 2006 ACS on STN
RN 117866-29-2 REGISTRY
ED Entered STN: 09 Dec 1988
CN Thiazole, 4-(p-methoxyphenyl)-2-(methylaminomethyl)-, hydrochloride (6CI)
(CA INDEX NAME)
MF C12 H14 N2 O S . Cl H
SR CAOLD
LC STN Files: BEILSTEIN*, CAOLD
(*File contains numerically searchable property data)
CRN (100134-70-1)



● HCl

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

```
=> fil caold
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   189.68     189.89

FILE 'CAOLD' ENTERED AT 08:46:33 ON 24 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966
FILE LAST UPDATED:  01 May 1997 (19970501/UP)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.  Title keywords, authors, patent
  assignees, and patent information, e.g., patent numbers, are
  now searchable from 1907-1966.  TIFF images of CA abstracts
  printed between 1907-1966 are available in the PAGE
  display formats.

  New CAS Information Use Policies, enter HELP USAGETERMS for details.

  This file supports REG1stRY for direct browsing and searching of
  all substance data from the REGISTRY file.  Enter HELP FIRST for
  more information.

=> s 117866-29-2/rn
             1 117866-29-2
             0 117866-29-2D
L6           1 117866-29-2/RN
                (117866-29-2 (NOT) 117866-29-2D )
```

Index Terms (IT) are CAS Registry Numbers; Accession
Numbers (AN) CA References.

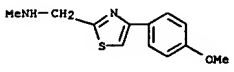
Index Terms in CAOLD include only Registry Numbers; no
subject terms are available. The same formats (except
SAMPLE) may be used with the DISPLAY ACC command to display
the record for a specified CAOLD Accession Number.

PAGE ---- Page Image of original Chemical Abstracts issue containing the
abstract of the answer.
PAGE.PREV and PAGE.NEXT will return the image of the page before or after
the current answer.
ENTER DISPLAY FORMAT (ALL):all

L6 ANSWER 1 OF 1 CAOLD COPYRIGHT 2006 ACS on STN
AN CA55:25917g CAOLD
TI complex-forming compds. of the thiazole series
AU Braun, H. A.; Kuehne, H.; Prijs, B.
IT 18138-21-1 18907-76-1 98428-85-4 99171-57-0 99180-27-5 99853-12-0
100134-70-1 101424-71-9 102309-32-0 102441-11-2 102441-30-5 102956-10-5
102956-41-2 103151-72-0 103155-61-9 103280-39-3 103642-51-9 103642-52-0
104297-14-5 104339-29-9 106652-81-7 109402-49-5 110665-13-9 111029-89-1
112070-95-8 114186-51-5 114278-22-7 114400-20-3 115188-62-0
117866-29-2 120639-50-1 120639-52-3

```
=> d bib hitstr
```

L6 ANSWER 1 OF 1 CAOLD COPYRIGHT 2006 ACS on STN
AN CA55:25917g CAOLD
TI complex-forming compds. of the thiazole series
AU Braun, H. A.; Kuehne, H.; Prijs, B.
IT 117866-29-2
RN 117866-29-2 CAOLD
CN Thiazole, 4-(p-methoxyphenyl)-2-(methylaminomethyl)-, hydrochloride (6CI)
(CA INDEX NAME)



● HCl

```
=> fil reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         8.64     198.53

FILE 'REGISTRY' ENTERED AT 08:48:10 ON 24 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   23 MAY 2006  HIGHEST RN 885357-09-5
DICTIONARY FILE UPDATES:  23 MAY 2006  HIGHEST RN 885357-09-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
**********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> fil caplus
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.44     198.97

FILE 'CAPLUS' ENTERED AT 08:48:13 ON 24 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)


Copyright of the articles to which records in this database refer is
held by the publishers listed in the PUBLISHER (PB) field (available
for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.
The CA Lexicon is the copyrighted intellectual property of the
American Chemical Society and is provided to assist you in searching
databases on STN.  Any dissemination, distribution, copying, or storing
```

FILE COVERS 1907 - 24 May 2006 VOL 144 ISS 22
FILE LAST UPDATED: 23 May 2006 (20060523/ED)


```
=> d his

     (FILE 'HOME' ENTERED AT 08:44:35 ON 24 MAY 2006)

     FILE 'REGISTRY' ENTERED AT 08:44:42 ON 24 MAY 2006
L1             STRUCTURE UPLOADED
L2              12 S L1
L3             336 S L1 FULL
L4             115 S L3 AND CAPLUS/LC
L5             221 S L3 NOT L4

     FILE 'CAOLD' ENTERED AT 08:46:33 ON 24 MAY 2006
L6               1 S 117866-29-2/RN

     FILE 'REGISTRY' ENTERED AT 08:48:10 ON 24 MAY 2006

     FILE 'CAPLUS' ENTERED AT 08:48:13 ON 24 MAY 2006

=> s l4
L7             18 L4

=> d ibib abs hitstr 1-18
```

L7 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

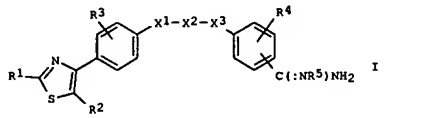
ACCESSION NUMBER: 2006:117229 CAPLUS
DOCUMENT NUMBER: 144:212766
TITLE: Preparation of thiazolyl-containing benzamidines for prevention and treatment of osteoporosis, bone fractures and allergic inflammatory diseases
INVENTOR(S): Lee, Jin Soo; Ahn, Seok Hoon; Jin, Young Goo; Jin, Sang Mi; Park, Whui-Jung; Ku, Sae Kwang; Hwang, Yun Ha; Kim, Pan Soo; Yi, Sun Shin; Ryu, Jei Man
PATENT ASSIGNEE(S): Dong Wha Pharmaceutical. Ind. Co., Ltd., S. Korea
SOURCE: PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006014087	A1	20060209	WO 2005-KR2545	20050804

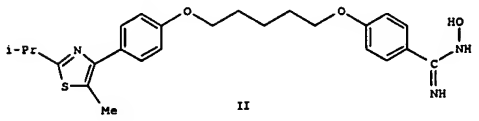
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: KR 2004-61481 A 20040804

OTHER SOURCE(S): MARPAT 144:212766
GI



I



II

AB The present invention relates to thiazolyl-containing benzamidines (shown as

L7 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

I; variables defined below; e.g. N-hydroxy-4-[5-[4-(5-methyl-2-isopropyl-1,3-thiazol-4-yl)phenoxy]pentoxy]benzamidine (shown as II)), a process for

the prepn. thereof and pharmaceutical compn. comprising the same. The novel benzamidine derivs. of the present invention are useful for the prevention and treatment of osteoporosis, bone fractures and allergic inflammatory diseases. For I: R1 is C1-C6 alkyl, C3-C6 cycloalkyl, Ph, benzyl, pyridinyl, guanidino, NR6R7, CH2NR6R7, N(CH2CH2)2Y, A-N(CH2)n (A is C1-C6 alkyl and n = 2-6), C1-C6 alkyl which is substituted by pyridine or N(CH2CH2)2Y wherein N(CH2CH2)2Y is (un)substituted by hydroxy, pyridinyl or N(CH2CH2)2Y which is substituted by C1-C6alkyl; R2 is H, C1-C6 alkyl, C3-C6 cycloalkyl, Ph, benzyl, C1-C6 alkyl which is substituted by hydroxy, C1-C6 alkoxy, halogen or C3-C6 cycloalkyl, C2-C6alkenyl. R3 and R4, each independently, = H, halogen, hydroxy, C1-C6

alkyl which is (un)substituted by halogen, C3-C6 cycloalkylamino, C1-C6 alkoxy, C1-C6 alkanoyloxy, C2-C6 alkenyloxy, phenyl-C1-C6 alkoxy, phenoxy,

C2-C6 alkenoyloxy or phenyl-C1-C6 alkanoyloxy, C3-C6 cycloalkyloxy which is substituted by carboxy, esterified carboxy or amidated carboxy, aminooxy; R5 is H or hydroxy; Y is O, S, NR6, or CH2; X1 and X3, each independently, = O, S, NH, N-C1-C6 alkyl, N-C3-C6 cycloalkyl, N-benzyl, N-phenyl; X2 is C3-C7 alkylene, C1-C3 alkylene-alkenylene-C1-C3-alkylene, C1-C3 alkylene-O-C1-C3 alkylene, C1-C3 alkylene-S-C1-C3 alkylene, C1-C3 alkylene-NH-C1-C3 alkylene, C1-C3 alkylenephenylene-C1-C3 alkylene, C1-C3 alkylenepyridylene-C1-C3 alkylene, C1-C3 alkylenenaphthylene-C1-C3 alkylene, C3-C7 alkylene which is substituted by C1-C3 alkyl and hydroxy, C3-C7 alkylenecarbonyl, C3-C7 alkylene which is interrupted by piperazine;

addnl. details including provisos are given in the claims. Percent inhibitory activity of >200 examples of I on osteoclastogenesis, bone-forming activity of 10 examples of I, inhibition of decrease of bone vol. induced by ovariectomy in mice by 20 examples of I, decrease in the callus vol. and increase of the callus osteoid vol. of test substance-dosing groups compared to that of the vehicle control in a rib fracture-induced rat model by 5 examples of I, decrease of abs. and relative lung wts. compared to that of the vehicle control in a mouse model of asthma induced with ovalbumin by 7 examples of I, decrease of total leukocytes in peripheral blood and BALF compared to that of the vehicle control in an asthmatic model, cytotoxicity towards MC3T3-E1 and ST2 cells by 44 examples of I are tabulated. Methods of prepn. are claimed and prepns. and/or characterization data for >200 examples of I are included. For example, II was prepd. (52 %) by addn. of hydroxylamine

hydrochloride to 4-[5-[4-(5-methyl-2-isopropyl-1,3-thiazol-4-yl)phenoxy]pentoxy]benzonitrile, which was prepd. in 6 steps (90.3, 98, 70, 80, 95, 89 %) starting from 4-hydroxybenzonitrile and 1-bromo-5-chloropentane and involving intermediates 4-(5-chloropentoxy)benzonitrile, 1-(4-methoxyphenyl)-1-propanone, 1-(4-hydroxyphenyl)propan-1-one, 4-[5-[(4-propionylphenyl)oxy]pentoxy]benz

onitrile, and 4-[5-[4-(2-bromopropionyl)phenoxy]pentoxy]benzonitrile.

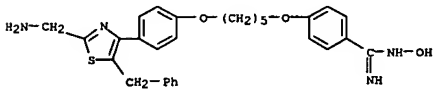
IT **875486-62-7P**, N-Hydroxy-4-[5-[4-(2-aminomethyl-5-benzyl-1,3-thiazol-4-yl)phenoxy]pentoxy]benzamidine **875486-64-9P**, N-Hydroxy-4-[5-[4-(5-isopropyl-2-aminomethyl-1,3-thiazol-4-yl)phenoxy]pentoxy]benzamidine **875486-73-0P**, N-Hydroxy-4-[5-[4-(5-ethyl-2-aminomethyl-1,3-thiazol-4-yl)phenoxy]pentoxy]benzamidine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of thiazolyl-contg. benzamidines for prevention

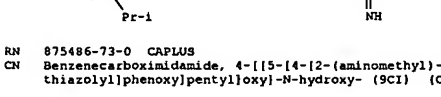
and treatment of osteoporosis, bone fractures and allergic inflammatory

diseases)

RN 875486-62-7 CAPLUS
CN Benzenecarboximidamide, 4-[[5-[4-[2-(aminomethyl)-5-(phenylmethyl)-4-thiazolyl]phenoxy]pentyl]oxy]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 875486-64-9 CAPLUS
CN Benzenecarboximidamide, 4-[[5-[4-[2-(aminomethyl)-5-(1-methylethyl)-4-thiazolyl]phenoxy]pentyl]oxy]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 875486-73-0 CAPLUS
CN Benzenecarboximidamide, 4-[[5-[4-[2-(aminomethyl)-5-ethyl-4-thiazolyl]phenoxy]pentyl]oxy]-N-hydroxy- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:140811 CAPLUS
DOCUMENT NUMBER: 142:240429
TITLE: Five-membered heterocycle derivatives useful as monoamine oxidase inhibitors, lipid peroxidation inhibitors, and sodium channel modulators, and the production thereof, and use thereof as medicaments
INVENTOR(S): Chabrier De Lassauniere, Pierre-etienne; Harnett, Jermiah; Bigg, Dennis; Liberatore, Ann-Marie; Pommier, Jacques; Lannoy, Jacques; Thurieau, Christophe; Dong, Zheng Xin
PATENT ASSIGNEE(S): Fr.
SOURCE: U.S. Pat. Appl. Publ., 154 pp., Cont.-in-part of U.S. Ser. 681,002.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005038087	A1	20050217	US 2004-915001	20040810
FR 2799461	A1	20010413	FR 1999-12643	19991011
FR 2799461	B1	20020104		
FR 2812546	A1	20020208	FR 2000-10151	20000801
WO 2001026656	A2	20010419	WO 2000-FR2805	20001010
WO 2001026656	A3	20020418		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1229760	A2	20020807	EP 2002-76763	20001010
EP 1229760	A3	20040128		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

EP 1589007	A2	20051026	EP 2005-76749	20001010

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, CY

FR 2823208	A1	20021011	FR 2001-4943	20010410
FR 2823208	B1	20040319		
WO 2002083656	A2	20021024	WO 2002-FR1218	20020409
WO 2002083656	A3	20030103		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

ZA 2003007750	A	20040726	ZA 2003-7750	20031003
US 2004132788	A1	20040708	US 2003-681002	20031008
WO 2005035510	A1	20050421	WO 2004-FR2537	20041008

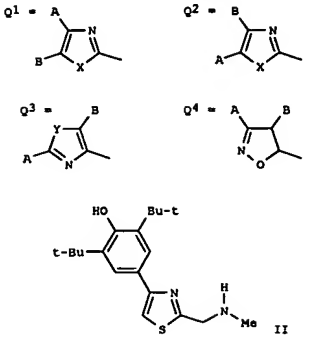
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

FR 1999-12643	A	19991011
FR 2000-10151	A	20000801
FR 2000-11169	A	20000901
WO 2000-FR2805	W	20001010
FR 2001-4943	A	20010410
FR 2002-1811	A	20020214
US 2002-89993	A2	20020404
WO 2002-FR1218	A1	20020409
US 2003-681002	A2	20031008
EP 2000-967988	A3	20001010
US 2004-915001	A	20040810

OTHER SOURCE(S): MARPAT 142:240429

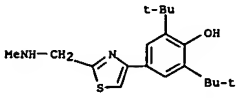
GI



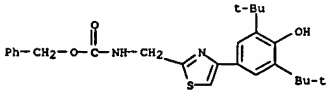
AB The invention relates to pharmaceutical use of heterocyclic compds. of general formula Het(A)(B)-(CH2)n-CR1R2-Q [I; wherein the substituted heterocyclic ring Het(A)(B) = Q1-Q4; A = various aryl or heteroaryl systems, especially a substituted Ph or biphenyl radical, or also alkyl, cycloalkyl, or cycloalkylalkyl; B = especially H or alkyl, or also aryl or substituted alkyl; X = especially NH or S, or also substituted NH; Y = O or S; n = 0-6; R1, R2 = especially H, alkyl, or cycloalkyl; Q = NR3R4 or OR5; R3 and R4 = especially H, alkyl, cycloalkyl, alkynyl, cyanoalkyl alkoxycarbonyl, aralkoxycarbonyl or (cycloalkyl)oxycarbonyl; R5 = H, alkyl, alkynyl, or cyanoalkyl]. I and their racemates, enantiomers, and/or salts can be used for producing medicaments for inhibiting monoamine oxidases (MAO), inhibiting lipid peroxidn., and/or for acting as modulators of sodium channels. The resulting medicaments are particularly for use in treating neurodegenerative disorders such as Parkinson's disease, Alzheimer's disease, Huntington's chorea, amyotrophic lateral sclerosis, or pain. Approx. 500 synthetic examples of I and their salts are given, and numerous free bases of I are claimed. For instance, protection of sarcosinamide-HCl with BOC anhydride gave 72% BOC-N(Me)CH2CONH2, which was converted to the thioamide with (P2S5)2 in 65% yield. Cyclocondensation of the thioamide with 2-bromo-1-(3,5-di-tert-butyl-4-hydroxyphenyl)ethanone (28%), followed by deprotection (73%) and salification (92%), gave thiazole derivative II as the HCl salt. II inhibited binding of the MAO-B specific ligand [3H]-Ro-19-6327 to rat mitochondrial prepns. with IC50 < 10 μM. Selected I also inhibited formation of malondialdehyde by lipid peroxidn. in rat cerebral cortex prepns., and inhibited specific binding of [3H]-batrachotoxin to voltage-dependent sodium channels in rat cerebral cortex homogenates.

IT **218944-61-7P**, 4-[3,5-Bis(1,1-dimethylethyl)-4-hydroxyphenyl]-N-methyl-2-thiazolemethanamine **335242-74-5P**, Benzyl [[4-[3,5-di(tert-butyl)-4-hydroxyphenyl]-1,3-thiazol-2-yl]methyl]carbamate **335242-75-6P**, 4-[2-(Aminomethyl)-1,3-thiazol-4-yl]-2,6-di(tert-butyl)phenol **335242-76-7P**, 2,6-Di(tert-butyl)-4-[2-[[(methyl)(4-nitrobenzyl)amino]methyl]-1,3-thiazol-4-yl]phenol **335242-78-9P**, 2,6-Di(tert-butyl)-4-(2-[[(4-nitrobenzyl)amino]methyl]-1,3-thiazol-4-yl]phenol
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of five-membered heterocycle derivs. as MAO inhibitors, lipid peroxidn. inhibitors, and sodium channel modulators)

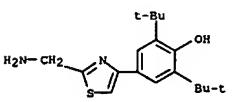
RN 218944-61-7 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(methylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



RN 335242-74-5 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

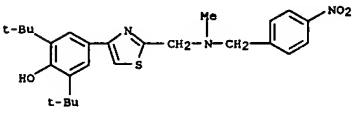


RN 335242-75-6 CAPLUS
CN Phenol, 4-[2-(aminomethyl)-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

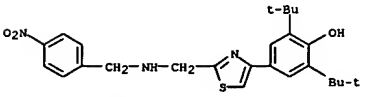


RN 335242-76-7 CAPLUS

CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[methyl[(4-nitrophenyl)methyl]amino]methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)

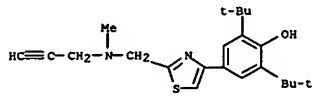


RN 335242-78-9 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[[(4-nitrophenyl)methyl]amino]methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)

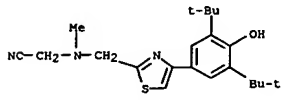


IT **335242-67-6P**, 2,6-Di(tert-butyl)-4-[2-[[methyl(2-propynyl)amino]methyl]-1,3-thiazol-4-yl]phenol **335242-68-7P**, 2-[[[4-[3,5-Di(tert-butyl)-4-hydroxyphenyl]-1,3-thiazol-2-yl]methyl](methyl)amino]acetonitrile **335242-69-8P**, 5-[[[4-[3,5-Di(tert-butyl)-4-hydroxyphenyl]-1,3-thiazol-2-yl]methyl](methyl)amino]pentanenitrile **335242-70-1P**, 6-[[[4-[3,5-Di(tert-butyl)-4-hydroxyphenyl]-1,3-thiazol-2-yl]methyl](methyl)amino]hexanenitrile **335242-71-2P**, 2,6-Di(tert-butyl)-4-[2-[[(2-hydroxyethyl)(methyl)amino]methyl]-1,3-thiazol-4-yl]phenol **335242-72-3P**, 4-[2-[[Benzyl(methyl)amino]methyl]-1,3-thiazol-4-yl]-2,6-di(tert-butyl)phenol **335242-77-8P**, 4-[2-[[(4-Aminobenzyl)(methyl)amino]methyl]-1,3-thiazol-4-yl]-2,6-di(tert-butyl)phenol **335242-79-0P**, 4-[2-[[(4-Aminobenzyl)amino]methyl]-1,3-thiazol-4-yl]-2,6-di(tert-butyl)phenol **335242-81-4P**, 4-[[[4-(3,5-Di-tert-butyl-4-hydroxyphenyl)-1,3-thiazol-2-yl]methyl](methyl)amino]butanenitrile **335242-82-5P**, 2,6-Di-tert-butyl-4-[2-[[(3-nitrobenzyl)amino]methyl]-1,3-thiazol-4-yl]phenol **335245-99-3P**, 2,6-Diisopropyl-4-[2-[(methylamino)methyl]-1,3-thiazol-4-yl]phenol hydrochloride **335246-01-0P**, 4-[2-[(Methylamino)methyl]-1,3-thiazol-4-yl]phenol hydrochloride **335246-05-4P**, 2,6-Di-tert-butyl-4-[2-[(dimethylamino)methyl]-1,3-thiazol-4-yl]phenol hydrochloride **335246-19-0P**, 4-[3,5-Bis(1,1-dimethylethyl)-4-hydroxyphenyl]-N-methyl-2-thiazolemethanamine hydrochloride **335246-31-6P**, 2,6-Diisopropyl-4-[2-[(methylamino)methyl]-1,3-thiazol-4-yl]phenol **335246-32-7P**, 4-[2-[(Methylamino)methyl]-1,3-thiazol-4-yl]phenol **335246-34-9P**, 2,6-Di-tert-butyl-4-[2-[(dimethylamino)methyl]-1,3-thiazol-4-yl]phenol
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of five-membered heterocycle derivs. as MAO

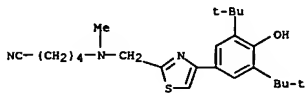
L7 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
inhibitors, lipid peroxidn. inhibitors, and sodium channel modulators)
RN 335242-67-6 CAPLUS
CN Phenol,
2,6-bis(1,1-dimethylethyl)-4-[2-[(methyl-2-propynylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



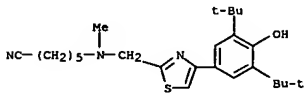
RN 335242-68-7 CAPLUS
CN Acetonitrile, [[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]methylamino]- (9CI) (CA INDEX NAME)



RN 335242-69-8 CAPLUS
CN Pentanenitrile, 5-[[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]methylamino]- (9CI) (CA INDEX NAME)

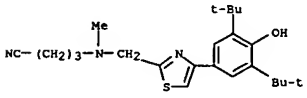


RN 335242-70-1 CAPLUS
CN Hexanenitrile, 6-[[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]methylamino]- (9CI) (CA INDEX NAME)

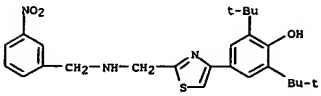


RN 335242-71-2 CAPLUS
CN Phenol,
2,6-bis(1,1-dimethylethyl)-4-[2-[[(2-hydroxyethyl)methylamino]meth

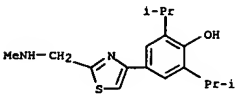
L7 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 335242-82-5 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[[(3-nitrophenyl)methyl]amino]methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)

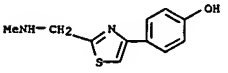


RN 335245-99-3 CAPLUS
CN Phenol, 4-[2-[(methylamino)methyl]-4-thiazolyl]-2,6-bis(1-methylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



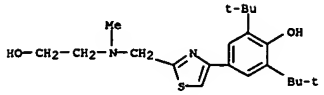
●x HCl

RN 335246-01-0 CAPLUS
CN Phenol, 4-[2-[(methylamino)methyl]-4-thiazolyl]-, hydrochloride (9CI) (CA
INDEX NAME)

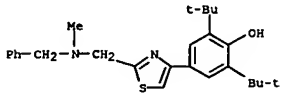


●x HCl

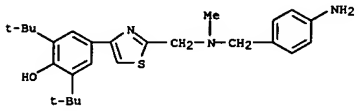
L7 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
yl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



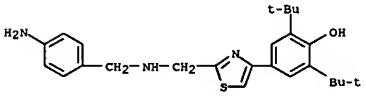
RN 335242-72-3 CAPLUS
CN Phenol,
2,6-bis(1,1-dimethylethyl)-4-[2-[(methyl(phenylmethyl)amino]methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



RN 335242-77-8 CAPLUS
CN Phenol,
4-[2-[[[(4-aminophenyl)methyl]methylamino]methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

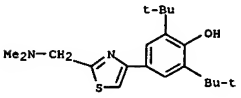


RN 335242-79-0 CAPLUS
CN Phenol, 4-[2-[[[(4-aminophenyl)methyl]amino]methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



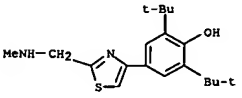
RN 335242-81-4 CAPLUS
CN Butanenitrile, 4-[[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]methylamino]- (9CI) (CA INDEX NAME)

L7 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 335246-05-4 CAPLUS
CN Phenol, 4-[2-[(dimethylamino)methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



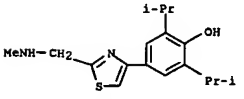
●x HCl

RN 335246-19-0 CAPLUS
CN Phenol,
2,6-bis(1,1-dimethylethyl)-4-[2-[(methylamino)methyl]-4-thiazolyl]-, hydrochloride (9CI) (CA INDEX NAME)

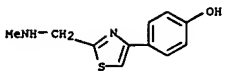


●x HCl

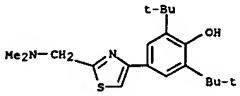
RN 335246-31-6 CAPLUS
CN Phenol, 4-[2-[(methylamino)methyl]-4-thiazolyl]-2,6-bis(1-methylethyl)-(9CI) (CA INDEX NAME)



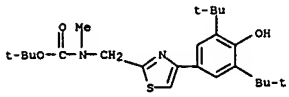
RN 335246-32-7 CAPLUS
CN Phenol, 4-[2-[(methylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



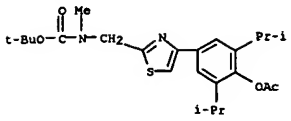
RN 335246-34-9 CAPLUS
CN Phenol, 4-[2-[(dimethylamino)methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



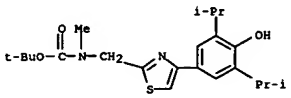
IT 218944-60-6P, 4-[3,5-Bis(1,1-dimethylethyl)-4-hydroxyphenyl]-N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-2-thiazolemethanamine 335247-51-3P, 4-[2-[[(tert-Butoxycarbonyl)(methyl)amino]methyl]-1,3-thiazol-4-yl]-2,6-diisopropylphenyl acetate 335247-52-4P, tert-Butyl [[4-(4-hydroxy-3,5-diisopropylphenyl)-1,3-thiazol-2-yl]methyl](methyl)carbamate acetate 335247-53-5P, tert-Butyl [[4-(4-hydroxyphenyl)-1,3-thiazol-2-yl]methyl](methyl)carbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of five-membered heterocycle derivs. as MAO inhibitors, lipid peroxidn. inhibitors, and sodium channel modulators)
RN 218944-60-6 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



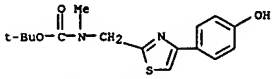
RN 335247-51-3 CAPLUS
CN Carbamic acid, [[4-(4-(acetyloxy)-3,5-bis(1-methylethyl)phenyl]-2-thiazolyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



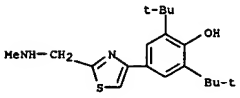
RN 335247-52-4 CAPLUS
CN Carbamic acid, [[4-[4-hydroxy-3,5-bis(1-methylethyl)phenyl]-2-thiazolyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 335247-53-5 CAPLUS
CN Carbamic acid, [[4-(4-hydroxyphenyl)-2-thiazolyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

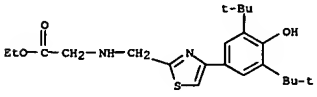


IT 473541-41-2P 717915-30-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of five-membered heterocycle derivs. as MAO inhibitors, lipid
peroxidn. inhibitors, and sodium channel modulators)
RN 473541-41-2 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(methylamino)methyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



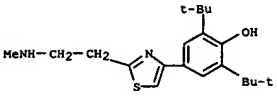
● HCl

RN 717915-30-5 CAPLUS
CN Glycine, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



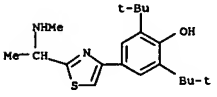
● HCl

IT 473540-20-4P 473540-21-5P 473540-24-8P
473540-25-9P 473540-28-2P 473540-29-3P
473540-30-6P 473540-32-8P 473540-34-0P
473540-38-4P 473540-39-5P 473540-68-0P
473540-86-2P 473540-96-4P 473541-07-0P
473541-32-1P 473541-33-2P 473541-34-3P
473541-35-4P 473541-50-3P 473541-51-4P
473541-53-6P 473541-56-9P 473541-60-5P
473541-61-6P 473541-69-4P 473541-80-9P
473541-82-1P 473541-85-4P 717915-11-2P
717915-19-0P 717915-23-6P 717915-32-7P
717915-36-1P 717915-49-6P 717915-51-0P
717915-62-3P 717915-74-7P 717915-77-0P
717915-79-2P 717915-85-0P 717915-86-1P
845643-59-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of five-membered heterocycle derivs. as MAO inhibitors, lipid
peroxidn. inhibitors, and sodium channel modulators)
RN 473540-20-4 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[2-(methylamino)ethyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



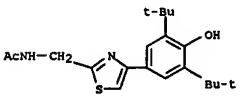
● HCl

RN 473540-21-5 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[1-(methylamino)ethyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)

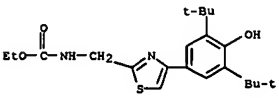


● HCl

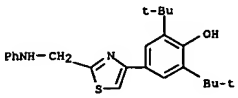
RN 473540-24-8 CAPLUS
CN Acetamide, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



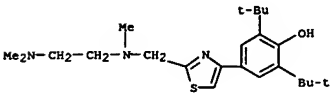
RN 473540-25-9 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



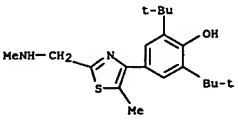
RN 473540-28-2 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(phenylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



RN 473540-29-3 CAPLUS
CN Phenol, 4-[2-[[[2-(dimethylamino)ethyl]methylamino]methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

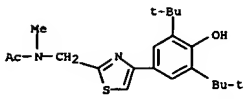


RN 473540-30-6 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[5-methyl-2-[(methylamino)methyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)

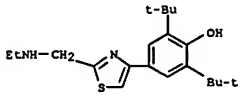


● HCl

RN 473540-32-8 CAPLUS
CN Acetamide, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

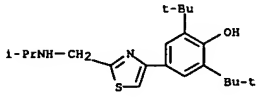


RN 473540-34-0 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(ethylamino)methyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



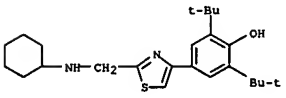
● HCl

RN 473540-38-4 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[(1-methylethyl)amino]methyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



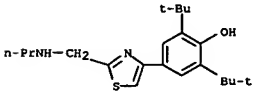
● HCl

RN 473540-39-5 CAPLUS
CN Phenol, 4-[2-[(cyclohexylamino)methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



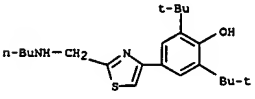
● HCl

RN 473540-68-0 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(propylamino)methyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



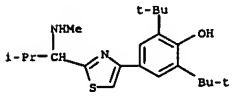
● HCl

RN 473540-86-2 CAPLUS
CN Phenol, 4-[2-[(butylamino)methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



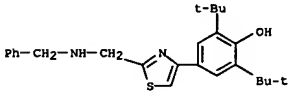
● HCl

RN 473540-96-4 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[2-methyl-1-(methylamino)propyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



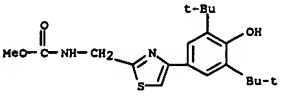
● HCl

RN 473541-07-0 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[(phenylmethyl)amino]methyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)

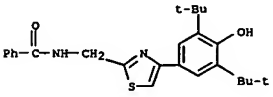


● HCl

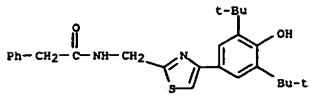
RN 473541-32-1 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



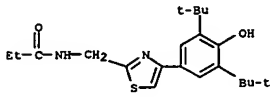
RN 473541-33-2 CAPLUS
CN Benzamide, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



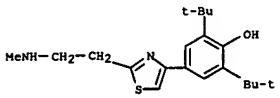
RN 473541-34-3 CAPLUS
CN Benzeneacetamide, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



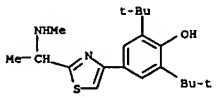
RN 473541-35-4 CAPLUS
CN Propanamide, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



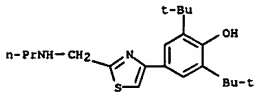
RN 473541-50-3 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[2-(methylamino)ethyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



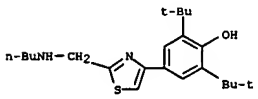
RN 473541-51-4 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[1-(methylamino)ethyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



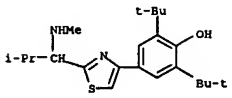
RN 473541-53-6 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[5-methyl-2-[(methylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



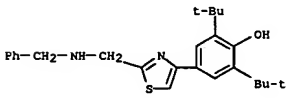
RN 473541-80-9 CAPLUS
CN Phenol,
4-[2-[(butylamino)methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



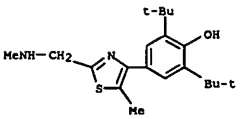
RN 473541-82-1 CAPLUS
CN Phenol,
2,6-bis(1,1-dimethylethyl)-4-[2-[2-methyl-1-(methylamino)propyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



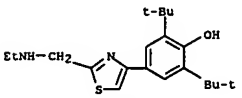
RN 473541-85-4 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[(phenylmethyl)amino]methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



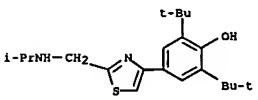
RN 717915-11-2 CAPLUS
CN Phenol,
2,6-bis(1,1-dimethylethyl)-4-[2-[3-methyl-1-(methylamino)butyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



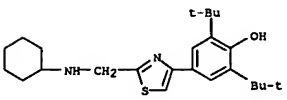
RN 473541-56-9 CAPLUS
CN Phenol,
2,6-bis(1,1-dimethylethyl)-4-[2-[(ethylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



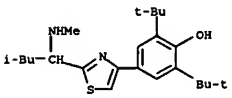
RN 473541-60-5 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[(1-methylethyl)amino]methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



RN 473541-61-6 CAPLUS
CN Phenol, 4-[2-[(cyclohexylamino)methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



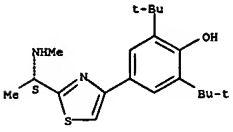
RN 473541-69-4 CAPLUS
CN Phenol,
2,6-bis(1,1-dimethylethyl)-4-[2-[(propylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



● HCl

RN 717915-19-0 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(1S)-1-(methylamino)ethyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)

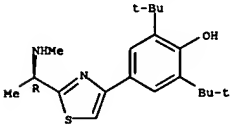
Absolute stereochemistry.



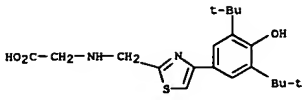
● HCl

RN 717915-23-6 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(1R)-1-(methylamino)ethyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

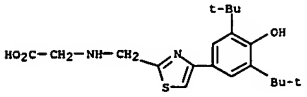


RN 717915-32-7 CAPLUS
CN Glycine, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



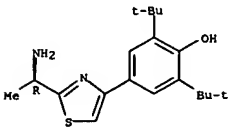
● HCl

RN 717915-36-1 CAPLUS
CN Glycine, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



RN 717915-49-6 CAPLUS
CN Phenol, 4-[2-[(1R)-1-aminoethyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

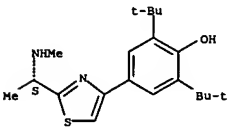
Absolute stereochemistry.



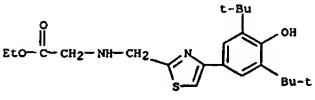
● HCl

RN 717915-51-0 CAPLUS
CN Phenol, 4-[2-[(1S)-1-aminoethyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

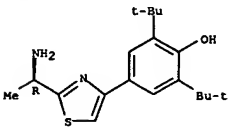


RN 717915-79-2 CAPLUS
CN Glycine, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



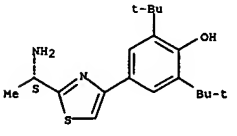
RN 717915-85-0 CAPLUS
CN Phenol, 4-[2-[(1R)-1-aminoethyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

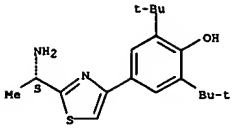
Absolute stereochemistry.



RN 717915-86-1 CAPLUS
CN Phenol, 4-[2-[(1S)-1-aminoethyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

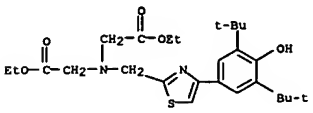
Absolute stereochemistry.





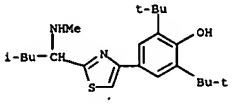
● HCl

RN 717915-62-3 CAPLUS
CN Glycine, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-N-(2-ethoxy-2-oxoethyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

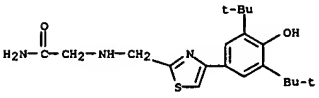
RN 717915-74-7 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[3-methyl-1-(methylamino)butyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



RN 717915-77-0 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(1S)-1-(methylamino)ethyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

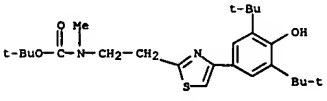
RN 845643-59-6 CAPLUS
CN Acetamide, 2-[[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



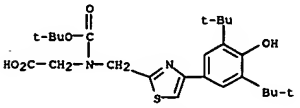
● HCl

IT 473541-38-7P 717915-34-9P 845643-61-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of five-membered heterocycle derivs. as MAO inhibitors, lipid peroxidn. inhibitors, and sodium channel modulators)

RN 473541-38-7 CAPLUS
CN Carbamic acid, [2-[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]ethyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

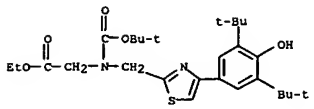


RN 717915-34-9 CAPLUS
CN Glycine, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)



RN 845643-61-0 CAPLUS
CN Glycine, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-N-[(1,1-dimethylethoxy)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

L7 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

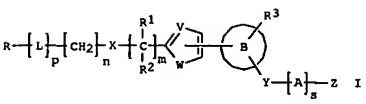
ACCESSION NUMBER: 2004:878382 CAPLUS
DOCUMENT NUMBER: 141:350161
TITLE: Preparation of azole compounds as PTP1B inhibitors
INVENTOR(S): Ikemoto, Tomoyuki; Tanaka, Masahiro; Yuno, Takeo; Sakamoto, Johei; Nakanishi, Hiroyuki; Nakagawa, Yuichi; Ohta, Takeshi; Sakata, Shohei; Morinaga, Hisayo
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 542 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089918	A1	20041021	WO 2004-JP5119	20040409
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004228565	A1	20041021	AU 2004-228565	20040409
CA 2521830	AA	20041021	CA 2004-2521830	20040409
EP 1553091	A1	20050713	EP 2004-726765	20040409
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004009136	A	20060425	BR 2004-9136	20040409
JP 2005272476	A2	20051006	JP 2005-133755	20050428
NO 2005005246	A	20051221	NO 2005-5246	20051108

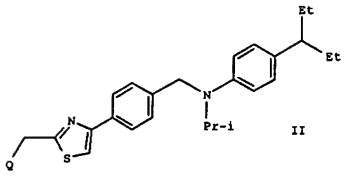
PRIORITY APPLN. INFO.:	JP 2003-105267	A 20030409
	JP 2003-157590	A 20030603
	JP 2005-505323	A3 20040409
	WO 2004-JP5119	W 20040409

OTHER SOURCE(S): MARPAT 141:350161
GI

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I



II

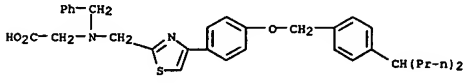
AB Title compds. I [V = N, CH; W = S, O; m = 0-2; R1, R2 = H, alkyl; X = NR4, etc.; R4 = H, alkyl; n = 0-4; p = 0, 1; L = CR20R21, etc.; R20 = H, alkyl, etc.; R21 = H, alkyl, etc.; R = CO2R19, etc.; R19 = H, alkyl; B = aryl, heteroaryl; R3 = H, halo, etc.; Y = O, etc.; s = 0, 1; A = (un)substituted alkylene with cycloalkyl; Z = cycloalkyl, etc.] were prepared For example, O-alkylation of 5-hydroxynicotinic acid Me ester with compound II [Q = Cl], e.g., prepared from 4-bromoacetylbenzoic acid in 5 steps, followed by saponification afforded compound II [3-carboxypyridin-5-yloxy] in 44.1% overall yield. In PTP1B (protein tyrosine phosphatase 1B) inhibition assays, the IC50 value of compound II [Q = 3-carboxypyridin-5-yloxy] was 0.28 μM. Compds. I are claimed useful for the treatment of obesity, diabetes, etc. Formulations are given.

IT 776309-65-0P 776309-66-1P 776309-69-4P
776309-70-7P 776309-71-8P 776309-72-9P
776309-73-0P 776309-74-1P 776309-75-2P
776309-77-4P 776309-78-5P 776309-79-6P
776310-81-7P 776310-82-8P 776310-86-2P
776310-87-3P 776310-88-4P 776310-89-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azole compds. as PTP1B inhibitors for treatment of obesity and diabetes)

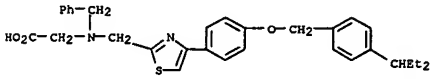
RN 776309-65-0 CAPLUS

L7 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

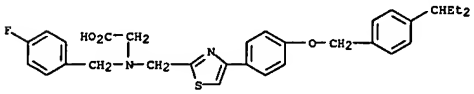
CN Glycine, N-(phenylmethyl)-N-[[4-[4-[[4-(1-propylbutyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



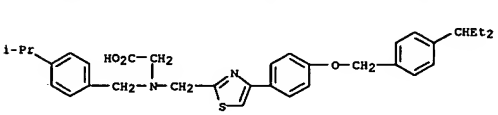
RN 776309-66-1 CAPLUS
CN Glycine, N-[[4-[4-[[4-(1-ethylpropyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



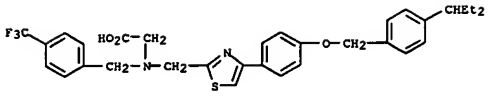
RN 776309-69-4 CAPLUS
CN Glycine, N-[[4-[4-[[4-(1-ethylpropyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



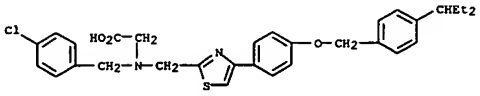
RN 776309-70-7 CAPLUS
CN Glycine, N-[[4-[4-[[4-(1-ethylpropyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]-N-[[4-(1-methylethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



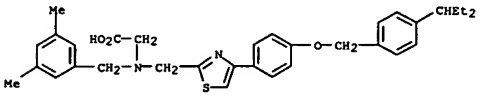
RN 776309-71-8 CAPLUS
CN Glycine, N-[[4-[4-[[4-(1-ethylpropyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



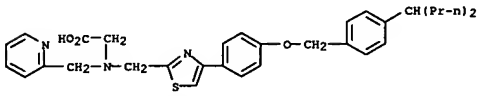
RN 776309-72-9 CAPLUS
CN Glycine, N-[(4-chlorophenyl)methyl]-N-[[4-[4-[[4-(1-ethylpropyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



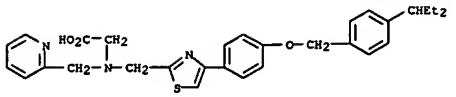
RN 776309-73-0 CAPLUS
CN Glycine, N-[(3,5-dimethylphenyl)methyl]-N-[[4-[4-[[4-(1-ethylpropyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



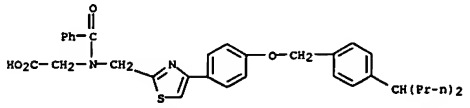
RN 776309-74-1 CAPLUS
CN Glycine, N-[[4-[4-[[4-(1-propylbutyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



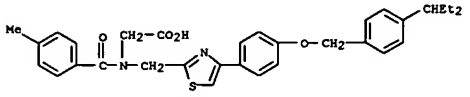
RN 776309-75-2 CAPLUS
CN Glycine, N-[[4-[4-[[4-(1-ethylpropyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



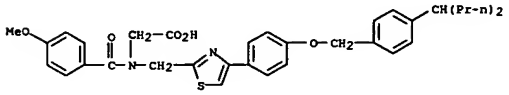
RN 776309-77-4 CAPLUS
CN Glycine, N-benzoyl-N-[[4-[4-[[4-(1-propylbutyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



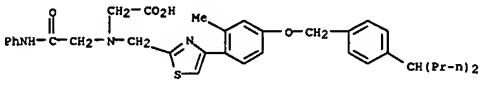
RN 776309-78-5 CAPLUS
CN Glycine, N-[[4-[4-[[4-(1-ethylpropyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]-N-(4-methylbenzoyl)- (9CI) (CA INDEX NAME)



RN 776309-79-6 CAPLUS
CN Glycine, N-(4-methoxybenzoyl)-N-[[4-[4-[[4-(1-propylbutyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)

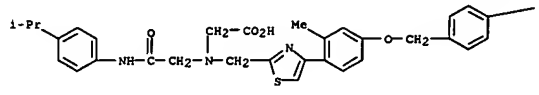


RN 776310-81-7 CAPLUS
CN Glycine, N-[[4-[2-methyl-4-[[4-(1-propylbutyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]-N-[2-oxo-2-(phenylamino)ethyl]- (9CI) (CA INDEX NAME)



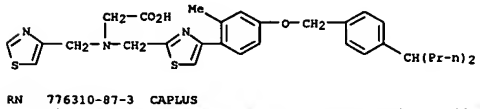
RN 776310-82-8 CAPLUS
CN Glycine, N-[2-[[4-(1-methylethyl)phenyl]amino]-2-oxoethyl]-N-[[4-[2-methyl-4-[[4-(1-propylbutyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

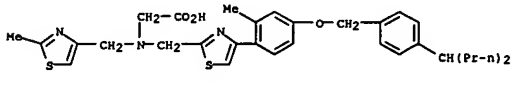


PAGE 1-B

RN 776310-86-2 CAPLUS
CN Glycine, N-[[4-[2-methyl-4-[[4-(1-propylbutyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]-N-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)



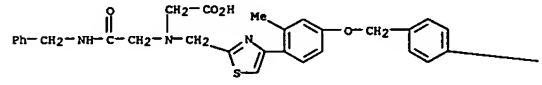
RN 776310-87-3 CAPLUS
CN Glycine, N-[[4-[2-methyl-4-[[4-(1-propylbutyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]-N-[(2-methyl-4-thiazolyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 776310-88-4 CAPLUS
CN Glycine, N-[[4-[2-methyl-4-[[4-(1-propylbutyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]-N-[2-oxo-2-[(phenylmethyl)amino]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

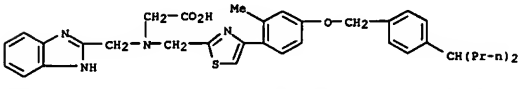
PAGE 1-A



● HCl

PAGE 1-B

RN 776310-89-5 CAPLUS
CN Glycine, N-(1H-benzimidazol-2-ylmethyl)-N-[[4-[2-methyl-4-[[4-(1-propylbutyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

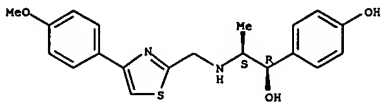
ACCESSION NUMBER: 2004:579270 CAPLUS
DOCUMENT NUMBER: 142:32843
TITLE: Kinetic characterization of novel NR2B antagonists using fluorescence detection of calcium flux
AUTHOR(S): Bednar, Bohumil; Cunningham, Michael E.; Kiss, Laszlo; Cheng, Gong; McCauley, John A.; Liverton, Nigel J.; Koblan, Kenneth S.
CORPORATE SOURCE: Department of Neurology, Merck Research Laboratories, West Point, PA, 19454, USA
SOURCE: Journal of Neuroscience Methods (2004), 137(2), 247-255
CODEN: JNMEDT; ISSN: 0165-0270
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB To facilitate the discovery of novel N-methyl-d-aspartate (NMDA) receptor antagonists, we have developed a high-throughput functional assay based on fluorescence detection of free intracellular calcium concns. Mouse fibroblast L(tk-) cells expressing human NR1a/NR2B NMDA receptors were plated in 96-well plates and loaded with florescence calcium indicator fluo-3 AM. NR2B antagonists were added after stimulation of NMDA receptors with 10 μM glutamate and 10 μM glycine. Changes in fluorescence after the addition of the antagonists were fitted by a single exponential equation providing kobs. The concentration dependence of kobs was linear for all NR2B antagonists at concns. where kobs<0.2 s-1. The values of kobs for six structurally distinct NR2B antagonists were in the range of 1.1 to 7.5+105 M-1 s-1. These values were several orders of magnitude slower than that obtained for diffusion limited Mg2+ channel block. The rate consts. koff provided the values of t1/2 for dissociation of NR2B antagonists in the range of 1.8 min for ifenprodil to 240 min for the slowest novel antagonist. The IC50 values obtained from the end-point fluorescence measurements agree with Kd values calculated from kinetic measurements. All kinetic consts., obtained using our fluorescence method, correlate well with data measured by voltage clamp.

IT 807610-12-4
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(kinetic characterization of novel NR2B antagonists using fluorescence detection of calcium flux)

RN 807610-12-4 CAPLUS
CN Benzenemethanol, 4-hydroxy-α-[(1S)-1-[[[4-(4-methoxyphenyl)-2-thiazolyl]methyl]amino]ethyl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

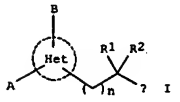
ACCESSION NUMBER: 2004:550745 CAPLUS
DOCUMENT NUMBER: 141:106475
TITLE: Preparation of 5-membered heterocycle derivatives for treating neurodegenerative disorders or pain
INVENTOR(S): Chabrier De Lassauniere, Pierre-Etienne; Harnett, Jeremiah; Bigg, Dennis; Liberatore, Anne-Marie; Pommier, Jacques; Lannoy, Jacques; Thurieau, Christophe
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 150 pp., Cont.-in-part of U.S. Ser. No. 89,993.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004132788	A1	20040708	US 2003-681002	20031008
FR 2799461	A1	20010413	FR 1999-12643	19991011
FR 2799461	B1	20020104		
FR 2812546	A1	20020208	FR 2000-10151	20000801
WO 2001026656	A2	20010419	WO 2000-FR2805	20001010
WO 2001026656	A3	20020418		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1228760	A2	20020807	EP 2002-76763	20001010
EP 1228760	A3	20040128		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
EP 1589007	A2	20051026	EP 2005-76749	20001010
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, CY				
FR 2823208	A1	20021011	FR 2001-4943	20010410
FR 2823208	B1	20040319		
ZA 2003007750	A	20040726	ZA 2003-7750	20031003
US 2005038087	A1	20050217	US 2004-915001	20040810
WO 2005035510	A1	20050421	WO 2004-FR2537	20041008
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			FR 1999-12643	A 19991011
			FR 2000-10151	A 20000801

L7 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

FR 2000-11169	A	20000901
WO 2000-FR2805	W	20001010
FR 2001-4943	A	20010410
FR 2002-1811	A	20020214
US 2002-89993	A2	20020404
EP 2000-967988	A3	20001010
WO 2002-FR1218	A1	20020409
US 2003-681002	A2	20031008
US 2004-915001	A	20040810

OTHER SOURCE(S): MARPAT 141:106475
GI

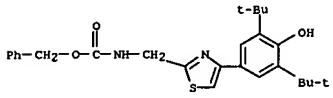


AB The invention relates to thiazole, oxazole, imidazole, isoxazole and isoxazoline derivs. of general formula (I) [wherein Het = thiazole, oxazole, imidazole, isoxazole or isoxazoline; n = an integer from 0 to 6; A = optionally substituted aromatic radical; B = H, alkyl, Ph; R1, R2 = H, alkyl, cycloalkyl; Q = NR46R47 or OR48; R46, R47 = H, alkyl, cycloalkyl, (CH2)k-CO2R51; R51 = alkyl, haloalkyl; R48 = H, alkyl]. These compds. have advantageous pharmacol. properties which allow their use in a medicament intended to inhibit monoamine oxidases (MAO) and/or lipidic peroxidn. and/or to act as modulators of the sodium channels and notably their use in therapeutics for treating (1) central or peripheral nervous system, (2) neurodegenerative disorders selected from Parkinson's disease, Alzheimer's disease, Huntington's chorea and amyotrophic lateral sclerosis or (3) pain selected from the group consisting of postoperative pain, migraine, neuropathic pain, central pain, chronic inflammatory pain and pain linked to a cancer. Thus, 2-[[[(1,1-dimethylethoxy)carbonyl]methyl]amino]ethanethioamide (4.3 g, 2.11 mmol) and 2-bromo-1-(3,5-di-tert-butyl-4-hydroxyphenyl)ethanone (6,9 g, 2,11 mmol) were dissolved in 75 mL benzene under argon atmospheric and stirred at ambient temperature for 12 h to give, after

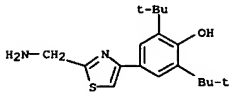
workup and silica gel chromatog., 4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-2-thiazolemethanamine which was treated with CF3CO2H and triethylsilane in 50 mL CH2Cl2 to give, after workup, 4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-N-methyl-2-thiazolemethanamine (II). II showed IC50 of lower than 10 μM for inhibiting lipid peroxidn. of the cerebral cortex of rats.

IT **335242-74-5P**, Benzyl [[4-[3,5-di(tert-butyl)-4-hydroxyphenyl]-1,3-thiazol-2-yl]methyl]carbamate **335242-75-6P**, 4-[2-(Aminomethyl)-1,3-thiazol-4-yl]-2,6-di(tert-butyl)phenol **335246-19-0P**, 4-[3,5-Bis(1,1-dimethylethyl)-4-hydroxyphenyl]-N-methyl-2-thiazolemethanamine hydrochloride **473540-29-3P** **473541-69-4P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate; preparation of 5-membered heterocycle derivs. for treating diseases of central or peripheral nervous system, neurodegenerative disorders, or pain)

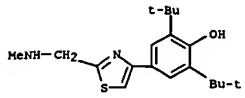
RN 335242-74-5 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



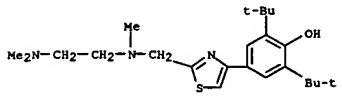
RN 335242-75-6 CAPLUS
CN Phenol, 4-[2-(aminomethyl)-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



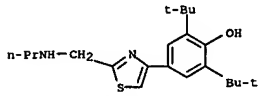
RN 335246-19-0 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(methylamino)methyl]-4-thiazolyl]-, hydrochloride (9CI) (CA INDEX NAME)



RN 473540-29-3 CAPLUS
CN Phenol, 4-[2-[[[2-(dimethylamino)ethyl]methylamino]methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

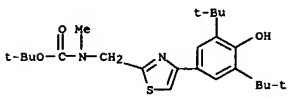


RN 473541-69-4 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(propylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)

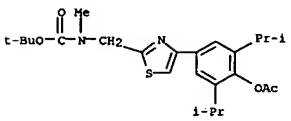


IT **218944-60-6P**, 4-[3,5-Bis(1,1-dimethylethyl)-4-hydroxyphenyl]-N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-2-thiazolemethanamine **335247-51-3P**, 4-[2-[[(tert-Butoxycarbonyl)(methyl)amino]methyl]-1,3-thiazol-4-yl]-2,6-diisopropylphenyl acetate **335247-52-4P** **335247-53-5P** **473541-38-7P** **473541-42-3P** **473541-44-5P** **473542-72-2P** **717915-30-5P** **717915-34-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 5-membered heterocycle derivs. for treating diseases of central or peripheral nervous system, neurodegenerative disorders, or pain)

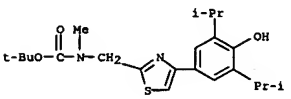
RN 218944-60-6 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



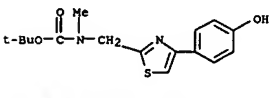
RN 335247-51-3 CAPLUS
CN Carbamic acid, [[4-[4-(acetyloxy)-3,5-bis(1-methylethyl)phenyl]-2-thiazolyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



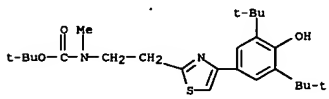
RN 335247-52-4 CAPLUS
CN Carbamic acid, [[4-[4-hydroxy-3,5-bis(1-methylethyl)phenyl]-2-thiazolyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



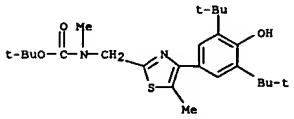
RN 335247-53-5 CAPLUS
CN Carbamic acid, [[4-(4-hydroxyphenyl)-2-thiazolyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



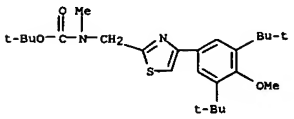
RN 473541-38-7 CAPLUS
CN Carbamic acid, [2-[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]ethyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



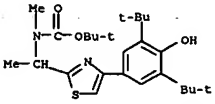
RN 473541-42-3 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-5-methyl-2-thiazolyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



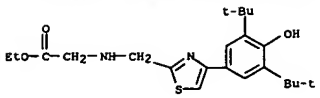
RN 473541-44-5 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-methoxyphenyl]-2-thiazolyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 473542-72-2 CAPLUS
CN Carbamic acid, [1-[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]ethyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

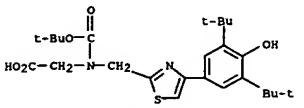


RN 717915-30-5 CAPLUS
CN Glycine, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

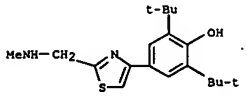
RN 717915-34-9 CAPLUS
CN Glycine, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)



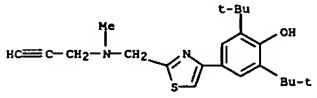
IT **218944-61-7P**, 4-[3,5-Bis(1,1-dimethylethyl)-4-hydroxyphenyl]-N-methyl-2-thiazolemethanamine **335242-67-6P**, 2,6-Di(tert-butyl)-4-[2-[[methyl(2-propynyl)amino]methyl]-1,3-thiazol-4-yl]phenol **335242-68-7P**, 2-[[[4-[3,5-Di(tert-butyl)-4-hydroxyphenyl]-1,3-thiazol-2-yl]methyl](methyl)amino]acetonitrile **335242-69-8P**, 5-[[[4-[3,5-Di(tert-butyl)-4-hydroxyphenyl]-1,3-thiazol-2-yl]methyl](methyl)amino]pentanenitrile **335242-70-1P**, 6-[[[4-[3,5-Di(tert-butyl)-4-hydroxyphenyl]-1,3-thiazol-2-yl]methyl](methyl)amino]hexanenitrile **335242-71-2P**, 2,6-Di(tert-butyl)-4-[2-[[(2-hydroxyethyl)(methyl)amino]methyl]-1,3-thiazol-4-yl]phenol **335242-72-3P**, 4-[2-[[Benzyl(methyl)amino]methyl]-1,3-thiazol-4-yl]-2,6-di(tert-butyl)phenol **335242-76-7P**, 2,6-Di(tert-butyl)-4-[2-[[methyl(4-nitrobenzyl)amino]methyl]-1,3-thiazol-4-yl]phenol **335242-77-8P**,
4-[2-[[(4-Aminobenzyl)(methyl)amino]methyl]-1,3-thiazol-4-yl]-2,6-di-tert-butylphenol **335242-78-9P**, 2,6-Di(tert-butyl)-4-[2-[[(4-nitrobenzyl)amino]methyl]-1,3-thiazol-4-yl]phenol **335242-79-0P**, 4-[2-[[(4-Aminobenzyl)amino]methyl]-1,3-thiazol-4-yl]-2,6-di(tert-butyl)phenol **335242-81-4P**, 4-[[[4-(3,5-Di-tert-butyl-4-hydroxyphenyl)-1,3-thiazol-2-yl]methyl](methyl)amino]butanenitrile **335242-82-5P**, 2,6-Di-tert-butyl-4-[2-[[(3-nitrobenzyl)amino]methyl]-1,3-thiazol-4-yl]phenol **335245-99-3P**, 2,6-Diisopropyl-4-[2-[(methylamino)methyl]-1,3-thiazol-4-yl]phenol hydrochloride **335246-01-0P**, 4-[2-[(Methylamino)methyl]-1,3-thiazol-4-yl]phenol hydrochloride **335246-05-4P**, 2,6-Di-tert-butyl-4-[2-[(dimethylamino)methyl]-1,3-thiazol-4-yl]phenol hydrochloride **335246-31-6P**, 2,6-Diisopropyl-4-[2-[(methylamino)methyl]-1,3-thiazol-4-yl]phenol **335246-32-7P**, 4-[2-[(Methylamino)methyl]-1,3-thiazol-4-yl]phenol **335246-34-9P**, 2,6-Di-tert-butyl-4-[2-[(dimethylamino)methyl]-1,3-thiazol-4-yl]phenol **473540-20-4P 473540-21-5P 473540-24-8P**

473540-25-9P 473540-28-2P 473540-30-6P
473540-32-8P 473540-33-9P 473540-34-0P
473540-38-4P 473540-39-5P 473540-68-0P
473540-86-2P 473540-96-4P 473541-07-0P
473541-32-1P 473541-33-2P 473541-34-3P
473541-35-4P 473541-50-3P 473541-51-4P
473541-53-6P 473541-55-8P 473541-56-9P
473541-60-5P 473541-61-6P 473541-80-9P
473541-82-1P 473541-85-4P 717915-11-2P
717915-19-0P 717915-23-6P 717915-32-7P
717915-36-1P 717915-49-6P 717915-51-0P
717915-62-3P 717915-74-7P 717915-77-0P
717915-79-2P 717915-85-0P 717915-86-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5-membered heterocycle derivs. for treating diseases of central or peripheral nervous system, neurodegenerative disorders, or pain)

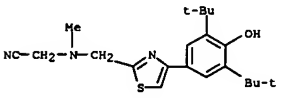
RN 218944-61-7 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(methylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



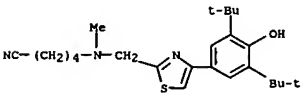
RN 335242-67-6 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(methyl-2-propynylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



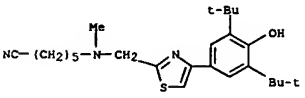
RN 335242-68-7 CAPLUS
CN Acetonitrile, [[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]methylamino]- (9CI) (CA INDEX NAME)



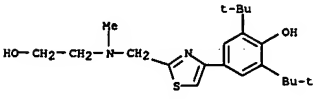
RN 335242-69-8 CAPLUS
CN Pentanenitrile, 5-[[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]methylamino]- (9CI) (CA INDEX NAME)



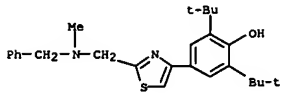
RN 335242-70-1 CAPLUS
CN Hexanenitrile, 6-[[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]methylamino]- (9CI) (CA INDEX NAME)



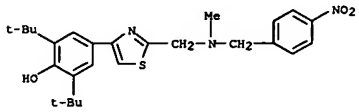
RN 335242-71-2 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[(2-hydroxyethyl)methylamino]methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



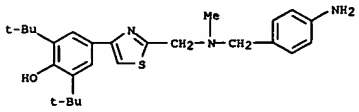
RN 335242-72-3 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[methyl(phenylmethyl)amino]methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



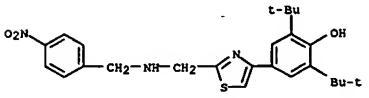
RN 335242-76-7 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[methyl[(4-nitrophenyl)methyl]amino]methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



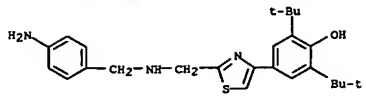
RN 335242-77-8 CAPLUS
CN Phenol, 4-[2-[[[(4-aminophenyl)methyl]methylamino]methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



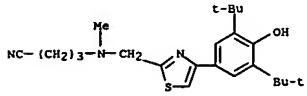
RN 335242-78-9 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[[(4-nitrophenyl)methyl]amino]methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



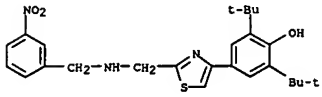
RN 335242-79-0 CAPLUS
CN Phenol, 4-[2-[[[(4-aminophenyl)methyl]amino]methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



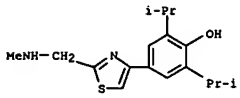
RN 335242-81-4 CAPLUS
CN Butanenitrile, 4-[[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]methylamino]- (9CI) (CA INDEX NAME)



RN 335242-82-5 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[[(3-nitrophenyl)methyl]amino]methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)

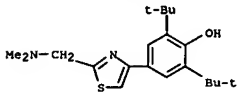


RN 335245-99-3 CAPLUS
CN Phenol, 4-[2-[(methylamino)methyl]-4-thiazolyl]-2,6-bis(1-methylethyl)-, hydrochloride (9CI) (CA INDEX NAME)

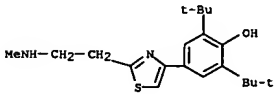


●x HCl

RN 335246-01-0 CAPLUS
CN Phenol, 4-[2-[(methylamino)methyl]-4-thiazolyl]-, hydrochloride (9CI) (CA INDEX NAME)

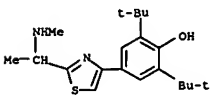


RN 473540-20-4 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[2-(methylamino)ethyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



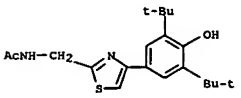
● HCl

RN 473540-21-5 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[1-(methylamino)ethyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)

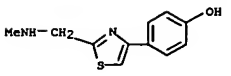


● HCl

RN 473540-24-8 CAPLUS
CN Acetamide, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)

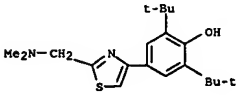


RN 473540-25-9 CAPLUS



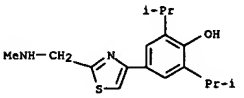
●x HCl

RN 335246-05-4 CAPLUS
CN Phenol, 4-[2-[(dimethylamino)methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)-, hydrochloride (9CI) (CA INDEX NAME)

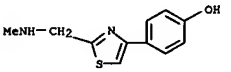


●x HCl

RN 335246-31-6 CAPLUS
CN Phenol, 4-[2-[(methylamino)methyl]-4-thiazolyl]-2,6-bis(1-methylethyl)-(9CI) (CA INDEX NAME)

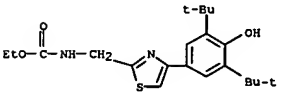


RN 335246-32-7 CAPLUS
CN Phenol, 4-[2-[(methylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)

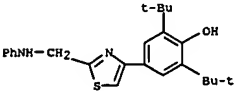


RN 335246-34-9 CAPLUS
CN Phenol, 4-[2-[(dimethylamino)methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

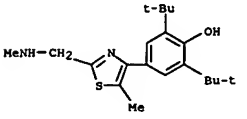
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 473540-28-2 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(phenylamino)methyl]-4-thiazolyl]-(9CI) (CA INDEX NAME)

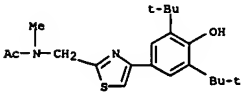


RN 473540-30-6 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[5-methyl-2-[(methylamino)methyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)

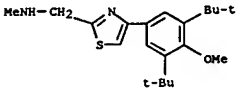


● HCl

RN 473540-32-8 CAPLUS
CN Acetamide, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

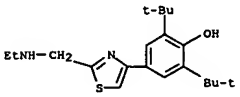


RN 473540-33-9 CAPLUS
CN 2-Thiazolemethanamine, 4-[3,5-bis(1,1-dimethylethyl)-4-methoxyphenyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



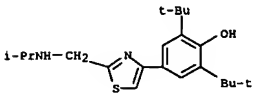
● HCl

RN 473540-34-0 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(ethylamino)methyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



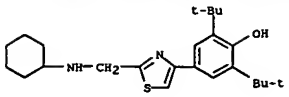
● HCl

RN 473540-38-4 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[(1-methylethyl)amino]methyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



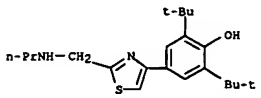
● HCl

RN 473540-39-5 CAPLUS
CN Phenol, 4-[2-[(cyclohexylamino)methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



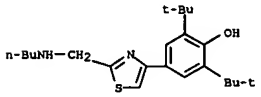
● HCl

RN 473540-68-0 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(propylamino)methyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



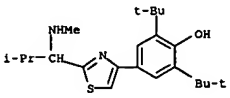
● HCl

RN 473540-86-2 CAPLUS
CN Phenol, 4-[2-[(butylamino)methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



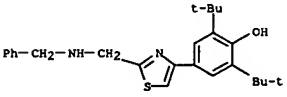
● HCl

RN 473540-96-4 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[2-methyl-1-(methylamino)propyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



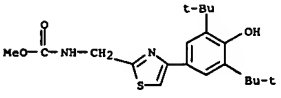
● HCl

RN 473541-07-0 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[(phenylmethyl)amino]methyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)

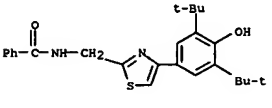


● HCl

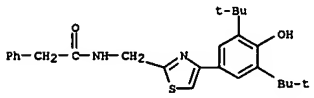
RN 473541-32-1 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



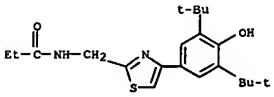
RN 473541-33-2 CAPLUS
CN Benzamide, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



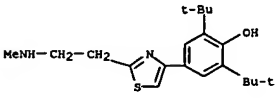
RN 473541-34-3 CAPLUS
CN Benzeneacetamide, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



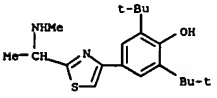
RN 473541-35-4 CAPLUS
CN Propanamide, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



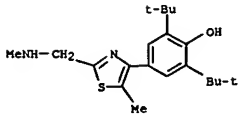
RN 473541-50-3 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[2-(methylamino)ethyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



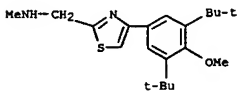
RN 473541-51-4 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[1-(methylamino)ethyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



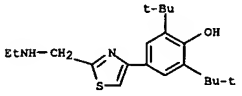
RN 473541-53-6 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[5-methyl-2-[(methylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



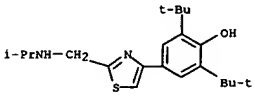
RN 473541-55-8 CAPLUS
CN 2-Thiazolemethanamine, 4-[3,5-bis(1,1-dimethylethyl)-4-methoxyphenyl]-N-methyl- (9CI) (CA INDEX NAME)



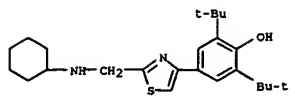
RN 473541-56-9 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(ethylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



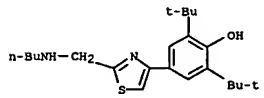
RN 473541-60-5 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[(1-methylethyl)amino]methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



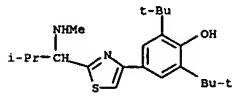
RN 473541-61-6 CAPLUS
CN Phenol, 4-[2-[(cyclohexylamino)methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



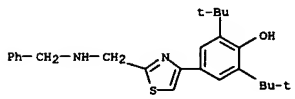
RN 473541-80-9 CAPLUS
CN Phenol, 4-[2-[(butylamino)methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



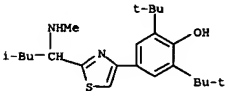
RN 473541-82-1 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[2-methyl-1-(methylamino)propyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



RN 473541-85-4 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[(phenylmethyl)amino]methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



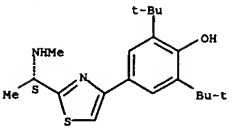
RN 717915-11-2 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[3-methyl-1-(methylamino)butyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 717915-19-0 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(1S)-1-(methylamino)ethyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)

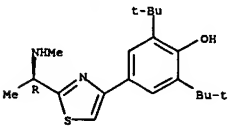
Absolute stereochemistry.



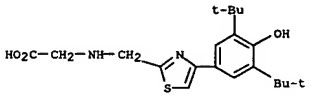
● HCl

RN 717915-23-6 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(1R)-1-(methylamino)ethyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

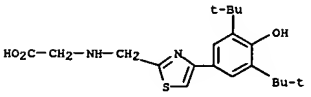


RN 717915-32-7 CAPLUS
CN Glycine, N-[[4-(3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



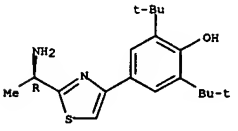
● HCl

RN 717915-36-1 CAPLUS
CN Glycine, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



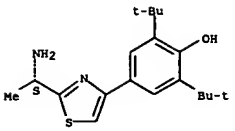
RN 717915-49-6 CAPLUS
CN Phenol, 4-[2-[(1R)-1-aminoethyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



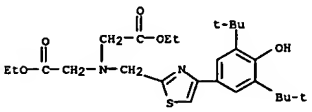
RN 717915-51-0 CAPLUS
CN Phenol, 4-[2-[(1S)-1-aminoethyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



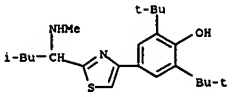
● HCl

RN 717915-62-3 CAPLUS
CN Glycine, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-N-(2-ethoxy-2-oxoethyl)-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



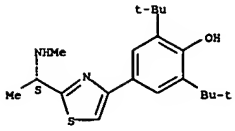
● HCl

RN 717915-74-7 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[3-methyl-1-(methylamino)butyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)

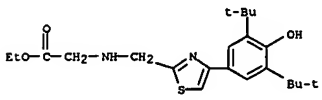


RN 717915-77-0 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(1S)-1-(methylamino)ethyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

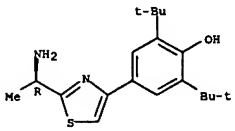


RN 717915-79-2 CAPLUS
CN Glycine, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



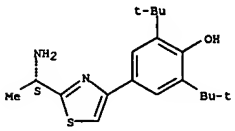
RN 717915-85-0 CAPLUS
CN Phenol, 4-[2-[(1R)-1-aminoethyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

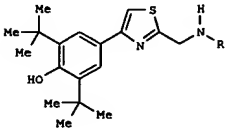


RN 717915-86-1 CAPLUS
CN Phenol, 4-[2-[(1S)-1-aminoethyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

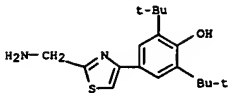


ACCESSION NUMBER: 2003:981469 CAPLUS
DOCUMENT NUMBER: 140:199246
TITLE: Phenolic thiazoles as novel orally-active neuroprotective agents
AUTHOR(S): Harnett, Jeremiah J.; Roubert, Veronique; Dolo, Christine; Charnet, Christelle; Spinnewyn, Brigitte; Cornet, Sylvie; Rolland, Alain; Marin, Jean-Gregoire; Bigg, Dennis; Chabrier, Pierre-E.
CORPORATE SOURCE: Ipsen Research Laboratories, Department of Medicinal Chemistry, Institute Henri Beaufour, Les Ulis, 91966, Fr.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(1), 157-160
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:199246
GI



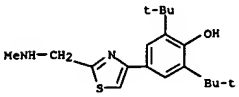
I

AB Phenolic thiazoles I (R = H, Me) were prepared and tested in vivo for antioxidant and neuroprotective activities. I showed potent antioxidant activity and potent neuroprotection in mitochondrial toxin models. Furthermore, I also possessed good oral bioavailability.
IT 663172-95-0P 663172-98-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, antioxidant, and neuroprotective activity of (aminomethyl)(hydroxyaryl)thiazole salts via sulfurization of aminoacetamides followed by heterocyclization with di(t-butyl)hydroxyphenacyl bromide, deprotection, and salt formation)
RN 663172-95-0 CAPLUS
CN Phenol, 4-[2-(aminomethyl)-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

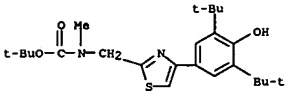
RN 663172-98-3 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(methylamino)methyl]-4-thiazolyl]-, dihydrochloride (9CI) (CA INDEX NAME)



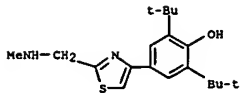
●2 HCl

IT **218944-60-6P 218944-61-7P 335242-74-5P 335242-75-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, antioxidant, and neuroprotective activity of (aminomethyl)[hydroxyaryl]thiazole salts via sulfurization of aminoacetamides followed by heterocyclization with di(t-butyl)hydroxyphenacyl bromide, deprotection, and salt formation)

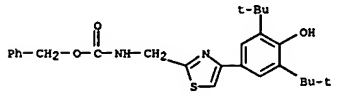
RN 218944-60-6 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



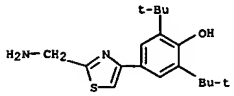
RN 218944-61-7 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(methylamino)methyl]-4-thiazolyl]-(9CI) (CA INDEX NAME)



RN 335242-74-5 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 335242-75-6 CAPLUS
CN Phenol, 4-[2-(aminomethyl)-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2003:319488 CAPLUS
DOCUMENT NUMBER: 138:337988
TITLE: Novel 2-[(iminomethyl)amino]phenyl derivatives useful as inhibitors of NO synthase and lipid peroxidation, their preparation, their application as medicines, and pharmaceutical compositions containing them
INVENTOR(S): Chabrier De Lassauniere, Pierre Etienne; Auvin, Serge; Bigg, Dennis; Auguet, Michel; Harnett, Jeremiah
PATENT ASSIGNEE(S): Societe de Conseils de Recherches et D'Applications scientifiques (S.C.R.A.S.), Fr.
SOURCE: U.S. Pat. Appl. Publ., 78 pp., Cont.-in-part of U.S. Ser. No. 882,264.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

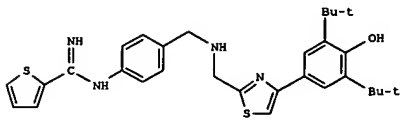
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003078420	A1	20030424	US 2002-191950	20020709
US 6809088	B2	20041026		
FR 2761066	A1	19980925	FR 1997-3528	19970324
FR 2761066	B1	20001124		
FR 2764889	A1	19981224	FR 1997-7701	19970620
FR 2764889	B1	20000901		
WO 9842696	A1	19981001	WO 1998-FR288	19980216
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
WO 9858934	A1	19981230	WO 1998-FR1250	19980615
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6335445	B1	20020101	US 1999-456205	19991207
US 2002007062	A1	20020117	US 2001-882264	20010615
US 6630461	B2	20031007		
US 2005043397	A1	20050224	US 2004-898916	20040726
US 2005187272	A1	20050825	US 2005-105291	20050413

PRIORITY APPLN. INFO.:

FR 1997-3528	A	19970324
FR 1997-7701	A	19970620
WO 1998-FR288	W	19980216
WO 1998-FR1250	W	19980615
US 1999-456205	A3	19991207

US 2001-882264	A2	20010615
US 1999-381749	A2	19990922
US 2002-191950	A3	20020709
US 2004-898916	A3	20040726

OTHER SOURCE(S): MARPAT 138:337988
GI

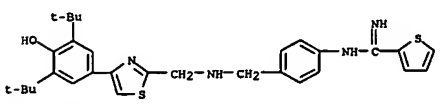


I

AB Title compds., e.g., N-[4-[[[[4-(3,5-di-tert-butyl-4-hydroxyphenyl)-1,3-thiazol-2-yl]methyl]amino]methyl]phenyl]thiophene-2-carboximidamide (I) are prepared The compds. are inhibitors of NO synthases, and are also antioxidants which inhibit lipid peroxidn. Approx. 70 examples are prepared
I had IC50 for inhibiting rat neuronal NO synthase in vitro < 3.5 μM, and the IC50 for inhibiting rat cerebral lipid peroxidn. in vitro is < 30 μM.

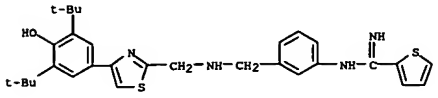
IT **515815-18-6P, N-[4-[[[[4-(3,5-Di-tert-butyl-4-hydroxyphenyl)-1,3-thiazol-2-yl]methyl]amino]methyl]phenyl]thiophene-2-carboximidamide**
515815-19-7P, N-[3-[[[[4-(3,5-Di-tert-butyl-4-hydroxyphenyl)-1,3-thiazol-2-yl]methyl]amino]methyl]phenyl]thiophene-2-carboximidamide
515815-20-0P, N-[4-[[[[4-(3,5-Di-tert-butyl-4-hydroxyphenyl)-1,3-thiazol-2-yl]methyl](methyl)amino]methyl]phenyl]thiophene-2-carboximidamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and testing of 2-[(iminomethyl)amino]phenyl derivs. as inhibitors of NO synthase and lipid peroxidn.)

RN 515815-18-6 CAPLUS
CN 2-Thiophenecarboximidamide, N-[4-[[[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

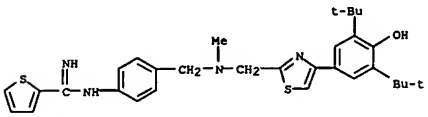


RN 515815-19-7 CAPLUS
CN 2-Thiophenecarboximidamide, N-[3-[[[[4-[3,5-bis(1,1-dimethylethyl)-4-

L7 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
hydroxyphenyl]-2-thiazolyl]methyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

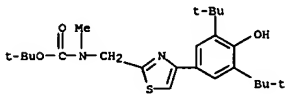


RN 515815-20-0 CAPLUS
CN 2-Thiophenecarboximidamide, N-[4-[[[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]methylamino]methyl]phenyl]- (9CI) (CA INDEX NAME)



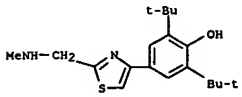
IT **218944-60-6P**, 4-[3,5-Bis(1,1-dimethylethyl)-4-hydroxyphenyl]-N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-2-thiazolemethanamine **218944-61-7P**, 4-[3,5-Bis(1,1-dimethylethyl)-4-hydroxyphenyl]-N-methyl-2-thiazolemethanamine **335242-74-5P**, Benzyl [4-[3,5-di(tert-butyl)-4-hydroxyphenyl]-1,3-thiazol-2-yl]methylcarbamate **335242-75-6P**, 4-[2-(Aminomethyl)-1,3-thiazol-4-yl]-2,6-(di-tert-butyl)phenol **335242-76-7P**, 2,6-Di-tert-butyl-4-[2-[[methyl(4-nitrobenzyl)amino]methyl]-1,3-thiazol-4-yl]phenol **335242-77-8P**,

4-[2-[[(4-Aminobenzyl)(methyl)amino]methyl]-1,3-thiazol-4-yl]-2,6-di-tert-butylphenol **335242-78-9P**, 2,6-Di-tert-butyl-4-[2-[[(4-nitrobenzyl)amino]methyl]-1,3-thiazol-4-yl]phenol **335242-79-0P**, 4-[2-[[(4-Aminobenzyl)amino]methyl]-1,3-thiazol-4-yl]-2,6-di-tert-butylphenol
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and testing of 2-[(iminomethyl)amino]phenyl derivs. as inhibitors of NO synthase and lipid peroxidn.)
RN 218944-60-6 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

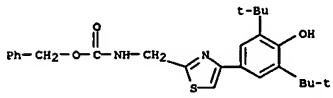


L7 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

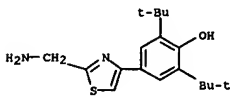
RN 218944-61-7 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(methylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



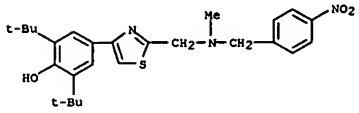
RN 335242-74-5 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 335242-75-6 CAPLUS
CN Phenol, 4-[2-(aminomethyl)-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

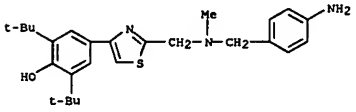


RN 335242-76-7 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[methyl[(4-nitrophenyl)methyl]amino]methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)

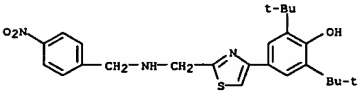


RN 335242-77-8 CAPLUS

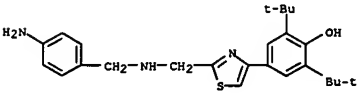
L7 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Phenol, 4-[2-[[[(4-aminophenyl)methyl]methylamino]methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



RN 335242-78-9 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[[(4-nitrophenyl)methyl]amino]methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



RN 335242-79-0 CAPLUS
CN Phenol, 4-[2-[[[(4-aminophenyl)methyl]amino]methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



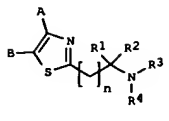
L7 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:97298 CAPLUS
DOCUMENT NUMBER: 138:131175
TITLE: Use of thiazole derivatives for preparing a medicine for protecting mitochondria
INVENTOR(S): Auguet, Michel; Chabrier De Lassauniere, Pierre-Etienne; Harnett, Jeremiah
PATENT ASSIGNEE(S): Societe De Conseils De Recherches Et D'Applications Scientifiques (S.C.R.A.S.), Fr.
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003009843	A1	20030206	WO 2002-FR2660	20020725
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2827772	A1	20030131	FR 2001-9979	20010726
FR 2827772	B1	20060428		
CA 2455635	AA	20030206	CA 2002-2455635	20020725
EP 1414447	A1	20040506	EP 2002-774830	20020725
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002011423	A	20040817	BR 2002-11423	20020725
CN 1533276	A	20040929	CN 2002-814509	20020725
JP 2005500337	T2	20050106	JP 2003-515236	20020725
NZ 530368	A	20050429	NZ 2002-530368	20020725
US 2004248885	A1	20041209	US 2004-483823	20040113

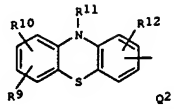
PRIORITY APPLN. INFO.: FR 2001-9979 A 20010726
WO 2002-FR2660 W 20020725

OTHER SOURCE(S): MARPAT 138:131175
GI



I

Q1

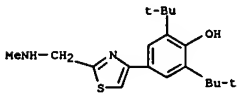


Q2

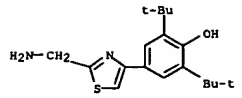
AB The invention discloses compds. I [A = Q1, Q2; R5 = H, alkyl; R6-R8 = H, alkyl, cycloalkyl, OH, alkoxy; R11 = H, alkyl; R9, R10, R12 = H, alkyl, OH, alkoxy; B = H, alkyl; n = 0-5; R1, R2 = H, alkyl, cycloalkyl; R3, R4 = H, alkyl, or R3NR4 form (un)substituted heterocycle comprising in all 1-2 heteroatoms and 5-7 members]. The compds. can be used for preparing a medicine for protecting mitochondria, and in particular a medicine for preventing or treating cirrhosis.

IT **218944-61-7 335242-75-6 473541-51-4**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(thiazole derivs. for preparing a medicine for protecting mitochondria)

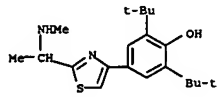
RN 218944-61-7 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(methylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



RN 335242-75-6 CAPLUS
CN Phenol, 4-[2-(aminomethyl)-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



RN 473541-51-4 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[1-(methylamino)ethyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)

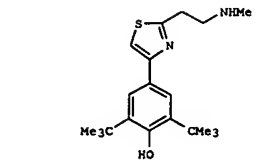


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

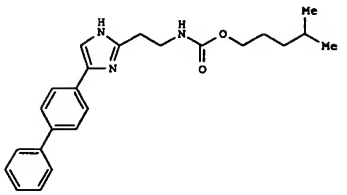
ACCESSION NUMBER: 2002:814116 CAPLUS
DOCUMENT NUMBER: 137:325417
TITLE: Preparation and application of 5-membered heterocycles as medicaments
INVENTOR(S): Harnett, Jeremiah; Bigg, Dennis; Liberatore, Anne-Marie; Rolland, Alain
PATENT ASSIGNEE(S): Societe De Conseils De Recherches Et D'applications Scientifiques (SCRAS), Fr.
SOURCE: PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083656	A2	20021024	WO 2002-FR1218	20020409
WO 2002083656	A3	20030103		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2823208	A1	20021011	FR 2001-4943	20010410
FR 2823208	B1	20040319		
CA 2443403	AA	20021024	CA 2002-2443403	20020409
EP 1379514	A2	20040114	EP 2002-761921	20020409
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1535267	A	20041006	CN 2002-807937	20020409
JP 2004531526	T2	20041014	JP 2002-581412	20020409
NZ 528645	A	20041126	NZ 2002-528645	20020409
BR 2002008703	A	20060221	BR 2002-8703	20020409
ZA 2003007750	A	20040726	ZA 2003-7750	20031003
NO 2003004524	A	20031029	NO 2003-4524	20031009
US 2005038087	A1	20050217	US 2004-915001	20040810
PRIORITY APPLN. INFO.:			FR 2001-4943 A	20010410
			FR 2002-1811 A	20020214
			FR 1999-12643 A	19991011
			FR 2000-10151 A	20000801
			FR 2000-11169 A	20000901
			WO 2000-FR2805 W	20001010
			US 2002-89993 A2	20020404
			WO 2002-FR1218 W	20020409
			US 2003-681002 A2	20031008

GI



I

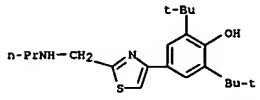


II

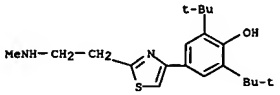
AB The invention relates to thiazole, oxazole or imidazole derivs. having at least one of the following pharmacol. activities:: inhibition of monoamine oxydases (MAO); inhibition of lipid peroxidn.; modulation of sodium channels. The inventive compds. comprise, for example, 2,6-di(tert-butyl)-4-(2-[2-(methylamino)ethyl]-1,3-thiazol-4-yl)phenol (I); and 4-methylpentyl 2-[4-(1,1'-biphenyl-4-yl)-1H-imidazol-2-yl]ethyl carbamate (II). Thus, I·HCl was prepared from N-methyl-β-alaninenitrile via. N-protection with (Boc)2O in CH2Cl2 containing EtN(CHMe2)2, sulfurization with H2S in EtOH containing Et3N, cyclocondensation with α-bromo-1-[3,5-di(tert-butyl)-4-hydroxyphenyl]ethanone and acid-catalyzed deprotection with HCl in EtOAc. By virtue of their pharmacol. properties, said compds. can be used to treat one of the following disorders or diseases: Parkinson's disease, senile dementia, Alzheimer's disease, Huntington's chorea, amyotrophic lateral sclerosis, schizophrenia, depression, psychoses, migraine or pain, especially neuropathic pain. The pharmacol. activity of I was determined [CI50 ≤ 10 μM vs. monoamine oxydase B; CI50 ≤ 10 μM vs. lipid peroxidn.; CI50 ≤ 1.0 μM on sodium channels from the cerebral cortex of rats].

IT **473541-69-4**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 5-membered heterocycles with one of the following pharmacol.

L7 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
activities: monoamine oxydase inhibition, lipid peroxydation or sodium channel modulation)
RN 473541-69-4 CAPLUS
CN Phenol,
2,6-bis(1,1-dimethylethyl)-4-[2-[(propylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



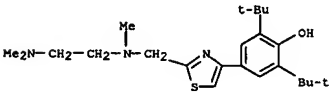
IT 473540-20-4P 473540-21-5P 473540-24-8P
473540-25-9P 473540-28-2P 473540-29-3P
473540-30-6P 473540-32-8P 473540-33-9P
473540-34-0P 473540-38-4P 473540-39-5P
473540-68-0P 473540-86-2P 473540-96-4P
473541-07-0P 473541-32-1P 473541-33-2P
473541-34-3P 473541-35-4P 473541-50-3P
473541-51-4P 473541-53-6P 473541-55-8P
473541-56-9P 473541-60-5P 473541-61-6P
473541-80-9P 473541-82-1P 473541-85-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5-membered heterocycles with one of the following pharmacol.
activities: monoamine oxydase inhibition, lipid peroxydation or sodium channel modulation)
RN 473540-20-4 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[2-(methylamino)ethyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



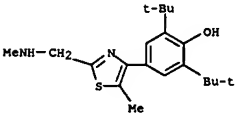
● HCl

RN 473540-21-5 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[1-(methylamino)ethyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

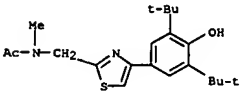


RN 473540-30-6 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[5-methyl-2-[(methylamino)methyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)

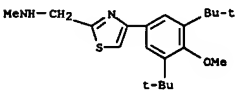


● HCl

RN 473540-32-8 CAPLUS
CN Acetamide, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

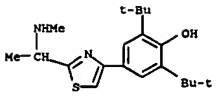


RN 473540-33-9 CAPLUS
CN 2-Thiazolemethanamine, 4-[3,5-bis(1,1-dimethylethyl)-4-methoxyphenyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



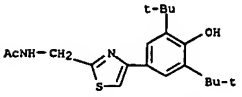
● HCl

L7 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

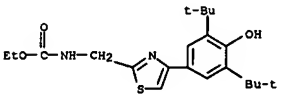


● HCl

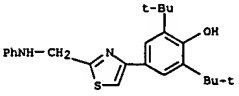
RN 473540-24-8 CAPLUS
CN Acetamide, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



RN 473540-25-9 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



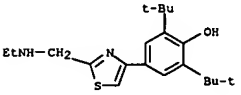
RN 473540-28-2 CAPLUS
CN Phenol,
2,6-bis(1,1-dimethylethyl)-4-[2-[(phenylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



RN 473540-29-3 CAPLUS
CN Phenol, 4-[2-[[[2-(dimethylamino)ethyl]methylamino]methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

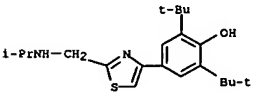
L7 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 473540-34-0 CAPLUS
CN Phenol,
2,6-bis(1,1-dimethylethyl)-4-[2-[(ethylamino)methyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



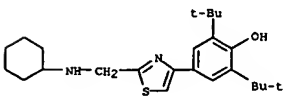
● HCl

RN 473540-38-4 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[(1-methylethyl)amino]methyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



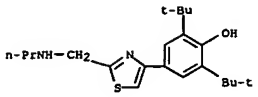
● HCl

RN 473540-39-5 CAPLUS
CN Phenol, 4-[2-[(cyclohexylamino)methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



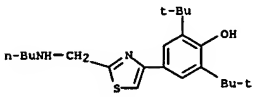
● HCl

RN 473540-68-0 CAPLUS
CN Phenol,
2,6-bis(1,1-dimethylethyl)-4-[2-[(propylamino)methyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



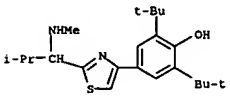
● HCl

RN 473540-86-2 CAPLUS
CN Phenol, 4-[2-[(butylamino)methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



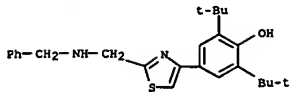
● HCl

RN 473540-96-4 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[2-methyl-1-(methylamino)propyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



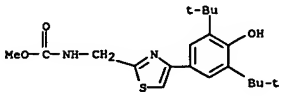
● HCl

RN 473541-07-0 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[(phenylmethyl)amino]methyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)

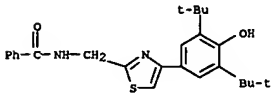


● HCl

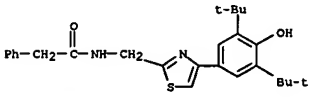
RN 473541-32-1 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



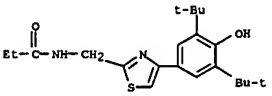
RN 473541-33-2 CAPLUS
CN Benzamide, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



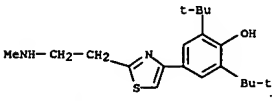
RN 473541-34-3 CAPLUS
CN Benzeneacetamide, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



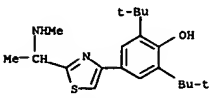
RN 473541-35-4 CAPLUS
CN Propanamide, N-[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



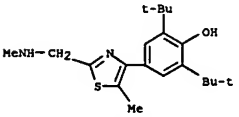
RN 473541-50-3 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[2-(methylamino)ethyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



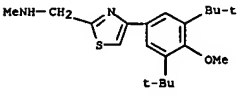
RN 473541-51-4 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[1-(methylamino)ethyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



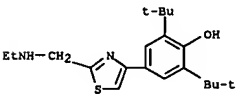
RN 473541-53-6 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[5-methyl-2-[(methylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



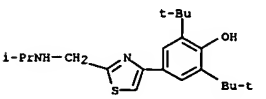
RN 473541-55-8 CAPLUS
CN 2-Thiazolemethanamine, 4-[3,5-bis(1,1-dimethylethyl)-4-methoxyphenyl]-N-methyl- (9CI) (CA INDEX NAME)



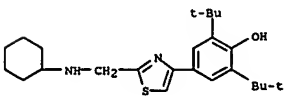
RN 473541-56-9 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(ethylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



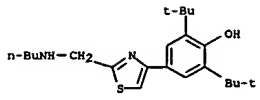
RN 473541-60-5 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[(1-methylethyl)amino]methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



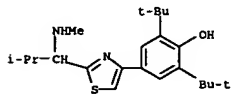
RN 473541-61-6 CAPLUS
CN Phenol, 4-[2-[(cyclohexylamino)methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



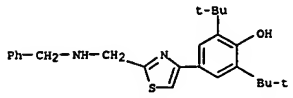
RN 473541-80-9 CAPLUS
CN Phenol, 4-[2-[(butylamino)methyl]-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



RN 473541-82-1 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[2-methyl-1-(methylamino)propyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)

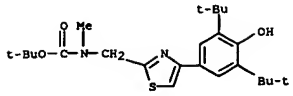


RN 473541-85-4 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[(phenylmethyl)amino]methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)

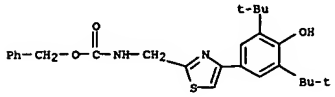


IT **218944-60-6P 335242-74-5P 335242-75-6P**
473541-38-7P 473541-41-2P 473541-42-3P
473541-44-5P 473542-72-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 5-membered heterocycles with one of the following pharmacol.
activities: monoamine oxydase inhibition, lipid peroxydation or sodium channel modulation)

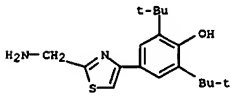
RN 218944-60-6 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



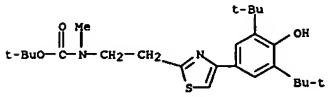
RN 335242-74-5 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



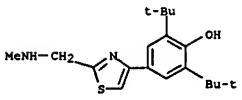
RN 335242-75-6 CAPLUS
CN Phenol, 4-[2-(aminomethyl)-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



RN 473541-38-7 CAPLUS
CN Carbamic acid, [2-[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]ethyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

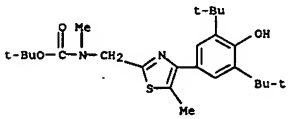


RN 473541-41-2 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(methylamino)methyl]-4-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)

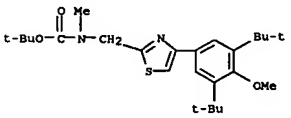


● HCl

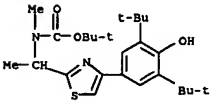
RN 473541-42-3 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-5-methyl-2-thiazolyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 473541-44-5 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-methoxyphenyl]-2-thiazolyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 473542-72-2 CAPLUS
CN Carbamic acid, [1-[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]ethyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:6386 CAPLUS
DOCUMENT NUMBER: 136:69731
TITLE: Preparation of N-phenylthiophenecarboxamidines and analogs as NO synthase and lipid peroxidation inhibitors
INVENTOR(S): Chabrier de Lassauniere, Pierre Etienne; Auvin, Serge; Bigg, Dennis; Auguet, Michel; Harnett, Jeremiah
PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications Scientifiques (S.C.R.A.S.), Fr.
SOURCE: U.S., 63 pp., Cont.-in-part of U. S. Ser. No. 381,749.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

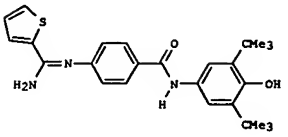
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6335445	B1	20020101	US 1999-456205	19991207
FR 2761066	A1	19980925	FR 1997-3528	19970324
FR 2761066	B1	20001124		
FR 2764889	A1	19981224	FR 1997-7701	19970620
FR 2764889	B1	20000901		
WO 9842696	A1	19981001	WO 1998-FR288	19980216
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6340700	B1	20020122	US 1999-381749	19990922
US 2002007062	A1	20020117	US 2001-882264	20010615
US 6630461	B2	20031007		
US 2002045753	A1	20020418	US 2001-945782	20010904
US 6599903	B2	20030729		
US 2002042511	A1	20020411	US 2001-953682	20010917
US 6586454	B2	20030701		
US 2003078420	A1	20030424	US 2002-191950	20020709
US 6809088	B2	20041026		
US 2005043397	A1	20050224	US 2004-898916	20040726
US 2005187272	A1	20050825	US 2005-105291	20050413

PRIORITY APPLN. INFO.:

FR 1997-3528	A	19970324
FR 1997-7701	A	19970620
WO 1998-FR288	W	19980216
US 1999-381749	A2	19990922
WO 1998-FR1250	W	19980615
US 1999-456205	A3	19991207
US 2001-882264	A3	20010615
US 2002-191950	A3	20020709

L7 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
US 2004-898916 A3 20040726

OTHER SOURCE(S): MARPAT 136:69731
GI

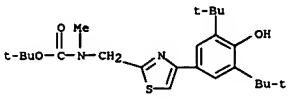


II

AB RZZ12223N:C(NH2)R1 [I; R = H, (un)substituted C6H4OR3, indolyl, etc.; R1 = alkyl or (un)substituted (hetero)aryl; R3 = H, alkyl, etc.; Z = bond, CO, alkylene(carbonyl), CONH, etc.; Z1 = bond or heterocyclylene; Z2 = bond, alkylene(oxy), etc.; Z3 = (un)substituted phenylene] were prepared Thus, 4-(O2N)C6H4NH2 was amidated by 3,5-di-tert-butyl-4-hydroxybenzoic acid and the reduced product amidated by S-methyl-2-thiophenethiocarboximide hydroiodide to give title compound II. Data for biol. activity of I were given.

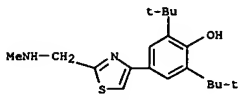
IT 218944-60-6P 218944-61-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-phenylthiophenecarboxamidines and analogs as NO synthase and lipid peroxidn. inhibitors)

RN 218944-60-6 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 218944-61-7 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(methylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)

L7 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:283789 CAPLUS
DOCUMENT NUMBER: 134:311210
TITLE: 5-Membered heterocycle derivatives useful as monoamine oxidase inhibitors, lipid peroxidation inhibitors, and sodium channel modulators, and the production thereof, and use thereof as medicaments
INVENTOR(S): Chabrier de Lassauniere, Pierre-Etienne; Harnett, Jeremiah; Bigg, Dennis; Pommier, Jacques; Lannoy, Jacques; Liberatore, Anne-Marie; Thurieau, Christophe
PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications Scientifiques (S.C.R.A.S, Fr.
SOURCE: PCT Int. Appl., 261 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001026656	A2	20010419	WO 2000-FR2805	20001010
WO 2001026656	A3	20020418		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2799461	A1	20010413	FR 1999-12643	19991011
FR 2799461	B1	20020104		
FR 2812546	A1	20020208	FR 2000-10151	20000801
CA 2388505	AA	20010419	CA 2000-2388505	20001010
BR 2000014649	A	20020618	BR 2000-14649	20001010
EP 1223933	A2	20020724	EP 2000-967988	20001010
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
EP 1228760	A2	20020807	EP 2002-76763	20001010
EP 1228760	A3	20040128		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003511416	T2	20030325	JP 2001-529718	20001010
NZ 518304	A	20040730	NZ 2000-518304	20001010
NZ 533429	A	20040924	NZ 2000-533429	20001010
AU 783129	B2	20050929	AU 2000-77965	20001010
EP 1589007	A2	20051026	EP 2005-76749	20001010
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, CY				
RU 2271355	C2	20060310	RU 2002-112227	20001010
NO 2002001689	A	20020530	NO 2002-1689	20020410
US 2004132788	A1	20040708	US 2003-681002	20031008
US 2005038087	A1	20050217	US 2004-915001	20040810

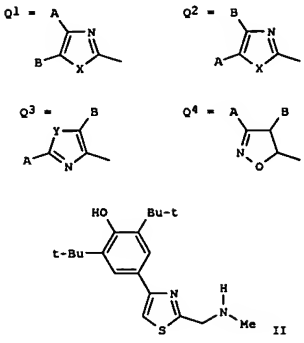
PRIORITY APPLN. INFO.:

FR 1999-12643	A	19991011
FR 2000-10151	A	20000801

FR 2000-11169	A	20000901
EP 2000-967988	A3	20001010
EP 2002-76763	A3	20001010
WO 2000-FR2805	W	20001010
FR 2001-4943	A	20010410
FR 2002-1811	A	20020214
US 2002-89993	A2	20020404
WO 2002-FR1218	A1	20020409
US 2003-681002	A2	20031008

OTHER SOURCE(S): MARPAT 134:311210

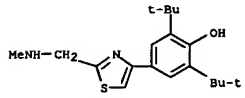
GI



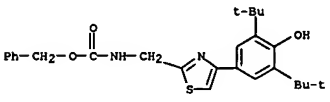
AB The invention relates to pharmaceutical use of heterocyclic compds. of general formula Het(A)(B)-(CH2)n-CR1R2-Q [I; wherein the substituted heterocyclic ring Het(A)(B) = Q1-Q4; A = various aryl or heteroaryl systems, especially a substituted Ph or biphenyl radical, or also alkyl, cycloalkyl, or cycloalkylalkyl; B = especially H or alkyl, or also aryl or substituted alkyl; X = especially NH or S, or also substituted NH; Y = O or S; n = 0-6; R1, R2 = especially H, alkyl, or cycloalkyl; Q = NR3R4 or OR5; R3 and R4 = esp. H, alkyl, cycloalkyl, alkynyl, cyanoalkyl alkoxycarbonyl, aralkoxycarbonyl or (cycloalkyl)oxycarbonyl; R5 = H, alkyl, alkynyl, or cyanoalkyl]. I and their racemates, enantiomers, and/or salts can be used for producing medicaments for inhibiting monoamine oxidases (MAO), inhibiting lipid peroxidn., and/or for acting as modulators of sodium channels. The resulting medicaments are particularly for use in treating Parkinson's disease, senile dementia, Alzheimer's disease, Huntington's chorea, amyotrophic lateral sclerosis, schizophrenia, depression, psychosis, pain and epilepsy. Approx. 350 synthetic examples of I and their salts are given, and numerous free bases of I are claimed. For instance, protection of sarcosinamide-HCl with BOC anhydride gave 72% BOC-N(Me)CH2CONH2, which was converted to the thioamide with (P2S5)2 in 65% yield. Cyclocondensation of the thioamide with 2-bromo-1-(3,5-di-tert-butyl-4-hydroxyphenyl)ethanone (28%), followed by deprotection (73%) and salification (92%), gave thiazole deriv. II as the HCl salt. II inhibited binding of the MAO-B specific ligand [3H]-Ro-19-6327 to rat mitochondrial prepns. with IC50 < 10 μM. Selected I also inhibited formation of malondialdehyde by lipid peroxidn. in rat cerebral cortex prepns., and inhibited specific binding of [3H]-batrachotoxin to voltage-dependent sodium channels in rat cerebral cortex homogenates.

IT **218944-61-7P**, 4-[3,5-Bis(1,1-dimethylethyl)-4-hydroxyphenyl]-N-methyl-2-thiazolemethanamine **335242-74-5P**, Benzyl [[4-[3,5-di(tert-butyl)-4-hydroxyphenyl]-1,3-thiazol-2-yl]methyl]carbamate **335242-76-7P**, 2,6-Di(tert-butyl)-4-[2-[[(methyl)(4-nitrobenzyl)amino]methyl]-1,3-thiazol-4-yl]phenol **335242-78-9P**, 2,6-Di(tert-butyl)-4-(2-[[[4-nitrobenzyl)amino]methyl]-1,3-thiazol-4-yl]phenol
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of five-membered heterocycle derivs. as MAO inhibitors, lipid peroxidn. inhibitors, and sodium channel modulators)

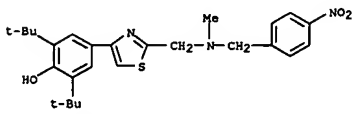
RN 218944-61-7 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(methylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



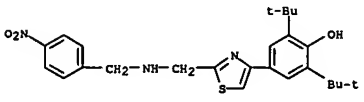
RN 335242-74-5 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 335242-76-7 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-(2-[[methyl[(4-nitrophenyl)methyl]amino]methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



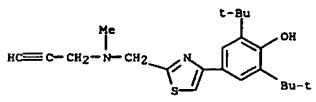
RN 335242-78-9 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[[(4-nitrophenyl]methyl]amino]methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



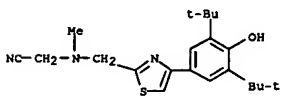
IT **335242-67-6P**, 2,6-Di(tert-butyl)-4-[2-[[methyl(2-propynyl)amino]methyl]-1,3-thiazol-4-yl]phenol **335242-68-7P**, 2-[[[4-[3,5-Di(tert-butyl)-4-hydroxyphenyl]-1,3-thiazol-2-yl]methyl](methyl)amino]acetonitrile **335242-69-8P**, 5-[[[4-[3,5-Di(tert-butyl)-4-hydroxyphenyl]-1,3-thiazol-2-yl]methyl](methyl)amino]pentanenitrile **335242-70-1P**, 6-[[[4-[3,5-Di(tert-butyl)-4-hydroxyphenyl]-1,3-thiazol-2-yl]methyl](methyl)amino]hexanenitrile **335242-71-2P**, 2,6-Di(tert-butyl)-4-[2-[[(2-hydroxyethyl)(methyl)amino]methyl]-1,3-thiazol-4-yl]phenol **335242-72-3P**, 4-[2-[[Benzyl(methyl)amino]methyl]-1,3-thiazol-4-yl]-2,6-di(tert-butyl)phenol **335242-75-6P**, 4-[2-(Aminomethyl)-1,3-thiazol-4-yl]-2,6-di(tert-butyl)phenol **335242-77-8P**, 4-[2-[[(4-Aminobenzyl)(methyl)amino]methyl]-1,3-thiazol-4-yl]-2,6-di(tert-butyl)phenol **335242-79-0P**, 4-[2-[[(4-Aminobenzyl)amino]methyl]-1,3-thiazol-4-yl]-2,6-di(tert-butyl)phenol **335242-81-4P**, 4-[[[4-(3,5-Di-tert-butyl-4-hydroxyphenyl]-1,3-thiazol-2-yl]methyl](methyl)amino]butanenitrile **335242-82-5P**, 2,6-Di-tert-butyl-4-[2-[[(3-nitrobenzyl)amino]methyl]-1,3-thiazol-4-yl]phenol **335245-99-3P**, 2,6-Diisopropyl-4-[2-[(methylamino)methyl]-1,3-thiazol-4-yl]phenol hydrochloride

335246-01-0P, 4-[2-[(Methylamino)methyl]-1,3-thiazol-4-yl]phenol hydrochloride **335246-05-4P**, 2,6-Di-tert-butyl-4-[2-[(dimethylamino)methyl]-1,3-thiazol-4-yl]phenol hydrochloride **335246-19-0P**, 4-[3,5-Bis(1,1-dimethylethyl)-4-hydroxyphenyl]-N-methyl-2-thiazolemethanamine hydrochloride **335246-31-6P**, 2,6-Diisopropyl-4-[2-[(methylamino)methyl]-1,3-thiazol-4-yl]phenol **335246-32-7P**, 4-[2-[(Methylamino)methyl]-1,3-thiazol-4-yl]phenol **335246-34-9P**, 2,6-Di-tert-butyl-4-[2-[(dimethylamino)methyl]-1,3-thiazol-4-yl]phenol
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of five-membered heterocycle derivs. as MAO inhibitors, lipid peroxidn. inhibitors, and sodium channel modulators)

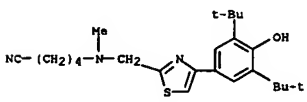
RN 335242-67-6 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[(methyl-2-propynylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



RN 335242-68-7 CAPLUS
CN Acetonitrile, [[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]methylamino]- (9CI) (CA INDEX NAME)

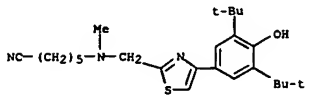


RN 335242-69-8 CAPLUS
CN Pentanenitrile, 5-[[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]methylamino]- (9CI) (CA INDEX NAME)

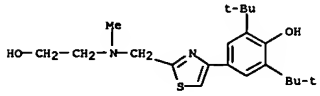


RN 335242-70-1 CAPLUS
CN Hexanenitrile, 6-[[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-

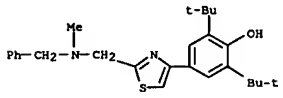
thiazolyl]methyl]methylamino]- (9CI) (CA INDEX NAME)



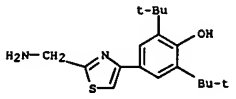
RN 335242-71-2 CAPLUS
CN Phenol,
2,6-bis(1,1-dimethylethyl)-4-[2-[[(2-hydroxyethyl)methylamino]meth
yl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



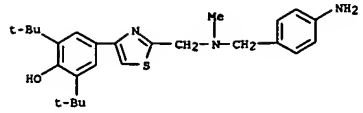
RN 335242-72-3 CAPLUS
CN Phenol,
2,6-bis(1,1-dimethylethyl)-4-[2-[[methyl(phenylmethyl)amino]methyl
]-4-thiazolyl]- (9CI) (CA INDEX NAME)



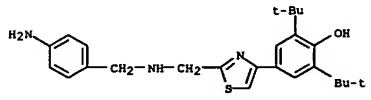
RN 335242-75-6 CAPLUS
CN Phenol, 4-[2-(aminomethyl)-4-thiazolyl]-2,6-bis(1,1-dimethylethyl)- (9CI)
(CA INDEX NAME)



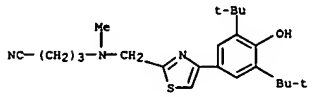
RN 335242-77-8 CAPLUS
CN Phenol,
4-[2-[[[(4-aminophenyl)methyl]methylamino]methyl]-4-thiazolyl]-2,6-
bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



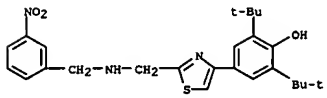
RN 335242-79-0 CAPLUS
CN Phenol, 4-[2-[[[(4-aminophenyl)methyl]amino]methyl]-4-thiazolyl]-2,6-
bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



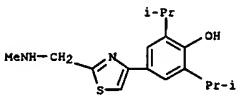
RN 335242-81-4 CAPLUS
CN Butanenitrile, 4-[[[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-
thiazolyl]methyl]methylamino]- (9CI) (CA INDEX NAME)



RN 335242-82-5 CAPLUS
CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[2-[[[(3-
nitrophenyl)methyl]amino]methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)

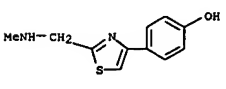


RN 335245-99-3 CAPLUS
CN Phenol, 4-[2-[(methylamino)methyl]-4-thiazolyl]-2,6-bis(1-methylethyl)-,
hydrochloride (9CI) (CA INDEX NAME)



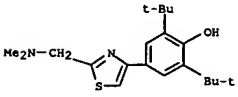
●x HCl

RN 335246-01-0 CAPLUS
CN Phenol, 4-[2-[(methylamino)methyl]-4-thiazolyl]-, hydrochloride (9CI)
(CA
INDEX NAME)



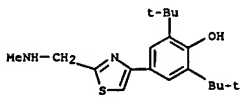
●x HCl

RN 335246-05-4 CAPLUS
CN Phenol, 4-[2-[(dimethylamino)methyl]-4-thiazolyl]-2,6-bis(1,1-
dimethylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



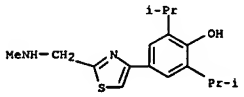
●x HCl

RN 335246-19-0 CAPLUS
CN Phenol,
2,6-bis(1,1-dimethylethyl)-4-[2-[(methylamino)methyl]-4-thiazolyl]-
, hydrochloride (9CI) (CA INDEX NAME)

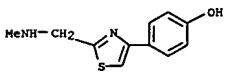


●x HCl

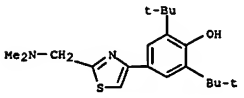
RN 335246-31-6 CAPLUS
CN Phenol, 4-[2-[(methylamino)methyl]-4-thiazolyl]-2,6-bis(1-methylethyl)-
(9CI) (CA INDEX NAME)



RN 335246-32-7 CAPLUS
CN Phenol, 4-[2-[(methylamino)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



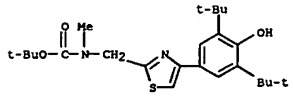
RN 335246-34-9 CAPLUS
CN Phenol, 4-[2-[(dimethylamino)methyl]-4-thiazolyl]-2,6-bis(1,1-
dimethylethyl)- (9CI) (CA INDEX NAME)



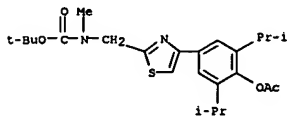
IT **218944-60-6P**, 4-[3,5-Bis(1,1-dimethylethyl)-4-hydroxyphenyl]-N-
[(1,1-dimethylethoxy)carbonyl]-N-methyl-2-thiazolemethanamine
335247-51-3P, 4-[2-[[(tert-Butoxycarbonyl)(methyl)amino]methyl]-
1,3-thiazol-4-yl]-2,6-diisopropylphenyl acetate **335247-52-4P**,
tert-Butyl [[4-(4-hydroxy-3,5-diisopropylphenyl)-1,3-thiazol-2-
yl]methyl](methyl)carbamate acetate **335247-53-5P**, tert-Butyl
[[4-(4-hydroxyphenyl)-1,3-thiazol-2-yl]methyl](methyl)carbamate

L7 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of five-membered heterocycle derivs. as MAO inhibitors, lipid peroxidn. inhibitors, and sodium channel modulators)

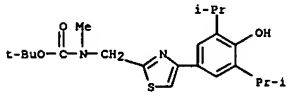
RN 218944-60-6 CAPLUS
CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



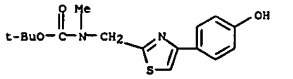
RN 335247-51-3 CAPLUS
CN Carbamic acid, [[4-[4-(acetyloxy)-3,5-bis(1-methylethyl)phenyl]-2-thiazolyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 335247-52-4 CAPLUS
CN Carbamic acid, [[4-[4-hydroxy-3,5-bis(1-methylethyl)phenyl]-2-thiazolyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 335247-53-5 CAPLUS
CN Carbamic acid, [[4-(4-hydroxyphenyl)-2-thiazolyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L7 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:900614 CAPLUS
DOCUMENT NUMBER: 134:56958
TITLE: Preparation of amino acid derivatives as serine protease inhibitors
INVENTOR(S): Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Masters, John Joseph; Wiley, Michael Robert
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Protherics Molecular Design Limited
SOURCE: PCT Int. Appl., 261 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076971	A2	20001221	WO 2000-GB2302	20000613
WO 2000076971	A3	20010802		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2375920	AA	20001221	CA 2000-2375920	20000613
AU 2000054140	A5	20010102	AU 2000-54140	20000613
EP 1192132	A2	20020403	EP 2000-938916	20000613
EP 1192132	B1	20050907		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003502314	T2	20030121	JP 2001-503831	20000613
AT 303988	E	20050915	AT 2000-938916	20000613
CA 2411798	AA	20011220	CA 2001-2411798	20010612
CA 2411805	AA	20011220	CA 2001-2411805	20010612
WO 2001096296	A1	20011220	WO 2001-GB2541	20010612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
WO 2001096303	A1	20011220	WO 2001-GB2551	20010612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
WO 2001096304	A1	20011220	WO 2001-GB2572	20010612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1289972	A1	20030312	EP 2001-936686	20010612
EP 1289972	B1	20040908		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1289950	A1	20030312	EP 2001-938386	20010612
EP 1289950	B1	20040908		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1289953	A1	20030312	EP 2001-938403	20010612
EP 1289953	B1	20050907		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1289954	A1	20030312	EP 2001-940716	20010612
EP 1289954	B1	20050914		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011451	A	20030624	BR 2001-11451	20010612
JP 2004503532	T2	20040205	JP 2002-510440	20010612
JP 2004503547	T2	20040205	JP 2002-510466	20010612
NZ 521896	A	20040730	NZ 2001-521896	20010612
AT 275554	E	20040915	AT 2001-936686	20010612
AT 275544	E	20040915	AT 2001-938386	20010612
PT 1289972	T	20050131	PT 2001-936686	20010612
EP 1510515	A1	20050302	EP 2004-77367	20010612
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ES 2228869	T3	20050416	ES 2001-1936686	20010612
ES 2228874	T3	20050416	ES 2001-1938386	20010612
AT 303989	E	20050915	AT 2001-938403	20010612
AT 304532	E	20050915	AT 2001-940716	20010612
ES 2247120	T3	20060301	ES 2001-1938403	20010612
ES 2248341	T3	20060316	ES 2001-1940716	20010612
US 6855715	B1	20050215	US 2001-926712	20011206
US 2002151724	A1	20021017	US 2002-30186	20020204
US 6784182	B2	20040831		
US 2003078438	A1	20030424	US 2002-30189	20020204

L7 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L7 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

US 6878725	B2	20050412			
US 2003109706	A1	20030612	US 2002-30188		20020204
ZA 2002009153	A	20040213	ZA 2002-9153		20021111
NO 2002005665	A	20021125	NO 2002-5665		20021125
HR 20020997	B1	20050228	HR 2002-997		20021212
US 2003216403	A1	20031120	US 2003-296245		20030514
HK 1054379	A1	20050324	HK 2003-106546		20030911
US 2004142963	A1	20040722	US 2004-754923		20040112
US 6936611	B2	20050830			
US 2004176363	A1	20040909	US 2004-803157		20040318
US 2004242656	A1	20041202	US 2004-876672		20040628
US 2004259868	A1	20041223	US 2004-883715		20040706
US 6900196	B2	20050531			
US 2005032790	A1	20050210	US 2004-923010		20040823
PRIORITY APPLN. INFO.:			GB 1999-13823	A	19990614
			US 1999-142064P	P	19990702
			GB 1999-18741	A	19990809
			GB 1999-29553	A	19991214
			WO 2000-GB2302	W	20000613
			GB 2000-30303	A	20001213
			GB 2000-30304	A	20001213
			GB 2000-30305	A	20001213
			GB 2000-30306	A	20001213
			EP 2001-936686	A3	20010612
			WO 2001-GB2541	W	20010612
			WO 2001-GB2551	W	20010612
			WO 2001-GB2553	W	20010612
			WO 2001-GB2566	W	20010612
			WO 2001-GB2572	W	20010612
			US 2001-926712	A3	20011206
			US 2002-30187	A1	20020204
			US 2002-30188	A3	20020204
			US 2002-30189	A3	20020204

OTHER SOURCE(S): MARPAT 134:56958

AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered aromatic carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring or substituted at the position alpha to X-X; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl,

L7 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n = 0-2] were prepd. for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglycinyl)-4,4'-bispiperidine was prepd. and shown to double the prothrombin time at a concn. of 26 μM.

IT **313488-05-0P**

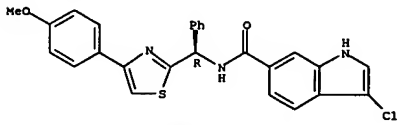
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid derivs. as serine protease inhibitors)

RN 313488-05-0 CAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[(R)-[4-(4-methoxyphenyl)-2-thiazolyl]phenylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **313490-04-9P 313490-05-0P**

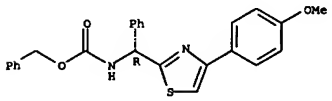
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino acid derivs. as serine protease inhibitors)

RN 313490-04-9 CAPLUS

CN Carbamic acid, [(R)-[4-(4-methoxyphenyl)-2-thiazolyl]phenylmethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

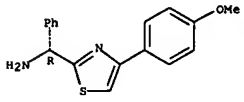


RN 313490-05-0 CAPLUS

CN 2-Thiazolemethanamine, 4-(4-methoxyphenyl)-α-phenyl-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L7 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:900613 CAPLUS

DOCUMENT NUMBER: 134:56957

TITLE: Preparation of amino acid derivatives as serine protease inhibitors

INVENTOR(S): Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Lively, Sarah Elizabeth; Harrison, Martin James; Waszkowycz, Bohdan; Masters, John Joseph; Wiley, Michael John

PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Protherics Molecular Design Limited

SOURCE: PCT Int. Appl., 350 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 13

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076970	A2	20001221	WO 2000-GB2296	20000613
WO 2000076970	A3	20010719		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2383008	AA	20001221	CA 2000-2383008	20000613
EP 1192135	A2	20020403	EP 2000-938912	20000613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2003216403	A1	20031120	US 2003-296245	20030514

PRIORITY APPLN. INFO.:

GB 1999-13823	A	19990614
US 1999-142064P	P	19990702
GB 1999-18741	A	19990809
GB 1999-29552	A	19991214
GB 1999-29553	A	19991214
WO 2000-GB2296	W	20000613
WO 2001-GB2566	W	20010612

OTHER SOURCE(S): MARPAT 134:56957

AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered aromatic carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl,

L7 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

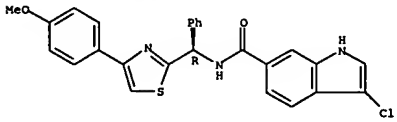
aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n = 0-2] were prepd. for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglycinyl)-4,4'-bispiperidine was prepd. and shown to double the prothrombin time at a concn. of 26 μM.

IT **313488-05-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. as serine protease inhibitors)

RN 313488-05-0 CAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[(R)-[4-(4-methoxyphenyl)-2-thiazolyl]phenylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

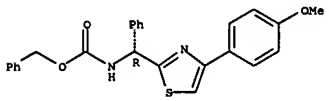


IT **313490-04-9P 313490-05-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid derivs. as serine protease inhibitors)

RN 313490-04-9 CAPLUS

CN Carbamic acid, [(R)-[4-(4-methoxyphenyl)-2-thiazolyl]phenylmethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

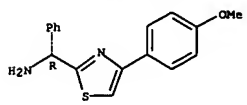


RN 313490-05-0 CAPLUS

CN 2-Thiazolemethanamine, 4-(4-methoxyphenyl)-α-phenyl-, (αR)- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



L7 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:27832 CAPLUS
DOCUMENT NUMBER: 130:81398
TITLE: Novel 2-(iminomethyl)aminophenyl derivatives as NO synthase inhibitors and traps for radical oxygen species
INVENTOR(S): Auvin, Serge; Harnett, Jeremiah; Bigg, Dennis; Chabrier De Lassauniere, Pierre-Etienne
PATENT ASSIGNEE(S): Societe De Conseils de Recherches et D'Applications Scientifiques (S.C.R.A.S, Fr.
SOURCE: PCT Int. Appl., 134 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

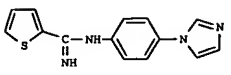
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9858934	A1	19981230	WO 1998-FR1250	19980615
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2764889	A1	19981224	FR 1997-7701	19970620
FR 2764889	B1	20000901		
TW 422842	B	20010221	TW 1998-87109245	19980610
CA 2294809	AA	19981230	CA 1998-2294809	19980615
AU 9882189	A1	19990104	AU 1998-82189	19980615
AU 737964	B2	20010906		
EP 991654	A1	20000412	EP 1998-932205	19980615
EP 991654	B1	20050615		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
TR 9903175	T2	20000421	TR 1999-9903175	19980615
BR 9810197	A	20000808	BR 1998-10197	19980615
NZ 501656	A	20011221	NZ 1998-501656	19980615
JP 2002507965	T2	20020312	JP 1999-503871	19980615
RU 2202543	C2	20030420	RU 2000-101328	19980615
AT 297935	E	20050715	AT 1998-932205	19980615
PT 991654	T	20051031	PT 1998-932205	19980615
ES 2244068	T3	20051201	ES 1998-932205	19980615
ZA 9805392	A	19990120	ZA 1998-5392	19980619
NO 9906208	A	20000215	NO 1999-6208	19991215
NO 315321	B1	20030818		
MX 9911971	A	20000430	MX 1999-11971	19991217
HK 1030218	A1	20051028	HK 2001-101230	20010221
US 2002007062	A1	20020117	US 2001-882264	20010615
US 6630461	B2	20031007		
US 2002045753	A1	20020418	US 2001-945782	20010904
US 6599903	B2	20030729		
US 2002042511	A1	20020411	US 2001-953682	20010917
US 6586454	B2	20030701		
US 2003078420	A1	20030424	US 2002-191950	20020709
US 6809088	B2	20041026		
US 2005043397	A1	20050224	US 2004-898916	20040726
US 2005187272	A1	20050825	US 2005-105291	20050413

L7 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PRIORITY APPLN. INFO.:	FR 1997-7701	A	19970620
	FR 1997-3528	A	19970324
	WO 1998-FR288	W	19980216
	WO 1998-FR1250	W	19980615
	US 1999-381749	A2	19990922
	US 1999-456205	A3	19991207
	US 2001-882264	A3	20010615
	US 2002-191950	A3	20020709
	US 2004-898916	A3	20040726

OTHER SOURCE(S): MARPAT 130:81398

GI



HI I

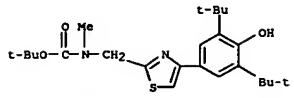
AB Amidines AXHetYC6H4N:CBNH2 [A = H, (un)substituted HOC6H4, 6-hydroxy-2,5,7,8-tetramethylchroman-2-yl; B = (un)substituted alkyl, Ph, pyridyl, thienyl, furyl, pyrrolyl, thiazolyl; X = (un)substituted CONHX1, NHCOX1, CH:, CO, bond; X1 = (CH2)n; n = 0-6; Y = Y1, CONHY1, NHCOY1, COY1, Y1CO, (un)substituted NHY1, Y1NH, Y1CH2NHCO, OY1, SY1, Y1S, Y1OY1, Y1NHY1; Y1 = (CH2)n; Het = (un)substituted heterocyclic] were prepared for use as NO synthetase inhibitors and reactive oxygen species traps. Thus, 4-FC6H4NO2 was treated with imidazole and the 1-p-nitrophenylimidazole reduced to the amine and treated with the thiophene fragment to give the amidine I. I had an NO synthetase-inhibiting IC50 < 3.5 μM.

IT **218944-60-6P 218944-61-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel 2-(iminomethyl)aminophenyl derivs. as NO synthase inhibitors and traps for radical oxygen species)

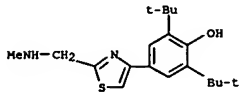
RN 218944-60-6 CAPLUS

CN Carbamic acid, [[4-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-thiazolyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L7 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

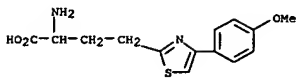


RN 218944-61-7 CAPLUS
CN Phenol,
2,6-bis(1,1-dimethylethyl)-4-[2-[(methylamino)methyl]-4-thiazolyl]-
(9CI) (CA INDEX NAME)

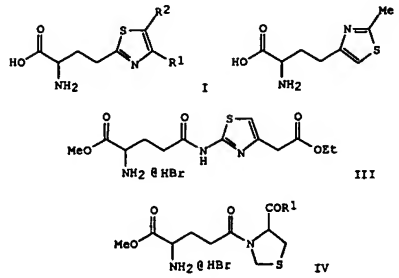


REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L7 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L7 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:671691 CAPLUS
DOCUMENT NUMBER: 119:271691
TITLE: Synthesis and antitrypanosomal evaluation of some thiazole-containing amino acids and peptides
AUTHOR(S): Van Bogaert, I.; Haemers, A.; Bollaert, W.; Van Meirvenne, N.; Brun, R.; Smith, K.; Fairlamb, A. H.
CORPORATE SOURCE: Dep. Pharm. Chem., Univ. Antwerp, Antwerp, B-2610, Belg.
SOURCE: European Journal of Medicinal Chemistry (1993), 28(5), 387-97
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 119:271691
GI

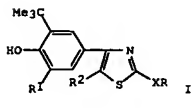


AB Several amino acids and peptides containing thiazole and thiazolidine residues
were prepared Thiazole-containing amino acids and peptides I (R1 = H, R2 = H,
CO2H, Ph; R1 = CO2H, CH2CO2H, Me, Ph, o-MeOC6H4, m-MeOC6H4, p-MeOC6H4, p-ClC6H4, m-O2NC6H4, p-O2NC6H4, CO-Gly-OH, R2 = H), II, III and IV (R1 = OEt, Gly-OEt) were prepared These compds. were tested in vivo and in vitro
as possible antitrypanosomal agents. Some derivs. showed a slight activity. As they are structurally related to glutathione, their inhibitory properties towards glutathionylspermidine synthetase, trypanothione synthetase and trypanothione reductase were determined No inhibitory activity was found.
IT 150715-82-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 150715-82-5 CAPLUS
CN 2-Thiazolebutanoic acid, α-amino-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:583277 CAPLUS
DOCUMENT NUMBER: 115:183277
TITLE: Antiinflammatory 2-substituted 4-(3-alkyl-5-tert.-butyl-4-hydroxyphenyl)thiazoles
INVENTOR(S): Thorwart, Werner; Schleyerbach, Rudolf; Bartlett, Robert; Weithmann, Klaus Ulrich
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 34 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

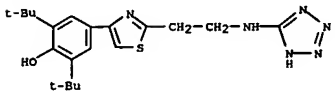
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 432740	A2	19910619	EP 1990-123853	19901211
EP 432740	A3	19920102		
EP 432740	B1	19950308		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3941438	A1	19910620	DE 1989-3941438	19891215
FI 9006141	A	19910616	FI 1990-6141	19901213
HU 58306	A2	19920228	HU 1990-8258	19901213
HU 209584	B	19940829		
US 5137897	A	19920811	US 1990-626784	19901213
RU 2017739	C1	19940815	RU 1990-4894048	19901213
RU 2021264	C1	19941015	RU 1990-4894274	19901213
CA 2032282	AA	19910616	CA 1990-2032282	19901214
NO 9005411	A	19910617	NO 1990-5411	19901214
AU 9068024	A1	19910620	AU 1990-68024	19901214
AU 630261	B2	19921022		
ZA 9010067	A	19910925	ZA 1990-10067	19901214
JP 05017459	A2	19930126	JP 1990-419334	19901214
PRIORITY APPLN. INFO.:			DE 1989-3941438 A	19891215

OTHER SOURCE(S): MARPAT 115:183277
GI



AB Title compds. I [X = alkylene, alkenylene, optionally containing heteroatoms;
R = tetrazolyl, cyano, CO2H, esterified CO2H, (un)substituted CONH2; XR = (un)substituted 2-oxo-3-pyrrolidinylidenemethyl; R1 = CMe3, Me; R2 = H, Me] were prepared by various routes. Thus, 4,3,5-HO(Me3C)2C6H2Ac was treated with EtO2CSCH2CH2CONH2, followed by ester hydrolysis to give I (X = CH2CH2, R = CO2H, R1 = CMe3, R2 = H) which had a ED50 of 0.9 mg/kg orally in the adjuvant arthritis test.
IT 136203-18-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antiarthritic activity of)

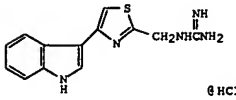
L7 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 136203-18-4 CAPLUS
CN Phenol,
2,6-bis(1,1-dimethylethyl)-4-[2-[2-(1H-tetrazol-5-ylamino)ethyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:101982 CAPLUS
DOCUMENT NUMBER: 114:101982
TITLE: Preparation of heterocyclic guanidines as 5HT3 antagonists
INVENTOR(S): Nagel, Arthur A.; Rizzi, James P.; Rosen, Terry J.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4963689	A	19901016	US 1989-349189	19890509
EP 397364	A1	19901114	EP 1990-304684	19900430
EP 397364	B1	19930728		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 92062	E	19930815	AT 1990-304684	19900430
ES 2058795	T3	19941101	ES 1990-304684	19900430
IL 94254	A1	19940530	IL 1990-94254	19900502
CA 2016182	AA	19901109	CA 1990-2016182	19900507
CA 2016182	C	19960312		
AU 9054767	A1	19901115	AU 1990-54767	19900507
AU 615385	B2	19910926		
NO 9002029	A	19901112	NO 1990-2029	19900508
ZA 9003478	A	19911224	ZA 1990-3478	19900508
JP 03011070	A2	19910118	JP 1990-119635	19900509
JP 06035454	B4	19940511		
HU 58063	A2	19920128	HU 1990-2976	19900509
PRIORITY APPLN. INFO.:			US 1989-349189 A	19890509
			EP 1990-304684 A	19900430

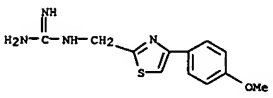
OTHER SOURCE(S): CASREACT 114:101982; MARPAT 114:101982
GI



@HCl III

AB Ar-Het-CH2NR1C(:NR2)NHR3 (I; Ar = naphthyl, indol-3-yl, 2-methylindol-3-yl, 1-methylindol-3-yl, 1-benzylindol-3-ylphenyl, mono-or disubstituted Ph; Het = 4-thiazol-2-yl, 3-isoxazol-5-yl, 2-thien-5-yl, 2-fur-5-yl; R1 = H, Me; R2, R3 = H, hydroxyalkyl, alkyl, cycloalkyl, COMe; or R2R3 = C2,3 alkylene), useful for treatment of nausea, anxiety, pain, schizophrenia, and gastrointestinal disorders (no data), are prepared Thus, a solution of 3-(chloroacetyl)indole and AcNHCH2C(S)NH2 in EtOH was refluxed overnight to give 51% 2-(N-acetylaminomethyl)-4-(indol-3-yl)thiazole which

L7 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
was hydrolyzed with concd. HCl under reflux to give 67% 2-(aminomethyl)-4-(indol-3-yl)thiazole HCl (II). A mixt. of II, 2-methyl-2-thiopseudourea sulfate, and AcONa in isopropanol was heated to reflux overnight to give 83% thiazole salt III. Addnl. 25 I were prepd.
IT 132253-98-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as serotoninergic S3 antagonist)
RN 132253-98-6 CAPLUS
CN Guanidine, [[4-(4-methoxyphenyl)-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



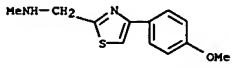
L7 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1961:137431 CAPLUS
DOCUMENT NUMBER: 55:137431
ORIGINAL REFERENCE NO.: 55:25917g-i,25918a-e
TITLE: Complex-forming compounds of the thiazole series
AUTHOR(S): Braun, H. A.; Kuhne, H.; Prijs, B.
CORPORATE SOURCE: Univ. Basel, Switz.
SOURCE: Helvetica Chimica Acta (1960), 43, 659-64
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 55:137431
AB Compds. with potential metal-chelating properties having 2 or 4 basic groups of partly aromatic, partly aliphatic character were synthesized. (NH2CH2)2 (44 g. in 94 ml. H2O) was treated dropwise with stirring and cooling with 50 g. HCN and with 1.14 g. Ca(CN)2 in 12.5 ml. H2O, and the mixture stirred an addnl. 0.5 hr., saturated with NaCl, and extracted with ether 5 days in a Kutscher-Streudel apparatus to give 57.5% ethylenediamine-N,N'-diacetonitrile (I). I was acetylated to the N,N'-diacetyl derivative, m. 169-71° (MeOH), which (1 g. in 100 ml. hot absolute alc.) was treated with 2-3 ml. Et3N and with H2S (3 hrs.) to give 61.5% N,N'-diacetylethylenediamine-N,N'dithioacetamide (II), decomposing 214-18°. II (900 mg.) was refluxed 2 hrs. with 960 mg. p-ClC6H4COCH2Br in 50 ml. EtOH containing 2-3 drops C5H5N to give 65% N,N'-diacetyl-N,N'-bis [4-(p-chlorophenyl)-2-thiazolylmethyl] ethylenediamine (III), m. 206-8°. Similarly prepared, from the appropriate phenacyl bromide, were the p-bromophenyl (IV), m. 214-16°, p-tolyl (V), m. 170-2°, and p-methoxyphenyl analogs (VI), m. 180-3°. III (200 mg.) heated 4 hrs. at 100° with 5 ml. concentrated HCl and 5 ml. EtOH and the product filtered off at 0° and washed with absolute alc. gave 92% N,N'-bis [4-(p-chlorophenyl)-2-thiazolylmethyl] ethylenediamine-2HCl, decomposing 248-55°, heated 3 min. at 80° with 20% NaOAc to give the free base. Similarly, IV, V, and VI were deacetylated to give dihydrochlorides m. 258-64° (free base m. 145-7°), 241-7°, and 252-6° (free base m. 116-17°), resp. Absolute alc. (25 ml.) and 2 ml. Et3N saturated with H2S at 0°, treated with 10 g. piperazine-N,N'-diacetonitrile, and kept in an autoclave 12 hrs. at 70-5° gave 71% piperazine-N,N'-dithioacetamide (VII). VII (2.32 g.) refluxed 3 hrs. with 5.88 g. p-BrC6H4COCH2Br in 100 ml. absolute alc. with 2-3 drops C5H5N gave 97% N,N'-bis[4-(p-bromophenyl)-2-thiazolylmethyl]piperazine dihydrobromide (VIII 2HBr), decomposing 276-86° (EtOH), of which 1 g. shaken with 1:1 NH4OH (and the product washed) gave VIII, m. 252-8° (C6H6). Similarly, VII with p-MeOC6H4COCH2Br gave the p-methoxyphenyl analog, m. 214-17°. (Piperidino)thioacetamide (IX) (5 g.) refluxed 14 hrs. with 5.8 g. AcCH2Cl in 50 ml. absolute alc., the mixture cooled to 0°, filtered, and evaporated in vacuo <50°, the residue taken up in 20 ml. 2N HCl, the solution shaken with C 0.5 hr. and extracted with 2 + 50 ml. ether, the extract discarded, and the solution made basic at 0° with 2N NaOH and extracted with ether 48 hrs. in a Kutscher-Streudel apparatus gave 19.4% 2-piperidinomethylthiazole; picrate m. 140-2°. IX treated with p-BrC6H4-COCH2Br gave a hydrobromide that on warming with 2N NaOH gave 2-piperidinomethyl-4-(p-bromophenyl)thiazole, m. 110-11° (1:10 H2O-alc.). The p-methoxyphenyl analog, m. 88-9°, was similarly prepared N-Acetylsarcosine-thioamide was condensed with the appropriate phenacyl bromide to form 2-(N-acetylmethylaminomethyl)-4-(p-bromophenyl)thiazole, m. 98-101° (MeOH), or the p-methoxyphenyl (m. 114-16°) and p-chlorophenyl analog (not isolated). Each was

L7 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
deacetylated to give 2-methylaminomethyl-4-(p-bromophenyl)thiazole, m. 82-3° (1:1 aq. MeOH); HCl salt m. 226-8°, and the p-methoxyphenyl (X) (m. 40-1°; HCl salt m. 207-11°) and p-chlorophenyl analog (XI) (m. 70-2°; HCl salt m. 222-6°). The 1:1 Cu++ complexes of X and XI showed an extinction coeff. little different from that of CuSO4.

IT 100134-70-1, Thiazole, 4-(p-methoxyphenyl)-2-(methylaminomethyl)- (and derivs.)

RN 100134-70-1 CAPLUS

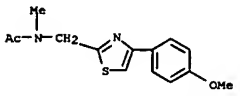
CN Thiazole, 4-(p-methoxyphenyl)-2-(methylaminomethyl)- (6CI) (CA INDEX NAME)



IT 103155-61-9, Acetamide, N-[[4-(p-methoxyphenyl)-2-thiazolyl]methyl]-N-methyl- 104339-29-9, Acetamide, N,N'-ethylenebis[N-[[4-(p-methoxyphenyl)-2-thiazolyl]methyl]- (preparation of)

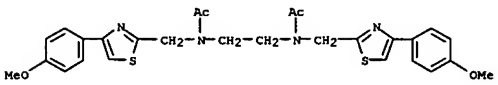
RN 103155-61-9 CAPLUS

CN Acetamide, N-[[4-(p-methoxyphenyl)-2-thiazolyl]methyl]-N-methyl- (6CI) (CA INDEX NAME)

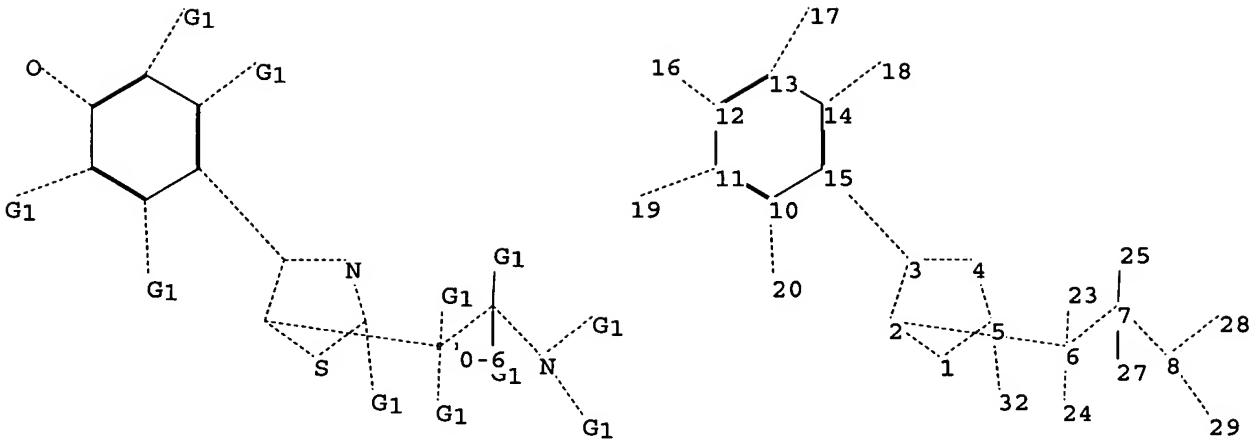


RN 104339-29-9 CAPLUS

CN Acetamide, N,N'-ethylenebis[N-[[4-(p-methoxyphenyl)-2-thiazolyl]methyl]- (6CI) (CA INDEX NAME)



```
=> fil reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         92.44     291.41

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)              SINCE FILE      TOTAL
                                                           ENTRY    SESSION
CA SUBSCRIBER PRICE                                        -13.50     -13.50

FILE 'REGISTRY' ENTERED AT 08:48:47 ON 24 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   23 MAY 2006  HIGHEST RN 885357-09-5
DICTIONARY FILE UPDATES:  23 MAY 2006  HIGHEST RN 885357-09-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\QUERIES\106810021.str
```



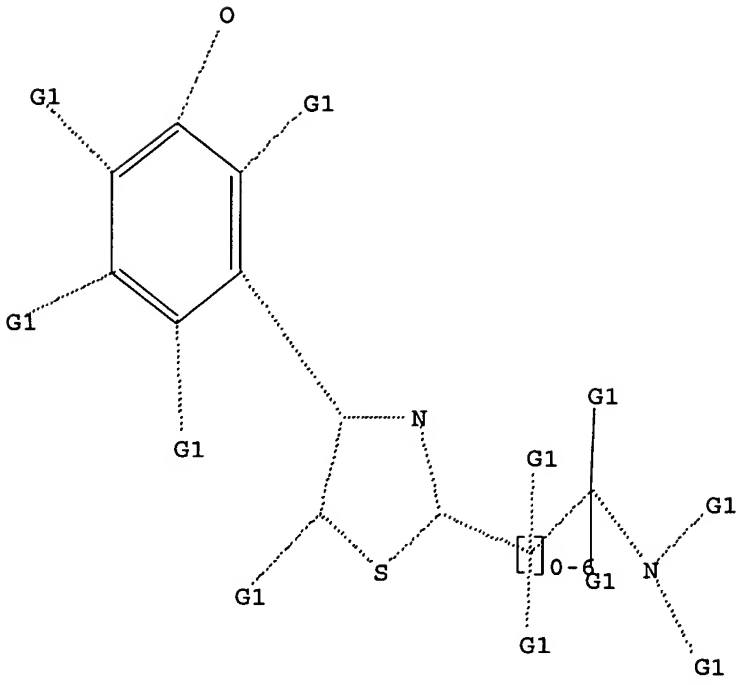
chain nodes :
6 7 8 16 17 18 19 20 23 24 25 27 28 29 32
ring nodes :
1 2 3 4 5 10 11 12 13 14 15
chain bonds :
2-6 3-15 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28 8-29 10-20 11-19 12-16 13-17 14-18
ring bonds :
1-2 1-5 2-3 3-4 4-5 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
1-2 1-5 2-3 2-6 3-4 3-15 4-5 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28 8-29 10-20 11-19 12-16 13-17 14-18
normalized bonds :
10-11 10-15 11-12 12-13 13-14 14-15
isolated ring systems :
containing 1 : 10 :

G1:C,H

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 23:CLASS 24:CLASS 25:CLASS 27:CLASS 28:CLASS 29:CLASS 32:CLASS

L8 STRUCTURE UPLOADED

=> d
L8 HAS NO ANSWERS
L8 STR



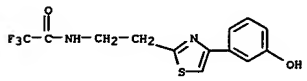
G1 C,H

Structure attributes must be viewed using STN Express query preparation.

```
=> s l8
SAMPLE SEARCH INITIATED 08:49:12 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       30 TO ITERATE

100.0% PROCESSED       30 ITERATIONS                                 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          272 TO      928
PROJECTED ANSWERS:               2 TO      124

L9             2 SEA SSS SAM L8

=> s l8 full
FULL SEARCH INITIATED 08:49:16 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      816 TO ITERATE

100.0% PROCESSED      816 ITERATIONS                                20 ANSWERS
SEARCH TIME: 00.00.01

L10            20 SEA SSS FUL L8

=> s l10 and caplus/lc
      50652292 CAPLUS/LC
L11            1 L10 AND CAPLUS/LC
```

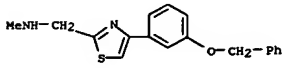
```
=> s l10 not l11
L12          19 L10 NOT L11

=> d l12 1-19
```

L12 ANSWER 1 OF 19 REGISTRY COPYRIGHT 2006 ACS on STN
RN 761403-31-0 REGISTRY
ED Entered STN: 13 Oct 2004
CN Acetamide, 2,2,2-trifluoro-N-[2-[4-(3-hydroxyphenyl)-2-thiazolyl]ethyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C13 H11 F3 N2 O2 S
SR Chemical Library
Supplier: TimTec, Inc.
LC STN Files: CHEMCATS



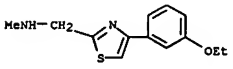
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 2 OF 19 REGISTRY COPYRIGHT 2006 ACS on STN
RN 644949-61-1 REGISTRY
ED Entered STN: 02 Feb 2004
CN 2-Thiazolemethanamine, N-methyl-4-[3-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H18 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



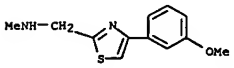
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 3 OF 19 REGISTRY COPYRIGHT 2006 ACS on STN
RN 644949-60-0 REGISTRY
ED Entered STN: 02 Feb 2004
CN 2-Thiazolemethanamine, 4-(3-ethoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C13 H16 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



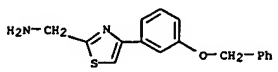
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 4 OF 19 REGISTRY COPYRIGHT 2006 ACS on STN
RN 643725-82-0 REGISTRY
ED Entered STN: 30 Jan 2004
CN 2-Thiazolemethanamine, 4-(3-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C12 H14 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



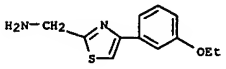
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 5 OF 19 REGISTRY COPYRIGHT 2006 ACS on STN
RN 643725-29-5 REGISTRY
ED Entered STN: 30 Jan 2004
CN 2-Thiazolemethanamine, 4-[3-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C17 H16 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



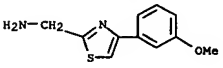
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 6 OF 19 REGISTRY COPYRIGHT 2006 ACS on STN
RN 643725-28-4 REGISTRY
ED Entered STN: 30 Jan 2004
CN 2-Thiazolemethanamine, 4-(3-ethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C12 H14 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



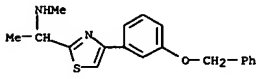
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 7 OF 19 REGISTRY COPYRIGHT 2006 ACS on STN
RN 643723-49-3 REGISTRY
ED Entered STN: 30 Jan 2004
CN 2-Thiazolemethanamine, 4-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C11 H12 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



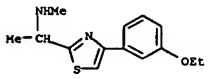
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 8 OF 19 REGISTRY COPYRIGHT 2006 ACS on STN
RN 643023-86-3 REGISTRY
ED Entered STN: 29 Jan 2004
CN 2-Thiazolemethanamine, N,α-dimethyl-4-[3-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C19 H20 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



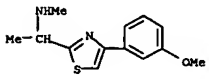
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 9 OF 19 REGISTRY COPYRIGHT 2006 ACS on STN
RN 643023-85-2 REGISTRY
ED Entered STN: 29 Jan 2004
CN 2-Thiazolemethanamine, 4-(3-ethoxyphenyl)-N,α-dimethyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C14 H18 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



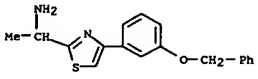
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 10 OF 19 REGISTRY COPYRIGHT 2006 ACS on STN
RN 642931-90-6 REGISTRY
ED Entered STN: 29 Jan 2004
CN 2-Thiazolemethanamine, 4-(3-methoxyphenyl)-N,α-dimethyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C13 H16 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



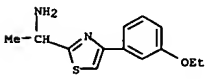
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 11 OF 19 REGISTRY COPYRIGHT 2006 ACS on STN
RN 642931-35-9 REGISTRY
ED Entered STN: 29 Jan 2004
CN 2-Thiazolemethanamine, α-methyl-4-[3-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H18 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



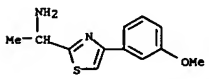
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 12 OF 19 REGISTRY COPYRIGHT 2006 ACS on STN
RN 642931-34-8 REGISTRY
ED Entered STN: 29 Jan 2004
CN 2-Thiazolemethanamine, 4-(3-ethoxyphenyl)-α-methyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C13 H16 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



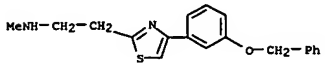
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 13 OF 19 REGISTRY COPYRIGHT 2006 ACS on STN
RN 642929-52-0 REGISTRY
ED Entered STN: 29 Jan 2004
CN 2-Thiazolemethanamine, 4-(3-methoxyphenyl)-α-methyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C12 H14 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



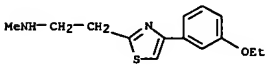
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 14 OF 19 REGISTRY COPYRIGHT 2006 ACS on STN
RN 642928-93-6 REGISTRY
ED Entered STN: 29 Jan 2004
CN 2-Thiazoleethanamine, N-methyl-4-[3-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C19 H20 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



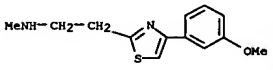
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 15 OF 19 REGISTRY COPYRIGHT 2006 ACS on STN
RN 642928-91-4 REGISTRY
ED Entered STN: 29 Jan 2004
CN 2-Thiazoleethanamine, 4-(3-ethoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C14 H18 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



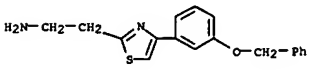
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 16 OF 19 REGISTRY COPYRIGHT 2006 ACS on STN
RN 642081-40-1 REGISTRY
ED Entered STN: 27 Jan 2004
CN 2-Thiazoleethanamine, 4-(3-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C13 H16 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



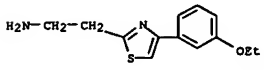
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 17 OF 19 REGISTRY COPYRIGHT 2006 ACS on STN
RN 642080-19-1 REGISTRY
ED Entered STN: 27 Jan 2004
CN 2-Thiazoleethanamine, 4-[3-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H18 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



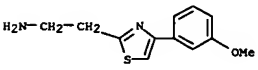
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 18 OF 19 REGISTRY COPYRIGHT 2006 ACS on STN
RN 642080-18-0 REGISTRY
ED Entered STN: 27 Jan 2004
CN 2-Thiazoleethanamine, 4-(3-ethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C13 H16 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 19 OF 19 REGISTRY COPYRIGHT 2006 ACS on STN
RN 642078-08-8 REGISTRY
ED Entered STN: 27 Jan 2004
CN 2-Thiazoleethanamine, 4-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C12 H14 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	207.80	499.21

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-13.50

FILE 'CAPLUS' ENTERED AT 08:49:40 ON 24 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

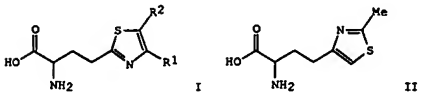
FILE COVERS 1907 - 24 May 2006 VOL 144 ISS 22
FILE LAST UPDATED: 23 May 2006 (20060523/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

```
=> s l11
L13           1 L11

=> d ibib abs hitstr
```

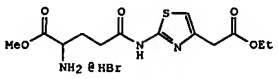
L13 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1993:671691 CAPLUS
DOCUMENT NUMBER: 119:271691
TITLE: Synthesis and antitrypanosomal evaluation of some thiazole-containing amino acids and peptides
AUTHOR(S): Van Bogaert, I.; Haemers, A.; Bollaert, W.; Van Meirvenne, N.; Brun, R.; Smith, K.; Fairlamb, A. H.
CORPORATE SOURCE: Dep. Pharm. Chem., Univ. Antwerp, Antwerp, B-2610, Belg.
SOURCE: European Journal of Medicinal Chemistry (1993), 28(5), 387-97
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 119:271691
GI

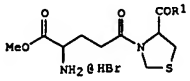


I

II



III

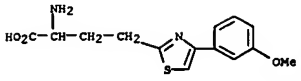


IV

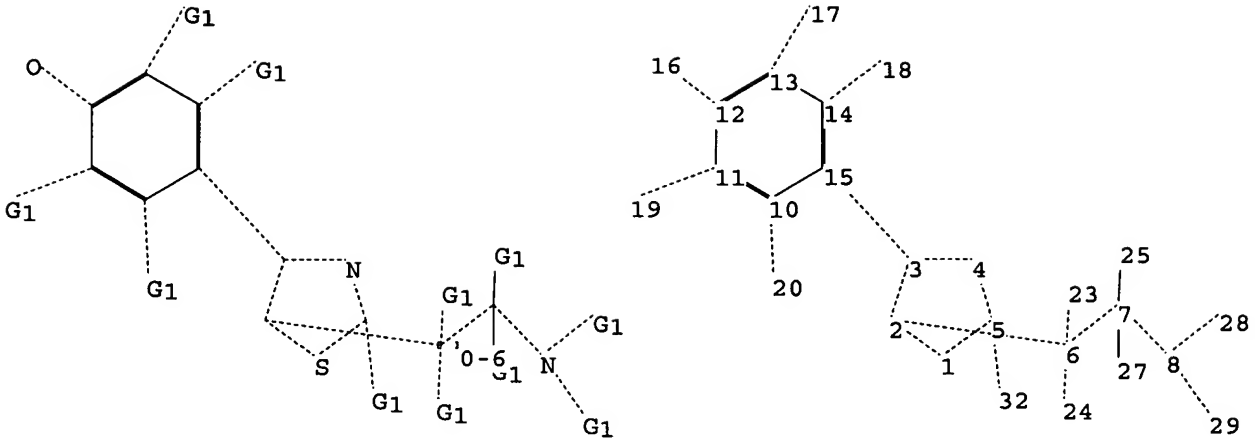
AB Several amino acids and peptides containing thiazole and thiazolidine residues were prepared Thiazole-containing amino acids and peptides I (R1 = H, R2 = H, CO2H, Ph; R1 = CO2H, CH2CO2H, Me, Ph, o-MeOC6H4, m-MeOC6H4, p-MeOC6H4, p-ClC6H4, m-O2NC6H4, p-O2NC6H4, CO-Gly-OH, R2 = H), II, III and IV (R1 = OEt, Gly-OEt) were prepared These compds. were tested in vivo and in vitro as possible antitrypanosomal agents. Some derivs. showed a slight activity. As they are structurally related to glutathione, their inhibitory properties towards glutathionylspermidine synthetase, trypanothione synthetase and trypanothione reductase were determined No inhibitory activity was found.

IT 150715-81-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 150715-81-4 CAPLUS
CN 2-Thiazolebutanoic acid, α-amino-4-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

L13 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



```
=> fil reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         5.57     504.78

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)              SINCE FILE      TOTAL
                                                           ENTRY    SESSION
CA SUBSCRIBER PRICE                                        -0.75     -14.25

FILE 'REGISTRY' ENTERED AT 08:49:52 ON 24 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   23 MAY 2006  HIGHEST RN 885357-09-5
DICTIONARY FILE UPDATES:  23 MAY 2006  HIGHEST RN 885357-09-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
**********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\QUERIES\106810021.str
```



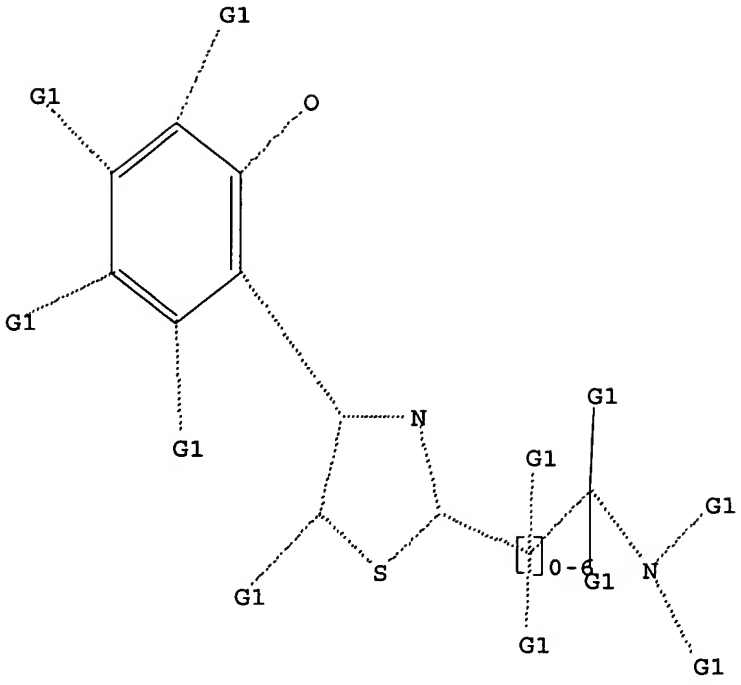
chain nodes :
6 7 8 16 17 18 19 20 23 24 25 27 28 29 32
ring nodes :
1 2 3 4 5 10 11 12 13 14 15
chain bonds :
2-6 3-15 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28 8-29 10-20 11-19 12-16 13-17 14-18
ring bonds :
1-2 1-5 2-3 3-4 4-5 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
1-2 1-5 2-3 2-6 3-4 3-15 4-5 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28 8-29 10-20 11-19 12-16 13-17 14-18
normalized bonds :
10-11 10-15 11-12 12-13 13-14 14-15
isolated ring systems :
containing 1 : 10 :

G1:C,H

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 23:CLASS 24:CLASS 25:CLASS 27:CLASS 28:CLASS 29:CLASS 32:CLASS

L14 STRUCTURE UPLOADED

=> d
L14 HAS NO ANSWERS
L14 STR



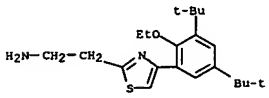
G1 C,H

Structure attributes must be viewed using STN Express query preparation.

```
=> s l14
SAMPLE SEARCH INITIATED 08:50:56 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       86 TO ITERATE

100.0% PROCESSED       86 ITERATIONS                                4 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE   **COMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:          1164 TO     2276
PROJECTED ANSWERS:                4 TO      200

L15            4 SEA SSS SAM L14

=> s l14 full
FULL SEARCH INITIATED 08:50:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     1535 TO ITERATE

100.0% PROCESSED     1535 ITERATIONS                            189 ANSWERS
SEARCH TIME: 00.00.01

L16          189 SEA SSS FUL L14

=> s l16 and caplus/lc
      50652292 CAPLUS/LC
L17            9 L16 AND CAPLUS/LC
```

```
=> s l16 not l17
L18         180 L16 NOT L17

=> d l18 160
```

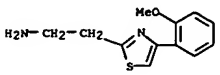
L18 ANSWER 160 OF 180 REGISTRY COPYRIGHT 2006 ACS on STN
RN 642079-02-5 REGISTRY
ED Entered STN: 27 Jan 2004
CN 2-Thiazoleethanamine, 4-[3,5-bis(1,1-dimethylethyl)-2-ethoxyphenyl]-
(9CI)
(CA INDEX NAME)
FS 3D CONCORD
MF C21 H32 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

```
=> d 118 180
```

L18 ANSWER 180 OF 180 REGISTRY COPYRIGHT 2006 ACS on STN
RN 642078-06-6 REGISTRY
ED Entered STN: 27 Jan 2004
CN 2-Thiazoleethanamine, 4-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C12 H14 N2 O S
SR Chemical Catalog
Supplier: ACB Blocks Ltd
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

```
=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                    176.38     681.16

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                      0.00     -14.25

FILE 'CAPLUS' ENTERED AT 08:51:26 ON 24 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)
```



```
FILE COVERS 1907 - 24 May 2006  VOL 144 ISS 22
FILE LAST UPDATED: 23 May 2006  (20060523/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l17
L19           5 L17

=> d ibib abs hitstr 1-5
```

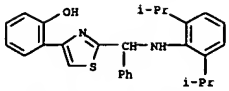
L19 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:316737 CAPLUS
DOCUMENT NUMBER: 144:370549
TITLE: Heterocycle-amine ligands, compositions, complexes, and catalysts, and methods of making and using the same
INVENTOR(S): Diamond, Gary; Lapointe, Anne M.; Leclerc, Margarete K.; Longmire, James; Nava-Salgado, Victor; Shoemaker, James A. W.; Sun, Pu
PATENT ASSIGNEE(S): Symyx Technologies, Inc., USA
SOURCE: PCT Int. Appl., 219 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006036748	A2	20060406	WO 2005-US34009	20050921
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006094867	A1	20060504	US 2005-232982	20050921
US 2006094839	A1	20060504	US 2005-233227	20050921
PRIORITY APPLN. INFO.:			US 2004-611943P P	20040922

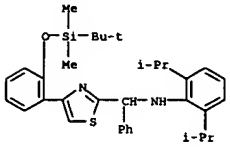
AB Ligands, compns., and metal-ligand complexes that incorporate heterocycle-amine compds. are disclosed that are useful in the catalysis of transformations such as the polymerization of monomers into polymers. The catalysts have high performance characteristics, including higher comonomer incorporation into ethylene/olefin copolymers, where such olefins are for example, 1-octene, propylene or styrene. The catalysts also polymerize propylene to form isotactic polypropylene.

IT **881998-65-8P**
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(ligand; manufacture of complexes containing heterocycle-amine ligands for use in olefin polymerization)
RN 881998-65-8 CAPLUS
CN Phenol, 2-[2-[[[2,6-bis(1-methylethyl)phenyl]amino]phenylmethyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)

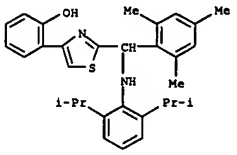
L19 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT **881998-64-7 881999-94-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(manufacture of complexes containing heterocycle-amine ligands for use in olefin polymerization)
RN 881998-64-7 CAPLUS
CN 2-Thiazolemethanamine, N-[2,6-bis(1-methylethyl)phenyl]-4-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]-α-phenyl- (9CI) (CA INDEX NAME)



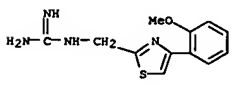
RN 881999-94-6 CAPLUS
CN Phenol, 2-[2-[[[2,6-bis(1-methylethyl)phenyl]amino](2,4,6-trimethylphenyl)methyl]-4-thiazolyl]- (9CI) (CA INDEX NAME)



L19 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:908905 CAPLUS
DOCUMENT NUMBER: 142:68509
TITLE: A 3D Similarity Method for Scaffold Hopping from Known Drugs or Natural Ligands to New Chemotypes
AUTHOR(S): Jenkins, Jeremy L.; Glick, Meir; Davies, John W.
CORPORATE SOURCE: Lead Discovery Center, Novartis Institutes for BioMedical Research Inc., Cambridge, MA, 02139, USA
SOURCE: Journal of Medicinal Chemistry (2004), 47(25), 6144-6159
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A primary goal of 3D similarity searching is to find compds. with similar bioactivity to a reference ligand but with different chemotypes, i.e., "scaffold hopping". However, an adequate description of chemical structures in 3D conformational space is difficult due to the high-dimensionality of the problem. The authors present an automated method that simplifies flexible 3D chemical descriptions in which clustering techniques traditionally used in data mining are exploited to create "fuzzy" mol. representations called FEPOPS (feature point pharmacophores). The representations can be used for flexible 3D similarity searching given one or more active compds. without a priori knowledge of bioactive conformations or pharmacophores. The authors demonstrate that similarity searching with FEPOPS significantly enriches for actives taken from inhouse high-throughput screening datasets and from MDDR activity classes COX-2, 5-HT3A, and HIV-RT, while also scaffold or ring-system hopping to new chemical frameworks. Further, inhibitors of target proteins (dopamine 2 and retinoic acid receptor) are recalled by FEPOPS by scaffold hopping from their associated endogenous ligands (dopamine and retinoic acid). Importantly, the method excels in comparison to commonly used 2D similarity methods (DAYLIGHT, MACCS, Pipeline Pilot fingerprints) and a com. 3D method (Pharmacophore Distance Triplets) at finding novel scaffold classes given a single query mol.

IT **132254-03-6**
RL: PAC (Pharmacological activity); BIOL (Biological study)
(3D similarity method for scaffold hopping from known drugs or natural ligands to new chemotypes)
RN 132254-03-6 CAPLUS
CN Guanidine, [[4-(2-methoxyphenyl)-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 73 THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

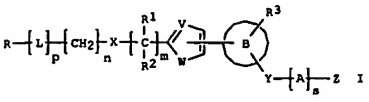
L19 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

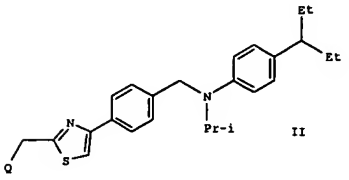
L19 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:878382 CAPLUS
DOCUMENT NUMBER: 141:350161
TITLE: Preparation of azole compounds as PTP1B inhibitors
INVENTOR(S): Ikemoto, Tomoyuki; Tanaka, Masahiro; Yuno, Takeo; Sakamoto, Johei; Nakanishi, Hiroyuki; Nakagawa, Yuichi; Ohta, Takeshi; Sakata, Shohei; Morinaga, Hisayo
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 542 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089918	A1	20041021	WO 2004-JP5119	20040409
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004228565	A1	20041021	AU 2004-228565	20040409
CA 2521830	AA	20041021	CA 2004-2521830	20040409
EP 1553091	A1	20050713	EP 2004-726765	20040409
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004009136	A	20060425	BR 2004-9136	20040409
JP 2005272476	A2	20051006	JP 2005-133755	20050428
NO 2005005246	A	20051221	NO 2005-5246	20051108
PRIORITY APPLN. INFO.:			JP 2003-105267 A	20030409
			JP 2003-157590 A	20030603
			JP 2005-505323 A3	20040409
			WO 2004-JP5119 W	20040409

OTHER SOURCE(S): MARPAT 141:350161
GI



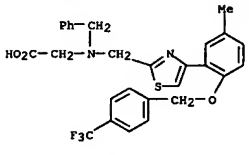


AB Title compds. I [V = N, CH; W = S, O; m = 0-2; R1, R2 = H, alkyl; X = NR4, etc.; R4 = H, alkyl; n = 0-4; p = 0, 1; L = CR20R21, etc.; R20 = H, alkyl, etc.; R21 = H, alkyl, etc.; R = CO2R19, etc.; R19 = H, alkyl; B = aryl, heteroaryl; R3 = H, halo, etc.; Y = O, etc.; s = 0, 1; A = (un)substituted alkylene with cycloalkyl; Z = cycloalkyl, etc.] were prepared For example, O-alkylation of 5-hydroxynicotinic acid Me ester with compound II [Q = Cl], e.g., prepared from 4-bromoacetylbenzoic acid in 5 steps, followed by saponification afforded compound II [3-carboxypyridin-5-yloxy] in 44.1% overall yield. In PTP1B (protein tyrosine phosphatase 1B) inhibition assays, the IC50 value of compound II [Q = 3-carboxypyridin-5-yloxy] was 0.28 μM. Compds. I are claimed useful for the treatment of obesity, diabetes, etc. Formulations are given.

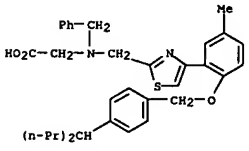
IT **776309-63-8P 776309-64-9P 776309-67-2P 776309-76-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azole compds. as PTP1B inhibitors for treatment of obesity and diabetes)

RN 776309-63-8 CAPLUS
CN Glycine, N-[[4-[5-methyl-2-[[4-(trifluoromethyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

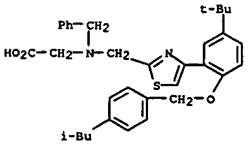
L19 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 776309-64-9 CAPLUS
CN Glycine, N-[[4-[5-methyl-2-[[4-(1-propylbutyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

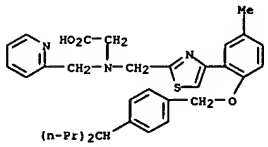


RN 776309-67-2 CAPLUS
CN Glycine, N-[[4-[5-(1,1-dimethylethyl)-2-[[4-(2-methylpropyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 776309-76-3 CAPLUS
CN Glycine, N-[[4-[5-methyl-2-[[4-(1-propylbutyl)phenyl]methoxy]phenyl]-2-thiazolyl]methyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

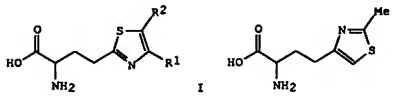
L19 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

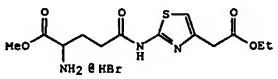
L19 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1993:671691 CAPLUS
DOCUMENT NUMBER: 119:271691
TITLE: Synthesis and antitrypanosomal evaluation of some thiazole-containing amino acids and peptides
AUTHOR(S): Van Bogaert, I.; Haemers, A.; Bollaert, W.; Van Meirvenne, N.; Brun, R.; Smith, K.; Fairlamb, A. H.
CORPORATE SOURCE: Dep. Pharm. Chem., Univ. Antwerp, Antwerp, B-2610, Belg.
SOURCE: European Journal of Medicinal Chemistry (1993), 28(5), 387-97
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 119:271691
GI

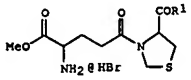


I

II



III



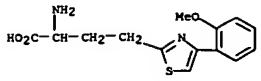
IV

AB Several amino acids and peptides containing thiazole and thiazolidine residues were prepared Thiazole-containing amino acids and peptides I (R1 = H, R2 = H, CO2H, Ph; R1 = CO2H, CH2CO2H, Me, Ph, o-MeOC6H4, m-MeOC6H4, p-MeOC6H4, p-ClC6H4, m-O2NC6H4, p-O2NC6H4, CO-Gly-OH, R2 = H), II, III and IV (R1 = OEt, Gly-OEt) were prepared These compds. were tested in vivo and in vitro as possible antitrypanosomal agents. Some derivs. showed a slight activity. As they are structurally related to glutathione, their inhibitory properties towards glutathionylspermidine synthetase, trypanothione synthetase and trypanothione reductase were determined No inhibitory activity was found.

IT **150715-80-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 150715-80-3 CAPLUS
CN 2-Thiazolebutanoic acid, α-amino-4-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

L19 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

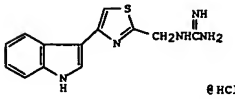


L19 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:101982 CAPLUS
DOCUMENT NUMBER: 114:101982
TITLE: Preparation of heterocyclic guanidines as 5HT3 antagonists
INVENTOR(S): Nagel, Arthur A.; Rizzi, James P.; Rosen, Terry J.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4963689	A	19901016	US 1989-349189	19890509
EP 397364	A1	19901114	EP 1990-304684	19900430
EP 397364	B1	19930728		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 92062	E	19930815	AT 1990-304684	19900430
ES 2058795	T3	19941101	ES 1990-304684	19900430
IL 94254	A1	19940530	IL 1990-94254	19900502
CA 2016182	AA	19901109	CA 1990-2016182	19900507
CA 2016182	C	19960312		
AU 9054767	A1	19901115	AU 1990-54767	19900507
AU 615385	B2	19910926		
NO 9002029	A	19901112	NO 1990-2029	19900508
ZA 9003478	A	19911224	ZA 1990-3478	19900508
JP 03011070	A2	19910118	JP 1990-119635	19900509
JP 06035454	B4	19940511		
HU 58063	A2	19920128	HU 1990-2976	19900509
PRIORITY APPLN. INFO.:			US 1989-349189 A	19890509
			EP 1990-304684 A	19900430

OTHER SOURCE(S): CASREACT 114:101982; MARPAT 114:101982
GI



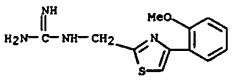
@HCl III

AB Ar-Het-CH2NR1C(:NR2)NHR3 (I; Ar = naphthyl, indol-3-yl, 2-methylindol-3-yl, 1-methylindol-3-yl, 1-benzylindol-3-ylphenyl, mono-or disubstituted Ph; Het = 4-thiazol-2-yl, 3-isoxazol-5-yl, 2-thien-5-yl, 2-fur-5-yl; R1 = H, Me; R2, R3 = H, hydroxyalkyl, alkyl, cycloalkyl, COMe; or R2R3 = C2,3 alkylene), useful for treatment of nausea, anxiety, pain, schizophrenia, and gastrointestinal disorders (no data), are prepared Thus, a solution of 3-(chloroacetyl)indole and AcNHCH2C(S)NH2 in EtOH was refluxed overnight to give 51% 2-(N-acetylaminomethyl)-4-(indol-3-yl)thiazole which was hydrolyzed with concd. HCl under reflux to give 67% 2-(aminomethyl)-4-(indol-3-yl)thiazole HCl (II). A mixt. of II, 2-methyl-2-thiopseudourea sulfate, and AcONa in isopropanol was heated to reflux overnight to give 83% thiazole salt III. Addnl. 25 I were prepd.

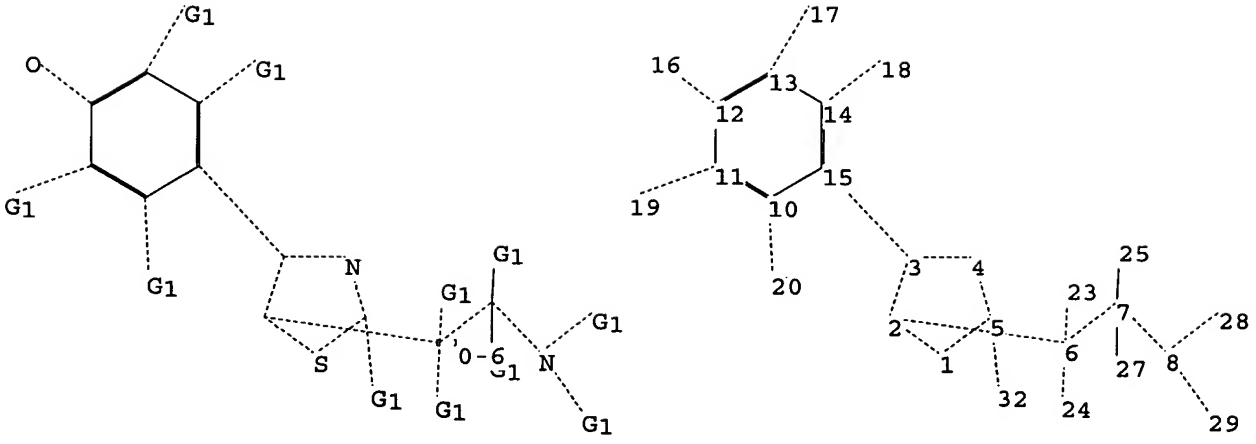
L19 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT **132254-03-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as serotoninergic S3 antagonist)

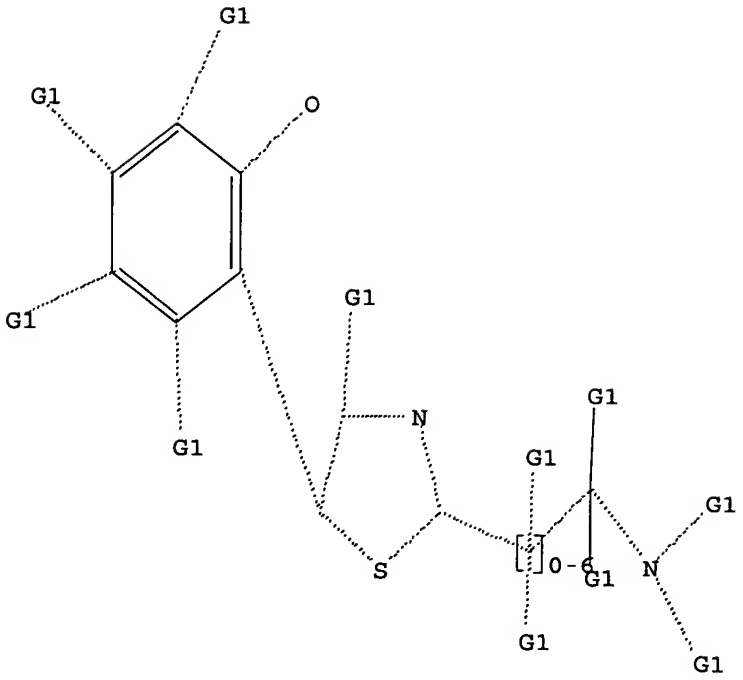
RN 132254-03-6 CAPLUS
CN Guanidine, [[4-(2-methoxyphenyl)-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



```
=> fil reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                    26.01     707.17

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                    -3.75     -18.00

FILE 'REGISTRY' ENTERED AT 08:51:41 ON 24 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   23 MAY 2006  HIGHEST RN 885357-09-5
DICTIONARY FILE UPDATES:  23 MAY 2006  HIGHEST RN 885357-09-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

*********************************************************************
*                                                                   *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added.   *
```

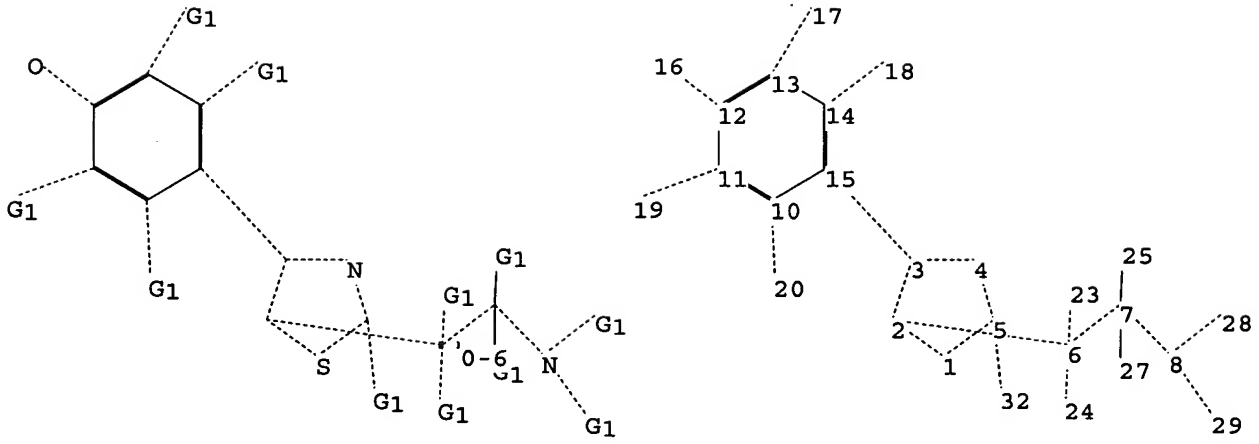


chain nodes :
6 7 8 16 17 18 19 20 23 24 25 27 28 29 32
ring nodes :
1 2 3 4 5 10 11 12 13 14 15
chain bonds :
2-6 3-15 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28 8-29 10-20 11-19 12-16 13-17 14-18
ring bonds :
1-2 1-5 2-3 3-4 4-5 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
1-2 1-5 2-3 2-6 3-4 3-15 4-5 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28

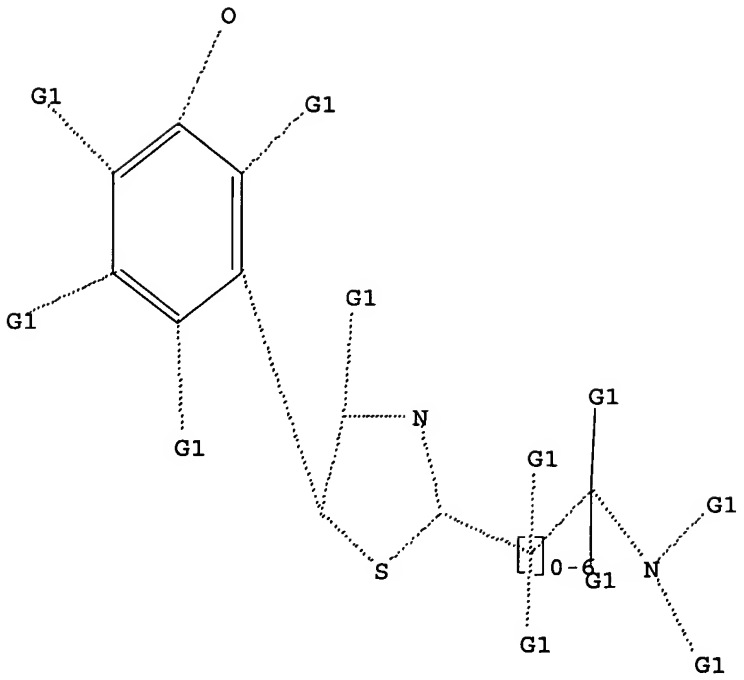


G1 C,H

Structure attributes must be viewed using STN Express query preparation.



chain nodes :
6 7 8 16 17 18 19 20 23 24 25 27 28 29 32
ring nodes :
1 2 3 4 5 10 11 12 13 14 15
chain bonds :
2-6 3-15 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28 8-29 10-20 11-19 12-16
13-17 14-18
ring bonds :
1-2 1-5 2-3 3-4 4-5 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
1-2 1-5 2-3 2-6 3-4 3-15 4-5 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28



G1 C,H

Structure attributes must be viewed using STN Express query preparation.

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	342.60	1049.77

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-18.00

FILE 'CAPLUS' ENTERED AT 08:58:14 ON 24 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

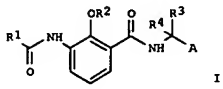
FILE COVERS 1907 - 24 May 2006 VOL 144 ISS 22
FILE LAST UPDATED: 23 May 2006 (20060523/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

L27 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:990095 CAPLUS
DOCUMENT NUMBER: 141:407228
TITLE: 3-(Acylamino)salicylamide derivatives and agricultural fungicides containing them
INVENTOR(S): Hara, Yoshihiko; Kishimoto, Takashi; Sano, Hiroshi; Haramoto, Masanori
PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 36 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004323516	A2	20041118	JP 2004-112755	20040407
PRIORITY APPLN. INFO.:			JP 2003-107451 A	20030411

OTHER SOURCE(S): MARPAT 141:407228
GI



I

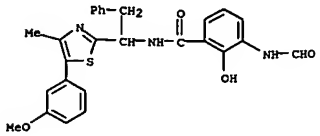
Q = 

Q1 = 

AB The derivs I [R1 = H, C1-6 alkyl; R2 = H, C1-6 alkoxy-C1-6 alkyl, C1-6alkoxycarbonyl, C1-6 alkylcarbonyl, phenyl-C1-8 alkyl; R3 = C1-12 alkyl, C2-8 alkenyl, C2-8 alkynyl, C1-8 haloalkyl, Ph which may be substituted with G, phenyl-C1-8 alkyl which may be substituted with G; R4 = H, C1-6 alkyl; A = Q, Q1 (X = O, S; R5-R6 = C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C1-8 haloalkyl, Ph which may be substituted with G, phenyl-C1-8 alkyl which may be substituted with G; R5 and R6 may be bonded together to form a ring); G = halo, C1-6 alkyl, C1-6 alkoxy, C11-6 alkylthio, C1-6 haloalkyl, C1-6 haloalkoxy] are useful as agricultural fungicides. Thus, N-[1-(4-methyl-5-phenyloxazol-2-yl)-2-phenylethyl]-3-formamido-2-hydroxybenzamide (preparation given) showed ≥75% control against apple black spot disease due to Venturia inaequalis.

IT 792922-60-2
RL: AGR (Agricultural use); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(preparation of N-(heterocyclylmethyl)-3-(acylamino)salicylamides as agrochem. fungicides)

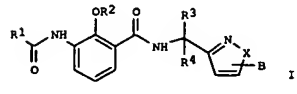
L27 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 792922-60-2 CAPLUS
CN Benzamide, 3-(formylamino)-2-hydroxy-N-[1-[5-(3-methoxyphenyl)-4-methyl-2-thiazolyl]-2-phenylethyl]- (9CI) (CA INDEX NAME)



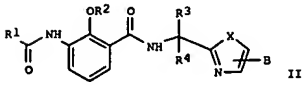
L27 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:101147 CAPLUS
DOCUMENT NUMBER: 140:146128
TITLE: Preparation of aminosalicylamide derivatives as fungicides
INVENTOR(S): Hara, Yoshihiko; Kishimoto, Takashi; Saiga, Tomoyuki; Haramoto, Masahiro
PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004011445	A1	20040205	WO 2003-JP9639	20030730
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003254781	A1	20040216	AU 2003-254781	20030730
PRIORITY APPLN. INFO.:			JP 2002-222535 A	20020731
			WO 2003-JP9639 W	20030730

OTHER SOURCE(S): MARPAT 140:146128
GI



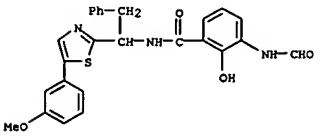
I



II

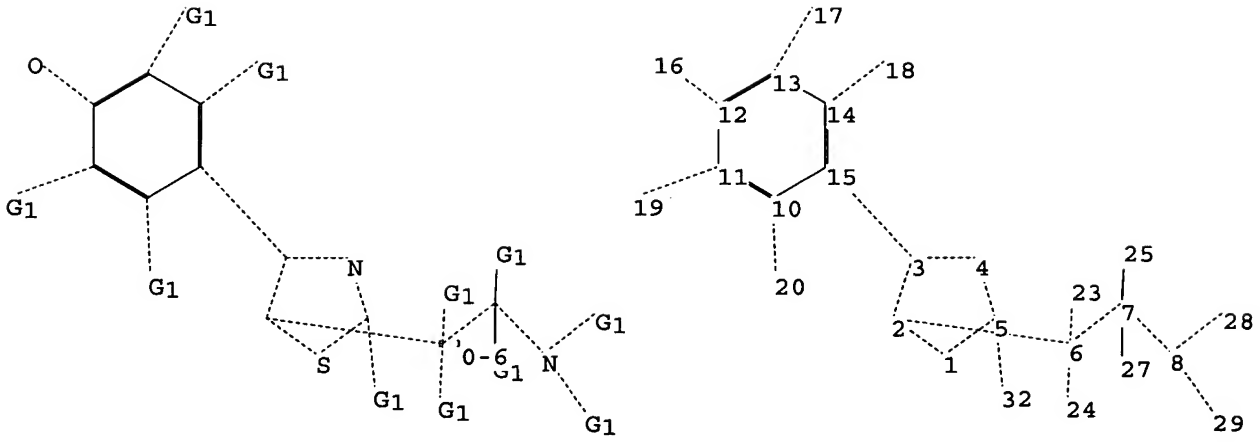
AB Title compds. I and II (R1, R3 = H, alkyl; R2 = H, alkoxycarbonyl, alkylcarbonyl, phenylalkyl; R4 = alkyl, alkenyl, alkynyl, haloalkyl, Ph, substituted Ph, phenylalkyl; B = Ph, alkyl, alkenyl, alkynyl; X = O, S), useful as fungicides, are prepared Thus, N-[1-(5-phenyloxazol-2-yl)-2,2-dimethylpropyl]-3-formamido-2-hydroxybenzamide was prepd. and showed fungicidal activity against Venturia inaequalis at 200 ppm.

L27 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
IT 652152-05-1P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminosalicylamide derivs. as fungicides)
RN 652152-05-1 CAPLUS
CN Benzamide, 3-(formylamino)-2-hydroxy-N-[1-[5-(3-methoxyphenyl)-2-thiazolyl]-2-phenylethyl]- (9CI) (CA INDEX NAME)

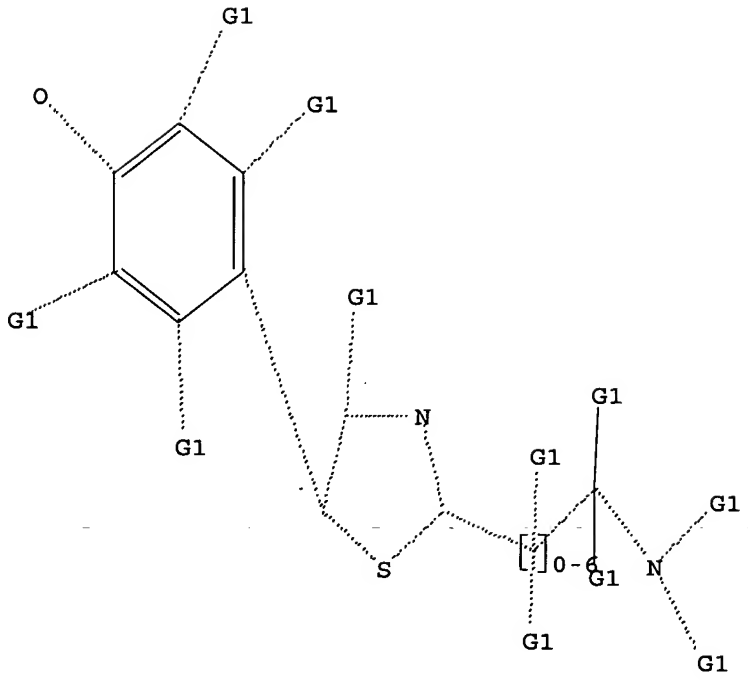


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
=> fil reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                    10.68    1060.45

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                    -1.50     -19.50

FILE 'REGISTRY' ENTERED AT 08:58:28 ON 24 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   23 MAY 2006  HIGHEST RN 885357-09-5
DICTIONARY FILE UPDATES:  23 MAY 2006  HIGHEST RN 885357-09-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

*************************************************************
*                                                           *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added.   *
```

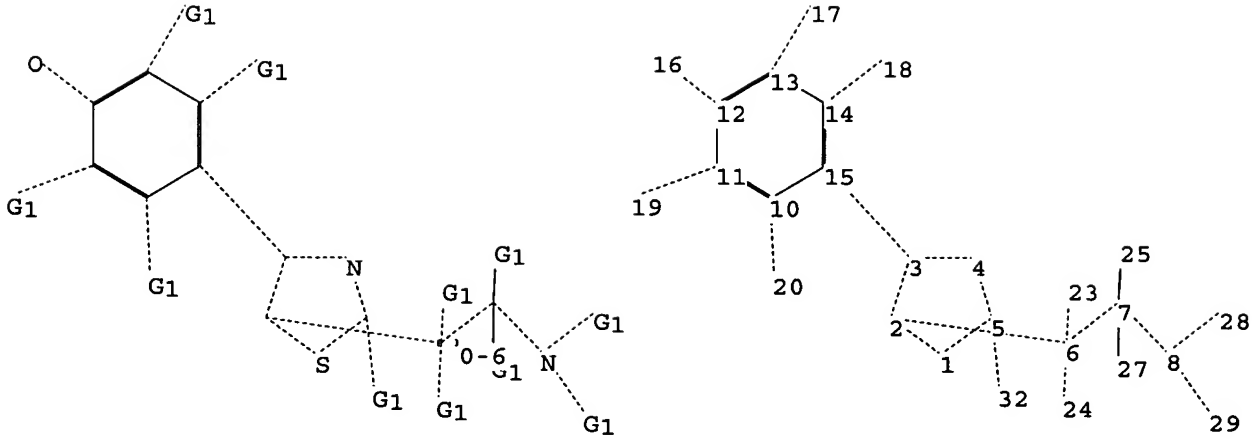


chain nodes :
6 7 8 16 17 18 19 20 23 24 25 27 28 29 32
ring nodes :
1 2 3 4 5 10 11 12 13 14 15
chain bonds :
2-6 3-15 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28 8-29 10-20 11-19 12-16
13-17 14-18
ring bonds :
1-2 1-5 2-3 3-4 4-5 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
1-2 1-5 2-3 2-6 3-4 3-15 4-5 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28

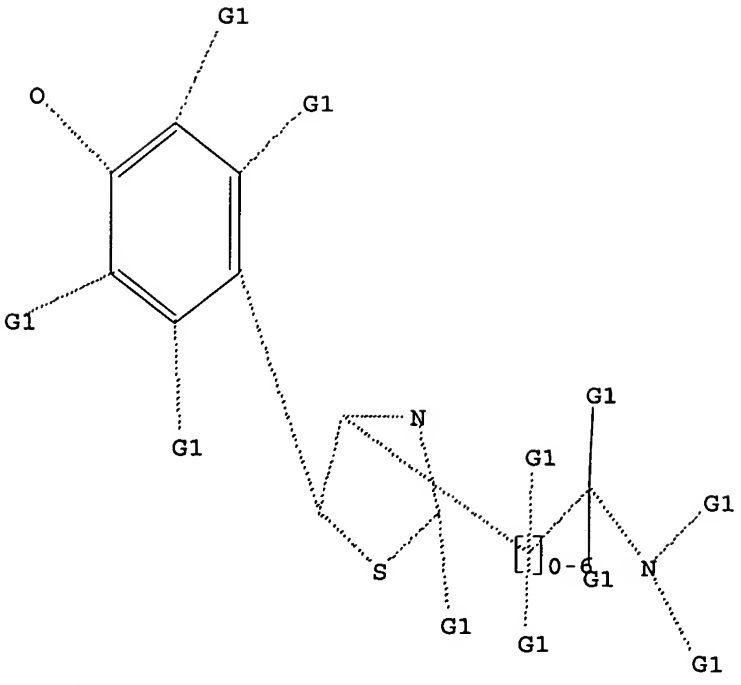


G1 C,H

Structure attributes must be viewed using STN Express query preparation.

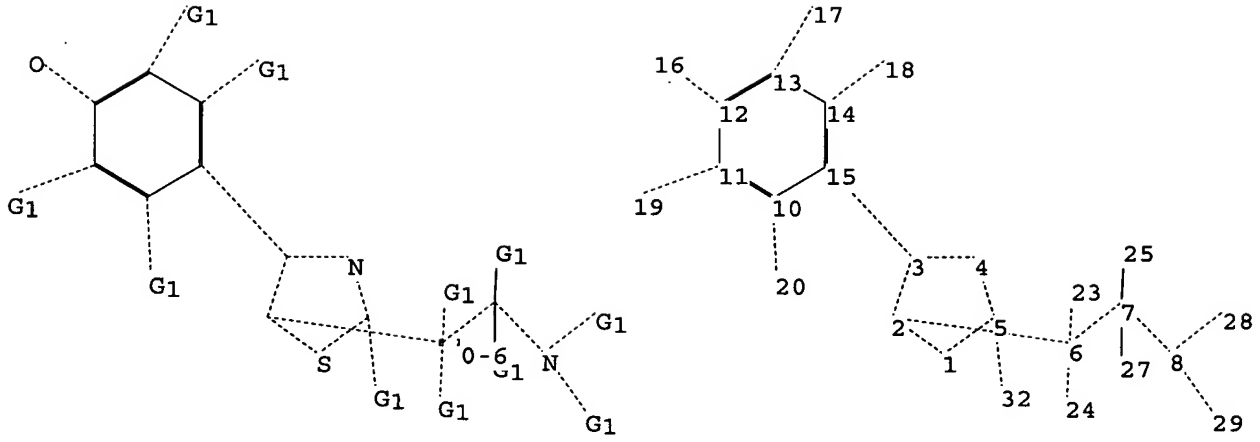


chain nodes :
6 7 8 16 17 18 19 20 23 24 25 27 28 29 32
ring nodes :
1 2 3 4 5 10 11 12 13 14 15
chain bonds :
2-6 3-15 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28 8-29 10-20 11-19 12-16 13-17 14-18
ring bonds :
1-2 1-5 2-3 3-4 4-5 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
1-2 1-5 2-3 2-6 3-4 3-15 4-5 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28

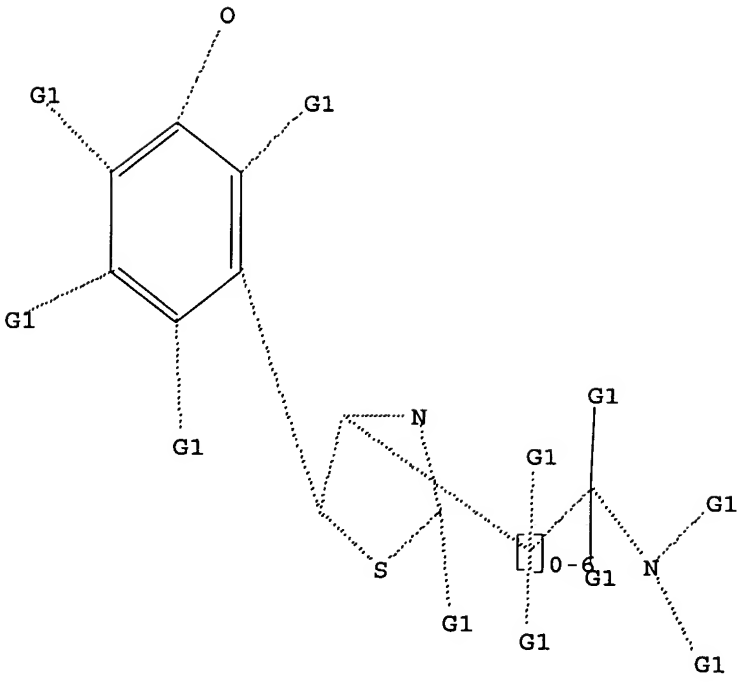


G1 C,H

Structure attributes must be viewed using STN Express query preparation.

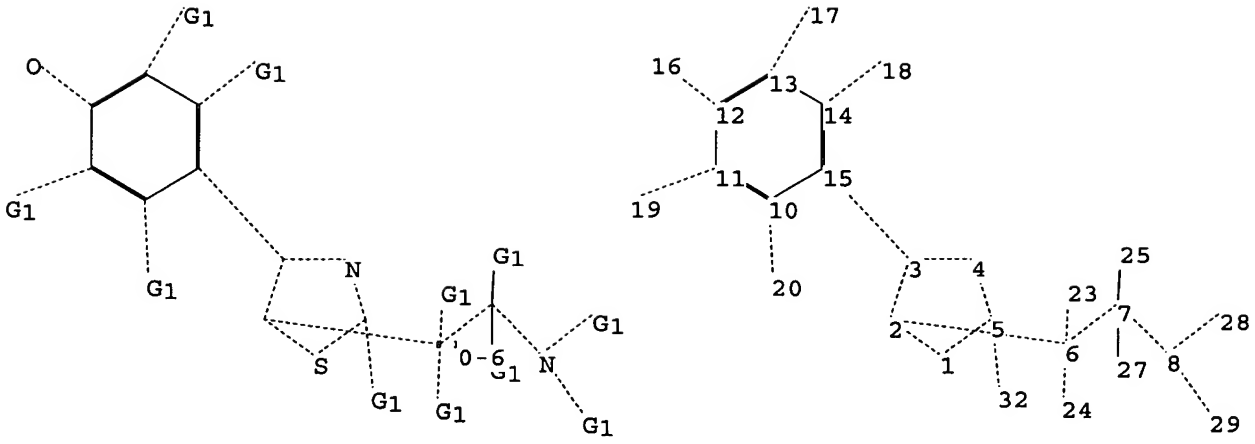


chain nodes :
6 7 8 16 17 18 19 20 23 24 25 27 28 29 32
ring nodes :
1 2 3 4 5 10 11 12 13 14 15
chain bonds :
2-6 3-15 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28 8-29 10-20 11-19 12-16
13-17 14-18
ring bonds :
1-2 1-5 2-3 3-4 4-5 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
1-2 1-5 2-3 2-6 3-4 3-15 4-5 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28

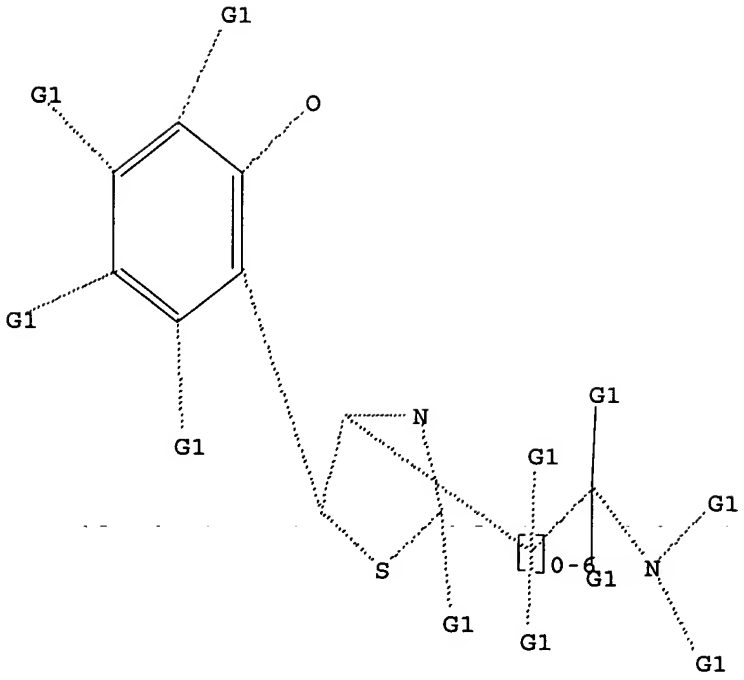


G1 C,H

Structure attributes must be viewed using STN Express query preparation.

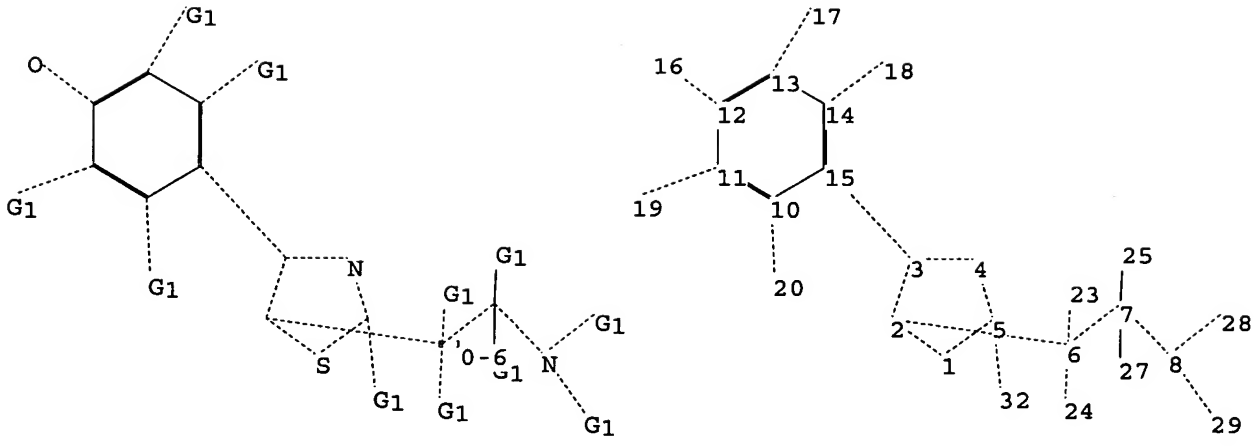


chain nodes :
6 7 8 16 17 18 19 20 23 24 25 27 28 29 32
ring nodes :
1 2 3 4 5 10 11 12 13 14 15
chain bonds :
2-6 3-15 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28 8-29 10-20 11-19 12-16
13-17 14-18
ring bonds :
1-2 1-5 2-3 3-4 4-5 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
1-2 1-5 2-3 2-6 3-4 3-15 4-5 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28

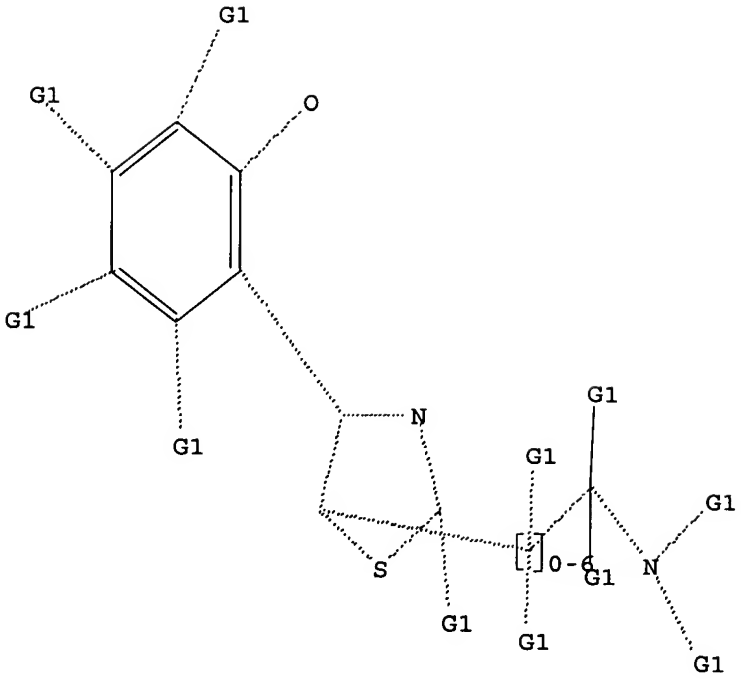


G1 C,H

Structure attributes must be viewed using STN Express query preparation.

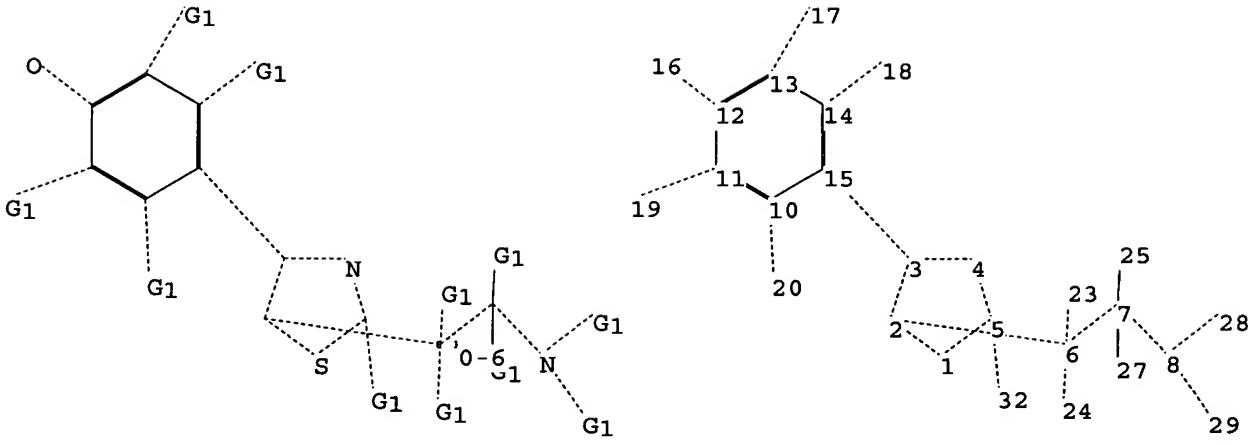


chain nodes :
6 7 8 16 17 18 19 20 23 24 25 27 28 29 32
ring nodes :
1 2 3 4 5 10 11 12 13 14 15
chain bonds :
2-6 3-15 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28 8-29 10-20 11-19 12-16
13-17 14-18
ring bonds :
1-2 1-5 2-3 3-4 4-5 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
1-2 1-5 2-3 2-6 3-4 3-15 4-5 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28

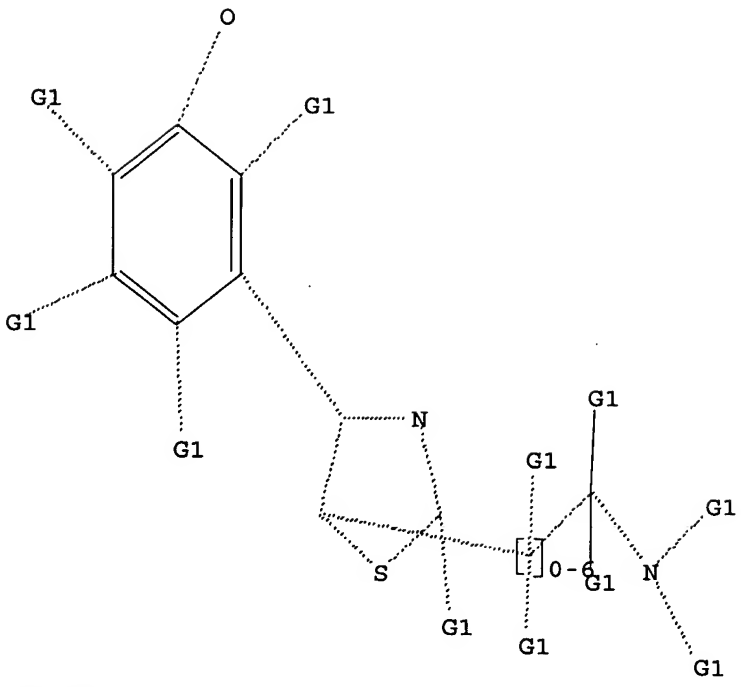


G1 C,H

Structure attributes must be viewed using STN Express query preparation.

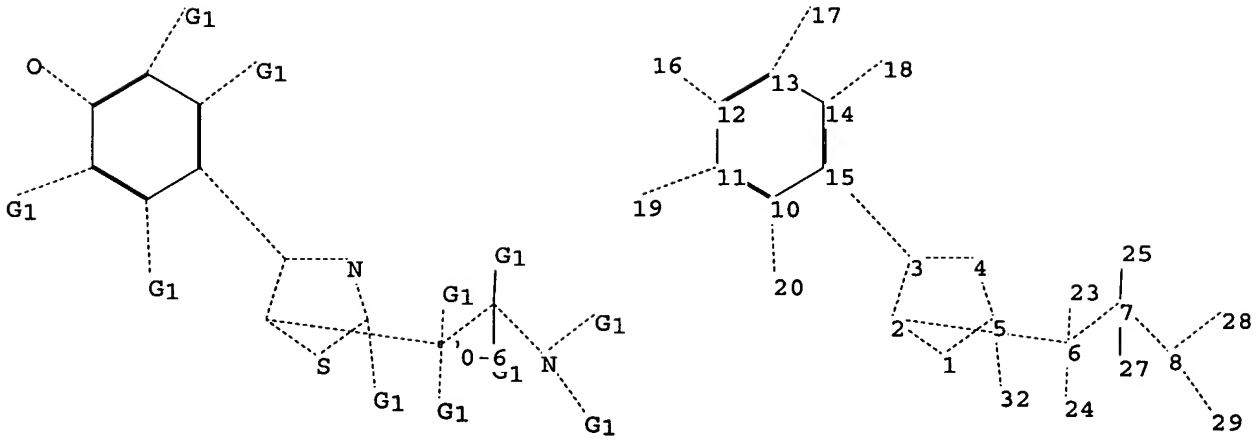


chain nodes :
6 7 8 16 17 18 19 20 23 24 25 27 28 29 32
ring nodes :
1 2 3 4 5 10 11 12 13 14 15
chain bonds :
2-6 3-15 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28 8-29 10-20 11-19 12-16
13-17 14-18
ring bonds :
1-2 1-5 2-3 3-4 4-5 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
1-2 1-5 2-3 2-6 3-4 3-15 4-5 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28

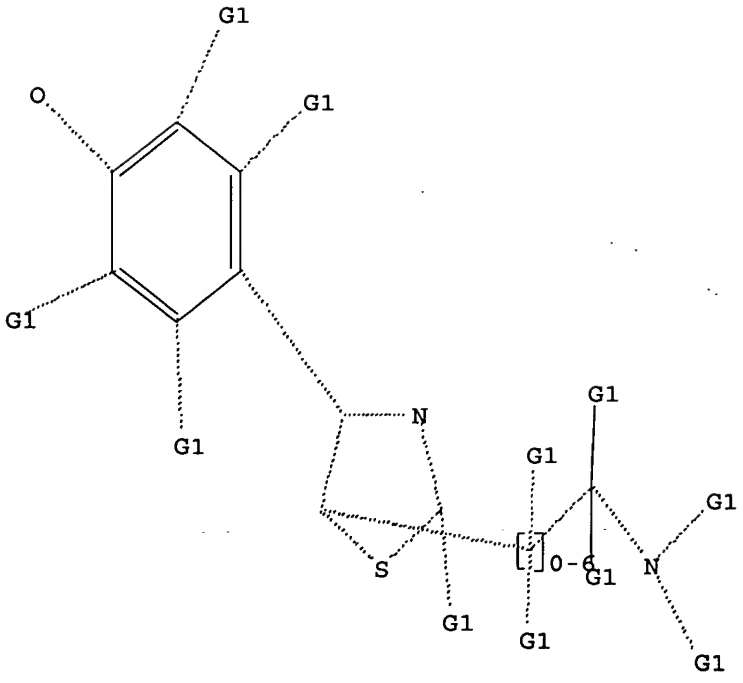


G1 C,H

Structure attributes must be viewed using STN Express query preparation.



chain nodes :
6 7 8 16 17 18 19 20 23 24 25 27 28 29 32
ring nodes :
1 2 3 4 5 10 11 12 13 14 15
chain bonds :
2-6 3-15 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28 8-29 10-20 11-19 12-16
13-17 14-18
ring bonds :
1-2 1-5 2-3 3-4 4-5 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
1-2 1-5 2-3 2-6 3-4 3-15 4-5 5-32 6-7 6-23 6-24 7-8 7-25 7-27 8-28



G1 C,H

Structure attributes must be viewed using STN Express query preparation.

that are available. If you have requested multiple files, you can specify a corrected file name or you can enter "IGNORE" to continue accessing the remaining file names entered.

```
=> fil belstein
'BELSTEIN' IS NOT A VALID FILE NAME
SESSION CONTINUES IN FILE 'REGISTRY'
Enter "HELP FILE NAMES" at an arrow prompt (=>) for a list of files
that are available.  If you have requested multiple files, you can
specify a corrected file name or you can enter "IGNORE" to continue
accessing the remaining file names entered.

=> help file names
The following files are available:
 1MOBILITY     - Global Mobility Database from 1906-present
 2MOBILITY     - Global Mobility Standards Database
 ABI-INFORM    - Business Information from 1971 to present
 ADISCTI       - Adis Clinical Trials Insight
 ADISINSIGHT   - Adis R&D Insight 1986-present
 ADISNEWS      - Adis Newsletters 1983-present
 AEROSPACE     - Aerospace and High Technology Database 1962-present
 AGRICOLA      - AGRICulture OnLine Access from 1970 - present
 ALUMINIUM     - Aluminium Industry Abstracts 1968 to the present
 ANABSTR       - Analytical Abstracts
 ANTE          - Abstr. in New Technologies and Eng. 1981 - present
 APOLLIT       - APPLIED POLYMERS LITERATURE 1973-present
 AQUALINE      - Aqualine 1960 to the present
 AQUASCI       - Aquatic Sciences & Fisheries Abstracts 1978-present
 AQUIRE        - Acquatic Toxicity Information Retrieval
 BABS          - BEILSTEIN Abstracts 1980-present
 BEILSTEIN     - BEILSTEIN File of Organic Compounds
```

CROPR - Derwent Crop Protection Registry
CROPU - DERWENT CROP PROTECTION FILE 1985 - 2003
CSCHEM - ChemSources - USA and International (Chemicals)
CSCORP - ChemSources - USA and International (Company Directory
CSNB - Chemical Safety News Base from 1981-present
DDFB - Derwent Drug File, Backfile 1964 - 1982
DDFU - Derwent Drug File from 1983 - present
DETHERM - DETHERM-DECHEMA thermophysical property database
DGENE - Derwent Geneseq Database 1981 - present
DISSABS - Dissertation Abstracts from 1861 to present
DJSMDS - Derwent Reaction Search Service DJSM (Subscribers)
DJSMONLINE - Derwent Reaction Search Service DJSM
DKF - The German Automotive Engineering Database 1974-date
DPCI - Derwent Patents Citation Index 1978 to present
DRUGB - Derwent Drug File, Backfile 1964 - 1982 (Subscribers)
DRUGMONOG - IMS Product Monographs (Approved Pharm. Industry Users
DRUGMONOG2 - IMS Product Monographs
DRUGU - Derwent Drug File from 1983-present (Subscribers)
ELCOM - Electronics & Communications Abstracts 1981-present
EMA - Engineered Materials Abstracts File from 1986-present
EMBAL - EMBASE Alert
EMBASE - EMBASE File from 1974-present
ENCOMPLIT - EnCompass Literature File 1964-present (Supporters)
ENCOMPLIT2 - EnCompass Literature File 1964-Present (Non-Supporters
ENCOMPPAT - EnCompass Patent File 1964-present (Supporters)
ENCOMPPAT2 - EnCompass Patent File 1964-Present (Non-Supporters)
ENERGY - DOE ENERGY file from 1974-present
ENVIROENG - Environmental Engineering Abstracts 1990 - present
EPFULL - European Patents Fulltext database
ESBIOBASE - Elsevier Biobase 1994 to the present
FOMAD - FOODLINE MARKET 1982 TO PRESENT

IMSPATENTS - IMS LifeCycle, Patent Focus with Patent Family Data
IMSPRODUCT - IMS LifeCycle, New Product Focus from 1982-present
IMSRESEARCH - IMS LifeCycle, R&D Focus 1977-present
INFODATA - Information Science and Work from 1976 to present
INIS - International Nuclear Information System 1970-present
INPADOC - The International Patent Database from 1968-present
INSPEC - INSPEC FILE FROM 1969 - PRESENT
INSPHYS - INSPHYS - Inspec Phys Supplement Backfile (1979 - 1994
INVESTEXT - INVESTEXT from 1982 to present
IPA - International Pharmaceutical Abstracts 1970-present
ITRD - International Transport Research Documentation 1972-da
JAPIO - JAPIO - Japanese Patents from 1976 - present
JICST-EPLUS - JICST-EPlus File on Sci. & Tech. in Japan 1985-present
KOREAPAT - Korean Patent Abstracts Database from 1979 - present
KOSMET - Cosmetic & Perfume Science & Technology 1968-present
LBIBLIO - Bibliodata learning File
LCA - The CA Learning File
LCASREACT - The CAS Reaction Search Service Learning File
LDPCI - Derwent Patents Citation Index Learning File
LDRUG - Derwent Drug Learn File
LEMBASE - The EMBASE Learning File
LIFESCI - CSA Life Sciences Collection from 1978-present
LINSPEC - Learning INSPEC File
LISA - Library and Information Science Abstracts 1969 - pres.
LITALERT - The Patent Litigation Database from 1973 - present
LMARPAT - The CAS Patent Markush Learning File
LMEDLINE - The MEDLINE Learning File
LPATDPA - The PATDPA Learning File
LREGISTRY - The Registry Learning File.
LWPI - Derwent World Patents Index Learning File
MARPAT - The CAS Patent Markush File 1988-present

PROMT - PROMT from 1978 - present
PROUSDDR - Drug Data Report from Prous Science
PS - Pharmaceutical Substances
RAPRA - Rubber, Plastics, Polymer Composites 1972 - present
RDISCLOSURE - Research Disclosure 1960 to the present
REGISTRY - The CAS Registry File of substances
RSWB - Regional planning and building construction
RTECS - Registry of Toxic Effects of Chemical Substances
RUSSIAPAT - RUSSIAN PATENT ABSTRACTS DATABASE FROM 1994 - PRESENT
SCISEARCH - ISI Science Citation Index from 1974 - present
SOLIDSTATE - Solid State and Superconductivity Abstracts from 1981
SOLIS - German literature in social sciences 1945-present
SPECINFO - Spectral Database Information System
STNGUIDE - Descriptive information about STN databases
STNMAIL - STN Electronic Mail Service
SYNTHLINE - Synthline Drug Synthesis Database 1984-present
TEMA - TEMA: Technology and Management 1990 to the present
TEXTILETECH - Textile Technology Digest from 1978 to the present
TOXCENTER - Toxicology Center from 1907 - present
TRIBO - TRIBOLOGY INDEX (Friction,Wear,Lubrication) 1972-pres.
TULSA - Petroleum Abstracts 1965-present
TULSA2 - Petroleum Abstracts 1965-present (Non-subscribers)
UFORDAT - Environment Research in Progress from 1974 - present
ULIDAT - Environmental Literature from 1976-present
USAN - USAN - United States Adopted Names
USPAT2 - U.S. Patents Latest Publications from 2001 - present
USPATFULL - U.S. Patents Original Publications from 1971 - present
VETB - Derwent Veterinary Drug File 1968 - 1982
VETU - Derwent Veterinary Drug File 1983 - 2001
WATER - Water Resource Abstracts 1967 to the present
WELDASEARCH - Weldasearch 1967 to the present

	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-19.50

FILE 'BEILSTEIN' ENTERED AT 09:06:11 ON 24 MAY 2006

FILE LAST UPDATED ON MARCH 15, 2006

FILE COVERS 1771 TO 2006.
*** FILE CONTAINS 9,516,393 SUBSTANCES ***

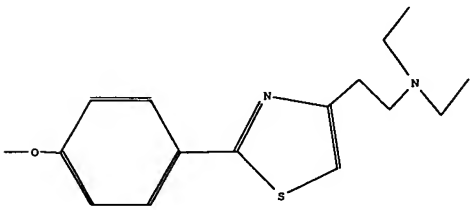
>>>PLEASE NOTE: Reaction Data and substance data are stored in
separate documents and can not be searched together in one query.
Reaction data for BEILSTEIN compounds may be displayed
immediately with the display codes PRE (preparations) and REA
(reactions). A substance answer set retrieved after the search
for a chemical name, a compounds with available reaction
information by combining with PRE/FA, REA/FA or more generally
with RX/FA. The BEILSTEIN Registry Number (BRN) is the link
between a BEILSTEIN compound and belonging reactions. For mo
detailed reaction searches BRNs can be searched as reaction
partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

****
* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *

L49 ANSWER 1 OF 1 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN): 533129
Beilstein Pref. RN (BPR): 100576-42-9
CAS Reg. No. (RN): 100576-42-9
Chemical Name (CN):
diethyl-<2-<2-(4-methoxy-phenyl)-thiazol-4-yl>-ethyl>-amine
Autonom Name (AUN):
diethyl-<2-<2-(4-methoxy-phenyl)-thiazol-4-yl>-ethyl>-amine
Molec. Formula (MF): C16 H22 N2 O S
Molecular Weight (MW): 290.42
Lawson Number (LN): 31630, 2826, 289
Compound Type (CTYPE): heterocyclic
Constitution ID (CONSID): 499831
Tautomer ID (TAUTID): 496145
Beilstein Citation (BSO): 5-27
Entry Date (DED): 1988/11/28
Update Date (DUPD): 1993/11/23



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
BPR	Beilstein Preferred RN	1
RN	CAS Registry Number	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
DED	Entry Date	1
DUPD	Update Date	1
CDER	Chemical Derivative	1

L49 ANSWER 1 OF 1 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN
(Continued)
No. of React. Details (.NVAR): 1

Reaction Details:
RX
Reaction RID (.RID): 890695.1
Reaction Classification (.CL): Preparation
Solvent (.SOL): ethanol
Temperature (.T): 60 Cel
Reference(s):
1. Palazzo,G.; Tavella,M., Gazz.Chim.Ital., CODEN: GCITA9, 92, <1962>, 1084-1092

L49 ANSWER 1 OF 1 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN
(Continued)
PHARM Pharmacological Data 1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	2
RXPRO	Substance is Reaction Product	2

Chemical Derivative:
CDER
(CDER):
diethyl-<2-<2-(4-methoxy-phenyl)-thiazol-4-yl>-ethyl>-amine; citrate (1:1)
Derivative BRN (.BRN): 4854668
Reference(s):
1. Palazzo,G.; Tavella,M., Gazz.Chim.Ital., CODEN: GCITA9, 92, <1962>, 1084-1092

Pharmacological Data:
PHARM
Note(s) (.COM): Pharmakol. Wrkg.
Reference(s):
1. Palazzo,G.; Tavella,M., Gazz.Chim.Ital., CODEN: GCITA9, 92, <1962>, 1084-1092

Reaction:
RX
Reaction ID (.ID): 1284887
Reactant BRN (.RBRN): 1076118, 605268
Reactant (.RCT): 4-(2-chloro-ethyl)-2-(4-methoxy-phenyl)-thiazole, diethylamine
Product BRN (.PBRN): 533129
Product (.PRO):
diethyl-<2-<2-(4-methoxy-phenyl)-thiazol-4-yl>-ethyl>-amine
No. of React. Details (.NVAR): 1

Reaction Details:
RX
Reaction RID (.RID): 1284887.1
Reaction Classification (.CL): Preparation
Solvent (.SOL): xylene
Temperature (.T): 140 Cel
Reference(s):
1. Palazzo,G.; Tavella,M., Gazz.Chim.Ital., CODEN: GCITA9, 92, <1962>, 1084-1092

Reaction:
RX
Reaction ID (.ID): 890695
Reactant BRN (.RBRN): 1072444, 3693764
Reactant (.RCT): 4-methoxy-thiobenzamide, 1-bromo-4-diethylamino-butan-2-one; hydrobromide
Product BRN (.PBRN): 533129
Product (.PRO):
diethyl-<2-<2-(4-methoxy-phenyl)-thiazol-4-yl>-ethyl>-amine

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	40.06	2271.73

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-19.50

STN INTERNATIONAL LOGOFF AT 09:06:56 ON 24 MAY 2006